

SEARCH REQUEST FORM

Scientific and Technical Information Center

Access DB#

98714

RECEIVED

JUL 14 2003

CRFE

Requester's Full Name: Jeffrey E. Russel Examiner #: 62785 Date: 7-14-2003
 Art Unit: 1654 Phone Number 301 8-3975 Serial Number: 10/031,505
 Mail Box and Bldg/Room Location: CM1-11013/CM1-9807 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Conjugate For Mediating Cell, Compartment, Or Membrane Specific Transport of
 Inventors (please provide full names): K. Braun, P. Peschke, E. Friedrich, R. Pipkorn,
W. Waldeck, J. Debus

Earliest Priority Filing Date: 7-2-2002

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search SEQ ID NO:1 (RQILKIWFQNRMRKWKK) in STN,
 in the U.S. patent appl. sequence database (pending, published & issued),
 and in Genesys/Supra/PIR.

Thank you
 JEL

sew1 - NAT 14

Bio conjug
 Fusion protein
 new brunes

Bio conjugate didn't provide anything
 additional to conjugates.

Mona Smith

=> fil hcaplu

FILE 'HCAPLUS' ENTERED AT 14:54:04 ON 15 JUL 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 15 Jul 2003 VOL 139 ISS 3

FILE LAST UPDATED: 14 Jul 2003 (20030714/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d stat que

```
L1 ( 548)SEA FILE=REGISTRY ABB=ON RQIKIWFQNRRMKWKK/SQSP
L3 1767781 SEA FILE=REGISTRY ABB=ON SQL<=20
L4 82 SEA FILE=REGISTRY ABB=ON L1 AND L3
L5 154 SEA FILE=HCAPLUS ABB=ON L4
L7 0 SEA FILE=HCAPLUS ABB=ON L5 AND BIOCONJUG?
```

=> d stat que 16

```
L1 ( 548)SEA FILE=REGISTRY ABB=ON RQIKIWFQNRRMKWKK/SQSP
L3 1767781 SEA FILE=REGISTRY ABB=ON SQL<=20
L4 82 SEA FILE=REGISTRY ABB=ON L1 AND L3
L5 154 SEA FILE=HCAPLUS ABB=ON L4
L6 51 SEA FILE=HCAPLUS ABB=ON L5 AND (CONJUG? OR CELL(W)MEDIAT?)
```

=> d ibib abs hitrn 16 1-51

L6 ANSWER 1 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:454163 HCAPLUS

DOCUMENT NUMBER: 139:30785

TITLE: Thermally activated **conjugates** of clasp peptide nucleic acids with transport proteins for therapeutic control of gene expression

INVENTOR(S): Braun, Klaus; Braun, Isabell; Corban-Wilhelm, Heike; Debus, Juergen; Jenne, Juergen; Rastert, Ralf; Pipkorn, Ruediger; Simiantonakis, Ioannis; Waldeck, Waldemar

PATENT ASSIGNEE(S): Deutsches Krebsforschungszentrum Stiftung Des Oeffentlichen Rechts, Germany

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| WO 2003047631 | A2 | 20030612 | WO 2002-DE4356 | 20021127 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10158331 | A1 | 20030612 | DE 2001-10158331 | 20011128 |
| PRIORITY APPLN. INFO.: DE 2001-10158331 A 20011128 | | | | |
| AB The invention concerns conjugates of peptide-nucleic acids for inhibition of gene expression in the treatment of disease. The peptide nucleic acids are conjugated with a moiety that will stimulate cell uptake, such as a penetratin, another that targets the conjugate to the tumor cell, and a nuclear localization signal. The peptide nucleic acid is in an inactive clasp configuration, such as a triple helix, that denatures at an elevated temp., e.g. during a fever or by therapeutic hyperthermia, to denature a complex that may release an RNA or an antisense sequence. The peptide components may be connected by peptide bonds or disulfide bridges. Thermal regulation of expression of a gene for green fluorescent protein in animal cell culture is demonstrated. The clasp had a denaturation temp. of 44.degree. and a sharp induction of fluorescence could be seen when transformed cells were cultured at temps. >43.degree.. | | | | |
| IT 188842-14-0D , Penetratin, derivs., conjugates RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (thermally activated conjugates of clasp peptide nucleic acids with transport proteins for therapeutic control of gene expression) | | | | |
| L6 ANSWER 2 OF 51 HCAPLUS COPYRIGHT 2003 ACS | | | | |
| ACCESSION NUMBER: 2003:376547 HCAPLUS | | | | |
| DOCUMENT NUMBER: 138:396192 | | | | |
| TITLE: Peptide nucleic acid conjugates inhibiting expression of the bcr-abl gene for treatment of chronic myeloid leukemia | | | | |
| INVENTOR(S): Braun, Klaus; Waldeck, Waldemar; Pipkorn, Ruediger; Braun, Isabell; Debus, Juergen | | | | |
| PATENT ASSIGNEE(S): Deutsches Krebsforschungszentrum Stiftung Des Oeffentlichen Rechts, Germany | | | | |
| SOURCE: PCT Int. Appl., 30 pp. CODEN: PIXXD2 | | | | |
| DOCUMENT TYPE: Patent | | | | |
| LANGUAGE: German | | | | |
| FAMILY ACC. NUM. COUNT: 1 | | | | |
| PATENT INFORMATION: | | | | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2003039438 | A2 | 20030515 | WO 2002-DE4154 | 20021108 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
 PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

DE 10154827 A1 20030528 DE 2001-10154827 20011108

PRIORITY APPLN. INFO.: DE 2001-10154827 A 20011108

AB The invention concerns **conjugates** of peptide-nucleic acids inhibiting the expression of the fusion bcr/abl gene characteristic of chronic myeloid leukemia (CML), and suited to the treatment of CML. The peptide nucleic acids are **conjugated** with a moiety that will stimulate cell uptake, such as a penetratin, another that targets the **conjugate** to the tumor cell, and a nuclear localization signal. The peptide components may be connected by peptide bonds or disulfide bridges. The peptide nucleic acid is designed to straddle the junction of the bcr and abl genes. Use of a **conjugate** of a penetratin, a nuclear localization signal and a peptide nucleic acid to inhibit proliferation of K562 cells in vitro is demonstrated.

IT **188842-14-0D**, Penetratin, derivs., **conjugates**

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptide nucleic acid **conjugates** inhibiting expression of bcr-abl gene for treatment of chronic myeloid leukemia)

L6 ANSWER 3 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:320058 HCAPLUS

DOCUMENT NUMBER: 138:332863

TITLE: Suppression of a specific gene using a complex of a targeting oligonucleotide and a protein affecting gene expression

INVENTOR(S): Hart, Stephen; Ali, Simak; Pufong, Boris Tumi; Porter, Andrew Christopher George; Buluwela, Laki; Vainikka, Satu; Jenkinson, John David; Kanda, Patrick

PATENT ASSIGNEE(S): Gene Expression Technologies Limited, UK

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2003033701 | A1 | 20030424 | WO 2002-GB4633 | 20021011 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, | | | |

PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

GB 2382581 A1 20030604 GB 2002-23605 20021011

PRIORITY APPLN. INFO.: GB 2001-24391 A 20011011

AB Methods of suppressing expression of a specific gene independently of the endogenous regulatory mechanisms are described. They use oligonucleotides to target proteins affecting gene expression to a specific site in the genome. The protein is preferably one that represses gene expression and may be a portion of a histone deacetylase or DNA methylase or polypeptide capable of recruiting a histone deacetylase or DNA methylase. The oligonucleotide may be an analog, such as a peptide nucleic acid and the protein moiety may include a peptidomimetic and sequences that promote uptake and internalization of the complex. The repressor may be a portion of a histone deacetylase or DNA methylase or polypeptide capable of recruiting a histone deacetylase or DNA methylase.

IT 188842-14-0D, **conjugates** with repressors

RL: BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amino acid sequence, internalization peptide; suppression of specific gene using complex of targeting oligonucleotide and protein affecting gene expression)

IT 188842-14-0D, Penetratin, fusion proteins, **conjugates** with oligonucleotides

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(suppression of specific gene using complex of targeting oligonucleotide and protein affecting gene expression)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:77534 HCAPLUS

DOCUMENT NUMBER: 138:142467

TITLE: Compositions and methods for enhancing drug delivery across and into ocular tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): Cellgate, Inc., A Delaware Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 64 pp., Cont.-in-part of U.S. Ser. No. 792,480.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 2003022831 | A1 | 20030130 | US 2002-83960 | 20020225 |
| US 2002127198 | A1 | 20020912 | US 2001-792480 | 20010223 |
| PRIORITY APPLN. INFO.: | | | US 1999-150510P | P 19990824 |
| | | | US 2000-648400 | A2 20000824 |
| | | | US 2001-792480 | A2 20010223 |

OTHER SOURCE(S): MARPAT 138:142467

AB This invention provides compns. and methods for enhancing delivery of drugs and other agents across epithelial tissues, including into and across ocular tissues and the like. The compns. and methods are also useful for delivery across endothelial tissues, including the blood brain barrier. The compns. and methods employ a delivery-enhancing transporter

that has sufficient guanidino or amidino side chain moieties to enhance delivery of a compd. **conjugated** to the reagent across one or more layers of the tissue, compared to the non-**conjugated** compd. The delivery-enhancing polymers include, for example, polyarginine mols. that are preferably between about 6 and 25 residues in length.

IT **491875-77-5**

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(delivery-enhancing transporters for drug delivery across and into ocular tissues)

L6 ANSWER 5 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:76966 HCAPLUS

DOCUMENT NUMBER: 138:142441

TITLE: Enzymatic nucleic acid peptide **conjugates**

INVENTOR(S): Beigelman, Leonid; Azhayev, Alex; Azhayeva, Elena

PATENT ASSIGNEE(S): Ribozyme Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2003008628 | A2 | 20030130 | WO 2002-US23324 | 20020722 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2001-306995P P 20010720

OTHER SOURCE(S): MARPAT 138:142441

AB This invention features **conjugates**, compns., methods of synthesis, and applications thereof, including galactose, galactosamine, N-acetyl galactosamine, PEG, phospholipid, and human serum albumin (HSA) derived **conjugates** of nucleosides, nucleotides, non-nucleosides, and nucleic acids including enzymic nucleic acids, DNazymes, allozymes, antisense, dsRNA, siRNA, triplex oligonucleotides, 2,5-A chimeras, decoys and aptamers.

IT **188842-14-0**

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)

(enzymic nucleic acid peptide **conjugates**)

L6 ANSWER 6 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:57945 HCAPLUS

DOCUMENT NUMBER: 138:142457

TITLE: PNA **conjugate** for the treatment of diseases associated with HIVINVENTOR(S): Braun, Klaus; Waldeck, Waldemar; Pipkorn, Ruediger;
Braun, Isabell; Debus, Juergen

PATENT ASSIGNEE(S): Deutsches Krebsforschungszentrum, Germany

SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|------------------|----------|
| WO 2003006065 | A2 | 20030123 | WO 2002-DE2564 | 20020712 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| DE 10133307 | A1 | 20030206 | DE 2001-10133307 | 20010712 |

PRIORITY APPLN. INFO.: DE 2001-10133307 A 20010712

AB The invention relates to peptide nucleic acid (PNA) **conjugates** which are suitable for the treatment of diseases assocd. with HIV, the peptide nucleic acid (PNA) inhibiting the gene expression of HIV. The **conjugates** comprise the following constituents: (a) a transport mediator for the cell membrane, (b) an address protein or peptide for importing into the cell nucleus, and (c) a peptide nucleic acid (PNA) which is to be transported, which can be hybridized with an HIV gene, and which inhibits the expression of the HIV gene.

IT 188842-14-OD, derivs.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PNA **conjugate** for the treatment of diseases assocd. with HIV)

L6 ANSWER 7 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:905731 HCAPLUS

DOCUMENT NUMBER: 138:14152

TITLE: Preparation of enzymic ribonucleic acid peptide **conjugates** as antitumor and antiviral agents and compositions for cellular delivery

INVENTOR(S): Beigelman, Leonid; Matulic-Adamic, Jasenka; Vargeese, Chandra; Karpeisky, Alexander; Blatt, Lawrence; Shaffer, Christopher

PATENT ASSIGNEE(S): Ribozyme Pharmaceuticals, Inc, USA

SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

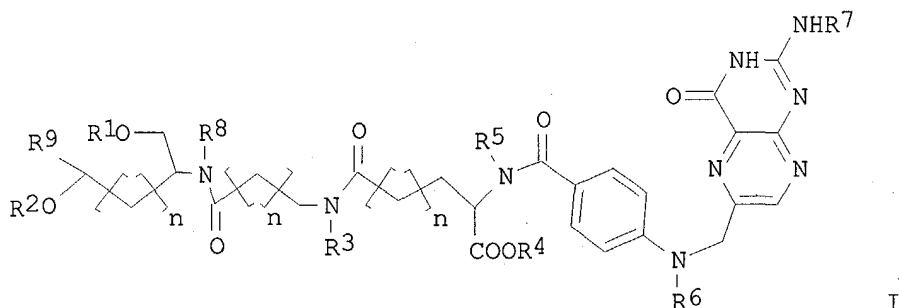
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|----------|
| WO 2002094185 | A2 | 20021128 | WO 2002-US15876 | 20020520 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, | | | |

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2003104985 A1 20030605 US 2002-151116 20020517
 US 2003130186 A1 20030710 US 2002-201394 20020722
 PRIORITY APPLN. INFO.: US 2001-292217P P 20010518
 US 2001-306883P P 20010720
 US 2001-311865P P 20010813
 US 2002-362016P P 20020306

GI



AB This invention features peptide nucleotide **conjugates** I wherein each R1-R8 are independently hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, or a protecting group, each "n" is independently an integer from 0 to about 200, R9 is a straight or branched chain alkyl, substituted alkyl, aryl, or substituted aryl, and R2 is a phosphorus contg. group, nucleoside, nucleotide, small mol., nucleic acid, or a solid support comprising a linker., degradable linkers, compns., methods of synthesis, and applications thereof, including folate, galactose, galactosamine, N-acetyl galactosamine, PEG, phospholipid, peptide and human serum albumin (HAS) derived **conjugates** of biol. active compds., including antibodies, antivirals, chemotherapeutics, peptides, proteins, hormones nucleosides, nucleotides, non-nucleosides, and nucleic acids including enzymic nucleic acids, DNazymes, allozymes, antisense, dsRNA, siRNA, triplex oligonucleotides, 2,5-A chimeras, decoys and aptamers. Thus, 1-O-(4-monomethoxytrityl)-N-(12'-hydroxydodecanoyl-2-acetamido-3,4,6-tri-O-acetyl-2-deoxy-3-D-galactopyranose)-D-threoninol 3-O-(2-cyanoethyl,N,N-diisopropylphosphoramidite) was prepd. and incorporated into RNA. A method of treating a cancer patient, comprising contacting cells of patient wherein said cancer is breast cancer, lung cancer, colorectal cancer, brain cancer, esophageal cancer, stomach cancer, bladder cancer, pancreatic cancer, cervical cancer, head and neck cancer, ovarian cancer, melanoma, lymphoma, glioma, or multidrug resistant cancers and/or viral infections including HIV, HBV, HCV, CMV, RSV, HSV, poliovirus, influenza, rhinovirus, west nile virus, Ebola virus, foot and mouth virus, and papilloma.

IT 188842-14-0

RL: PRP (Properties)

(unclaimed sequence; prepn. of enzymic RNA peptide **conjugates** as antitumor and antiviral agents and compns. for cellular delivery)

L6 ANSWER 8 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:814884 HCAPLUS

DOCUMENT NUMBER: 137:279471

TITLE: Process for preparing peptide-derivatized oligomeric compounds

INVENTOR(S): Manoharan, Muthiah; Guzaev, Andrei P.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 658,517.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| US 2002156235 | A1 | 20021024 | US 2001-949474 | 20010907 |
| US 6559279 | B1 | 20030506 | US 2000-658517 | 20000908 |

PRIORITY APPLN. INFO.: US 2000-658517 A2 20000908

AB The invention is directed to methods for synthesizing peptides covalently linked to oligomeric compds., e.g., oligonucleotides. The synthesis is performed without the problems of aggregation assocd. with electrostatic interactions. Thus, solid-bound oligonucleotide (TG)2ctat2c(tg)2A2T2 assembled on 2-[4-[(4,4'-dimethoxytrityl)oxy]butyryldithio]acetyl-derivatized CPG was treated with cystamine and 2,2'-dipyridyl disulfide to give the pyridyldithio-activated oligonucleotide, which reacted with Antennapedia-SH peptide to form the **conjugate** (ESMS: 9230.2).

IT 376600-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of peptide-derivatized oligomeric compds.)

IT 404932-03-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide-derivatized oligomeric compds.)

IT 404562-88-5 404562-89-6

RL: PRP (Properties)
(unclaimed protein sequence; process for prepg. peptide-derivatized oligomeric compds.)

L6 ANSWER 9 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:813960 HCAPLUS

DOCUMENT NUMBER: 137:333160

TITLE: Novel chimeric C3 ADP-ribosyl transferase-like Rho antagonists and their therapeutic uses for neuroprotection and axon regeneration

INVENTOR(S): McKerracher, Lisa

PATENT ASSIGNEE(S): Bioaxone Therapeutique Inc., Can.

SOURCE: PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2002083179 | A2 | 20021024 | WO 2002-CA480 | 20020408 |
| WO 2002083179 | A3 | 20030515 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO,

CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
 UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003103957 A1 20030605 US 2002-118079 20020409

PRIORITY APPLN. INFO.:

CA 2001-2342970 A 20010412

CA 2001-2362004 A 20011113

CA 2002-2367636 A 20020115

AB The invention provides sequences of novel Rho antagonists that are fusion proteins comprising C3 ADP-ribosyl transferase or C3-like proteins. The Rho family GTPases regulates axon growth and regeneration. Inactivation of Rho with C3, a toxin from Clostridium botulinum, can stimulate regeneration and sprouting of injured axons. The present invention provides novel chimeric C3-like Rho antagonists. These new antagonists are a significant improvement over C3 compds. because they are 3-4 orders of magnitude more potent to stimulate axon growth on inhibitory substrates than recombinant C3. The invention further provides evidence that these compds. promote repair when applied to the injured mammalian central nervous system.

IT 473828-49-8

RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)

(amino acid sequence; novel chimeric C3 ADP-ribosyl transferase-like Rho antagonists and their therapeutic uses for neuroprotection and axon regeneration)

L6 ANSWER 10 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:794218 HCAPLUS

DOCUMENT NUMBER: 137:329413

TITLE: Delivery vehicles and methods for using the same

INVENTOR(S): Craig, Roger

PATENT ASSIGNEE(S): UK

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 748,789.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2002151004 | A1 | 20021017 | US 2001-785802 | 20010216 |
| US 2001008758 | A1 | 20010719 | US 2000-748063 | 20001222 |
| US 2001053549 | A1 | 20011220 | US 2000-748789 | 20001222 |
| US 2002009706 | A1 | 20020124 | US 2001-779188 | 20010208 |
| WO 2002007752 | A2 | 20020131 | WO 2001-GB3327 | 20010724 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |
| CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |
| GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, | | | | |
| LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, | | | | |
| RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, | | | | |
| UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, | | | | |
| DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, | | | | |

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
WO 2002057436 A2 20020725 WO 2002-GB169 20020116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003050591 A1 20030313 US 2002-279374 20021024
PRIORITY APPLN. INFO.: GB 2000-2848 A 20000724
GB 2000-3056 A 20000809
US 2000-748063 A2 20001222
US 2000-748789 A2 20001222
GB 1999-17416 A 19990723
US 1999-146556P P 19990730
GB 2000-2856 A 20000208
US 2000-181796P P 20000211
WO 2000-GB2848 W 20000724
WO 2000-GB3056 W 20000809
GB 2001-1469 A 20010119
US 2001-264808P P 20010129
WO 2001-GB417 W 20010201
US 2001-779186 A1 20010208
US 2001-785802 A 20010216
GB 2001-5631 A 20010307
US 2001-279803P P 20010329
WO 2001-GB3327 W 20010724
AB The invention provides delivery vehicles for the intracellular delivery of
a therapeutic agent to a target site. The delivery vehicles comprise
cells loaded with an agent **conjugated** to an MTS (membrane
translocation sequence). Selective release of the agent-MTS
conjugate at a target site, facilitates the uptake of the agent by
cells at the target site. Methods for producing the cells and using the
cells are also provided, as are kits to facilitate performing the methods.
IT **188842-14-0 393511-85-8**
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or
chemical process); PRP (Properties); PYP (Physical process); THU
(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(drug delivery vehicles and methods for using the same)
IT **188842-14-0D, Penetratin, phosphorothioate oligonucleotide**
conjugates
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or
chemical process); PYP (Physical process); THU (Therapeutic use); BIOL
(Biological study); PROC (Process); USES (Uses)
(drug delivery vehicles and methods for using the same)
L6 ANSWER 11 OF 51 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:736375 HCAPLUS
DOCUMENT NUMBER: 137:261875
TITLE: Molecular vaccine linking antigen with an
immunogenicity-potentiating polypeptide delivered as
replication defective alphavirus replicons from stable
packaging cells
INVENTOR(S): Wu, Tzyy-Chou; Hung, Chien-Fu
PATENT ASSIGNEE(S): Johns Hopkins University, USA

SOURCE: PCT Int. Appl., 93 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002074920 | A2 | 20020926 | WO 2002-US8033 | 20020318 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2001-276854P P 20010316

AB Superior mol. vaccines comprise nucleic acids in the form of PCL-generated replication-defective alphavirus replicons, preferably Sindbis virus, that encode a fusion polypeptide that includes an antigenic peptide or polypeptide against which an immune response is desired. Fused to the antigenic peptide is at least a second polypeptide that is an immunogenicity-potentiating polypeptide acting by any of a no. of mechanisms to promote immunogenicity of the antigen. Examples include intercellular spreading proteins, in particular a herpes virus protein VP22 or a homolog or functional deriv. thereof. Other examples are proteins that stimulate MHC class I processing of the antigen, target the antigen to APCs promote development and growth of immature DCs or stimulate DC antigen presenting activity. The nucleic acid can encode any antigenic epitope of interest, preferably an epitope that is processed and presented by MHC class I proteins. Antigens of pathogenic organisms and cells such as tumor cells are preferred. Vaccines comprising HPV-16 E7 oncoprotein are exemplified. Also disclosed are methods of using the vaccines to induce heightened T cell mediated immunity, in particular by cytotoxic T lymphocytes, leading to protection from or treatment of a tumor.

IT 463347-86-6

RL: PRP (Properties)
 (unclaimed sequence; mol. vaccine linking antigen with an immunogenicity-potentiating polypeptide delivered as replication defective alphavirus replicons from stable packaging cells)

L6 ANSWER 12 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:696457 HCAPLUS

DOCUMENT NUMBER: 137:237728

TITLE: Peptide **conjugates** for enhancing drug delivery across and into epithelial tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha V. S.; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 80 pp., Cont.-in-part of U.S. Ser. No. 648,400.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 2002127198 | A1 | 20020912 | US 2001-792480 | 20010223 |
| WO 2002067917 | A1 | 20020906 | WO 2002-US5804 | 20020225 |
| <p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p> | | | | |
| WO 2002069930 | A1 | 20020912 | WO 2002-US5829 | 20020225 |
| <p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p> | | | | |
| US 2003022831 | A1 | 20030130 | US 2002-83960 | 20020225 |
| US 2003083256 | A1 | 20030501 | US 2002-209421 | 20020730 |
| <p>PRIORITY APPLN. INFO.: US 1999-150510P P 19990824 US 2000-648400 A2 20000824 US 2001-792480 A 20010223</p> | | | | |

OTHER SOURCE(S): MARPAT 137:237728

AB This invention provides compns. and methods for enhancing delivery of drugs and other agents across epithelial tissues, including the skin, gastrointestinal tract, pulmonary epithelium, ocular tissues and the like. The compns. and methods are also useful for delivery across endothelial tissues, including the blood brain barrier. The compns. and methods employ a delivery enhancing transporter that has sufficient guanidino or amidino side-chain moieties to enhance delivery of a compd. **conjugated** to the reagent across one or more layers of the tissue, compared to the non-**conjugated** compd. The delivery-enhancing polymers include, for example, poly-arginine mols. that are preferably between about 6 and 25 residues in length. E.g., biotinylated polymers of D-arginine were prepd. and their penetration into the skin of nude mice studied.

IT 188842-14-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide **conjugates** for enhancing drug delivery across and into epithelial tissues)

L6 ANSWER 13 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:678354 HCAPLUS

DOCUMENT NUMBER: 138:184524

TITLE: Cellular uptake of antisense oligonucleotides after complexing or **conjugation** with cell-penetrating model peptides

AUTHOR(S): Oehlke, J.; Birth, P.; Klauschenz, E.; Wiesner, B.; Beyermann, M.; Oksche, A.; Bienert, M.

CORPORATE SOURCE: Institute of Molecular Pharmacology, Berlin, D-13125, Germany
SOURCE: European Journal of Biochemistry (2002), 269(16), 4025-4032
CODEN: EJBCAI; ISSN: 0014-2956
PUBLISHER: Blackwell Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The uptake by mammalian cells of phosphorothioate oligonucleotides was compared with that of their resp. complexes or **conjugates** with cationic, cell-penetrating model peptides of varying helix-forming propensity and amphipathicity. An HPLC-based protocol for the synthesis and purifn. of disulfide bridged **conjugates** in the 10-100 nmol range was developed. Confocal laser scanning microscopy (CLSM) in combination with gel-capillary electrophoresis and laser induced fluorescence detection (GCE-LIF) revealed cytoplasmic and nuclear accumulation in all cases. The uptake differences between naked oligonucleotides and their resp. peptide complexes or **conjugates** were generally confined to one order of magnitude. No significant influence of the structural properties of the peptide components upon cellular uptake was found. Our results question the common belief that the increased biol. activity of oligonucleotides after derivatization with membrane permeable peptides may be primarily due to improved membrane translocation.

IT 497932-98-6

RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(cellular uptake of antisense oligonucleotides after complexing or **conjugation** with cell-penetrating model peptides)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:675821 HCAPLUS

DOCUMENT NUMBER: 137:222033

TITLE: Compositions and methods for enhancing drug delivery across and into ocular tissues

INVENTOR(S): Rothbard, Jonathan B.; Wender, Paul A.; McGrane, P. Leo; Sista, Lalitha Vs; Kirschberg, Thorsten A.

PATENT ASSIGNEE(S): Cellgate, Inc., USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002067917 | A1 | 20020906 | WO 2002-US5804 | 20020225 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

US 2002127198 A1 20020912 US 2001-792480 20010223
PRIORITY APPLN. INFO.: US 2001-792480 A 20010223
US 1999-150510P P 19990824
US 2000-648400 A2 20000824

OTHER SOURCE(S): MARPAT 137:222033

AB Compns. and methods for enhancing delivery of drugs, diagnostic and other agents across epithelial tissues, including into and across ocular tissues and blood-brain barrier are provided. The compns. and methods employ a delivery enhancing transporter that has sufficient guanidino or amidino side chain moieties to enhance delivery of a compd. **conjugated** to the reagent across one or more layers of the tissue, compared to the non-**conjugated** compd. The delivery-enhancing polymers include, for example, poly-arginine mols. that are preferably between about 6 and 25 residues in length. For example, a series of structural characteristics including sequence length, amino acid compn., and chirality that influence the ability of Tat49-57 to enter cells is identified. These characteristics provided the blueprint for the design of a series of novel peptoids, of which 17 members were synthesized and assayed for cellular uptake. This research established that the peptide backbone and hydrogen bonding along that backbone are not required for cellular uptake, that the guanidino head group is superior to other cationic subunits, and most significantly, that an extension of the alkyl chain between the backbone and the head group provides superior transporters. In addn. to better uptake performance, these novel peptoids offer several advantages over Tat49-57 including cost-effectiveness, ease of synthesis of analogs, and protease stability. These features along with their significant water soly. (>100 mg/mL) indicate that these novel peptoids could serve as effective transporters for the mol. delivery of drugs, drug candidates, and other agents into cells.

IT 188842-14-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(drug **conjugates** with peptide transporter contg. amidino or guanidino moieties for enhanced delivery across epithelium)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:634799 HCAPLUS

DOCUMENT NUMBER: 138:350146

TITLE: A quantitative validation of fluorophore-labelled cell-permeable peptide **conjugates**: fluorophore and cargo dependence of import

AUTHOR(S): Fischer, Rainer; Waizenegger, Thomas; Kohler, Karsten; Brock, Roland

CORPORATE SOURCE: Institute for Cell Biology, Center for Bioinformatics Tübingen, Group of Genomics and Proteomics, University of Tübingen, Tübingen, 72076, Germany

SOURCE: Biochimica et Biophysica Acta (2002), 1564(2), 365-374
CODEN: BBACAQ; ISSN: 0006-3002

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cell-permeable peptides were evaluated for a quant. controlled import of small mols. The dependence of the import efficiency on the fluorophore, on the position of the fluorophore as well as on the nature of the cargo were addressed. Cellular uptake was quantitated by flow cytometry and fluorescence correlation microscopy (FCM). Fluorophores with different spectral characteristics, covering the whole visible spectral range, were

selected in order to enable the simultaneous detection of several cell-permeable peptide constructs. The transcytosis sequences were based either on the sequence of the Antennapedia homeodomain protein (AntpHD)-derived penetratin peptide or the Kaposi fibroblast growth factor (FGF)-derived membrane translocating sequence (MTS)-peptide. In general, the AntpHD-derived peptides had a three- to fourfold higher import efficiency than the MTS-derived peptides. In spite of the very different physicochem. characteristics of the fluorophores, the import efficiencies for analogs labeled at different positions within the sequence of the import peptides showed a strong pos. correlation. However, even for peptide cargos of very similar size, pronounced differences in import efficiency were obsd. The use of cell-permeable peptide/cargo constructs for intracellular analyses of structure-function relationships therefore requires the detn. of the intracellular concns. for each construct individually.

IT 188842-14-0, Penetratin

RL: BSU (Biological study, unclassified); BIOL (Biological study) (AntpHD peptide; fluorophore and cargo dependence of import of fluorophore-labeled cell-permeable peptide **conjugates**)

IT 188842-14-0D, fluorescein deriv.

RL: BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent) (fluorophore and cargo dependence of import of fluorophore-labeled cell-permeable peptide **conjugates**)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:615447 HCAPLUS

DOCUMENT NUMBER: 137:190698

TITLE: Enhanced oral and transcompartmental delivery of therapeutic or diagnostic agents

INVENTOR(S): Paranj, Pankaj; Stein, Stanley; Leibowitz, Michael J.; Sinko, Patrick J.; Minko, Tamara; Williams, Gregory C.; Zhang, Goubao; Pooyan, Shahrair; Park, Seong Hee; Qiu, Bo; Ramanathan, Srinivasan

PATENT ASSIGNEE(S): University of Medicine and Dentistry of New Jersey, USA; Rutgers, the State of University of New Jersey

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002062396 | A2 | 20020815 | WO 2002-US3819 | 20020208 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2003091640 | A1 | 20030515 | US 2002-72657 | 20020208 |

PRIORITY APPLN. INFO.: US 2001-267396P P 20010208

OTHER SOURCE(S): MARPAT 137:190698

AB The invention is directed to pharmaceutical compns. and methods for delivery of a therapeutic or diagnostic agent from one body compartment to one or more other body compartment by administering one of the following **conjugates**: a polymer having multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent, and at least one cell uptake promoter covalently bound to the therapeutic or diagnostic agent; or a polymer and at least one cell uptake promoter bound thereto; the polymer further comprising multiple functional groups at least one of which is covalently bound a therapeutic or diagnostic agent.

IT 188842-14-0, Penetratin

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(enhanced oral and transcompartmental delivery of therapeutic or diagnostic agents)

L6 ANSWER 17 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:593622 HCAPLUS

DOCUMENT NUMBER: 138:217307

TITLE: Epoxysuccinyl peptide-derived cathepsin B inhibitors:
modulating membrane permeability by
conjugation with the C-terminal heptapeptide
segment of penetratin

AUTHOR(S): Schaschke, Norbert; Deluca, Dominga;
Assfalg-Machleidt, Irmgard; Hohneke, Clara;
Sommerhoff, Christian P.; Machleidt, Werner
CORPORATE SOURCE: Max-Planck-Institut fur Biochemie, Martinsried,
D-82152, Germany

SOURCE: Biological Chemistry (2002), 383(5), 849-852

CODEN: BICHF3; ISSN: 1431-6730

PUBLISHER: Walter de Gruyter GmbH & Co. KG

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Besides its physiol. role in lysosomal protein breakdown, extralysosomal cathepsin B has recently been implicated in apoptotic cell death. Highly specific irreversible cathepsin B inhibitors that are readily cell-permeant should be useful tools to elucidate the effects of cathepsin B in the cytosol. We have covalently functionalized the poorly cell-permeant epoxysuccinyl-based cathepsin B inhibitor [R-Gly-Gly-Leu-(2S,3S)-tEps-Leu-Pro-OH; R=OMe] with the C-terminal heptapeptide segment of penetratin (R=.epsilon.Ahx-Arg-Arg-Nle-Lys-Trp-Lys-Lys-NH2). The high inhibitory potency and selectivity for cathepsin B vs. cathepsin L of the parent compd. was not affected by the **conjugation** with the penetratin heptapeptide. The **conjugate** was shown to efficiently penetrate into MCF-7 cells as an active inhibitor, thereby circumventing an intracellular activation step that is required by other inhibitors, such as the prodrug-like epoxysuccinyl peptides E64d and CA074Me.

IT 188842-14-0, Penetratin

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(membrane permeability of epoxysuccinyl peptide-derived cathepsin B
inhibitor **conjugated** with the C-terminal heptapeptide segment
of penetratin)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:555638 HCAPLUS

DOCUMENT NUMBER: 137:120671

TITLE: Use of erythrocytes for manufacture of foreign proteins for delivery to non-transgenic animals
 INVENTOR(S): McHale, Anthony Patrick; Craig, Roger Kingdon
 PATENT ASSIGNEE(S): Gendel Limited, UK
 SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| WO 2002057436 | A2 | 20020725 | WO 2002-GB169 | 20020116 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2002151004 | A1 | 20021017 | US 2001-785802 | 20010216 |
| WO 2002007752 | A2 | 20020131 | WO 2001-GB3327 | 20010724 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRIORITY APPLN. INFO.: | | | GB 2001-1469 | A 20010119 |
| | | | US 2001-264808P | P 20010129 |
| | | | WO 2001-GB417 | W 20010201 |
| | | | US 2001-785802 | A 20010216 |
| | | | GB 2001-5631 | A 20010307 |
| | | | US 2001-279803P | P 20010329 |
| | | | WO 2001-GB3327 | W 20010724 |
| | | | GB 2000-2848 | A 20000724 |
| | | | WO 2000-GB2848 | W 20000724 |
| | | | GB 2000-3056 | A 20000809 |
| | | | WO 2000-GB3056 | W 20000809 |
| | | | US 2000-748063 | A2 20001222 |
| | | | US 2000-748789 | A2 20001222 |

AB A method of manufg. foreign proteins in vertebrates and incorporating them into red blood cells for purifn. or delivery to non-transgenic animals is described. The animal carries an erythroblast-specific expression construct that results in inclusion of the protein into erythrocytes. The protein may be purified from the red blood cells by first loading them with an agent that renders them sensitive to an energy source and then disrupting with the energy. If the erythrocytes are stripped of blood group antigens, they may be used to deliver the protein to recipient animal. The protein may then be recovered from the lysate. We also disclose a reporter gene assay for detg. delivery of an agent to a target site. The gene for the protein may be expressed from the locus control

region of the .beta.-globin gene.

IT 188842-14-0 393511-85-8

RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)

(amino acid sequence, in membrane transport of proteins; use of erythrocytes for manuf. of foreign proteins for delivery to non-transgenic animals)

L6 ANSWER 19 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:469270 HCAPLUS

DOCUMENT NUMBER: 138:44612

TITLE: Cell-dependent differential cellular uptake of PNA, peptides, and PNA-peptide **conjugates**

AUTHOR(S): Koppelhus, Uffe; Awasthi, Satish Kumar; Zachar, Vladimir; Holst, Henrik Uffe; Ebbesen, Peter; Nielsen, Peter Eigil

CORPORATE SOURCE: Center for Biomolecular Recognition, Department of Medical Biochemistry & Genetics, Biochemistry Laboratory B, The Panum Institute, University of Copenhagen, Copenhagen N, DK-2200, Den.

SOURCE: Antisense & Nucleic Acid Drug Development (2002), 12(2), 51-63

CODEN: ANADF5; ISSN: 1087-2906

PUBLISHER: Mary Ann Liebert, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Peptide nucleic acid (PNA) oligomers were **conjugated** to cell-penetrating peptides: pAnt, a 17-residue fragment of the Drosophila protein Antennapedia, and pTat, a 14-amino acid fragment of HIV protein Tat. A 14-mer PNA was attached to the peptide by disulfide linkage or by maleimide coupling. The uptake of (directly or indirectly, via biotin) fluorescein-labeled peptides, PNAs, or PNA-peptide **conjugates** was studied by fluorescence microscopy, confocal laser scanning microscopy, and fluorometry in five cell types. In SK-BR-3, HeLa, and IMR-90 cells, the PNA-peptide **conjugates** and a Tlys backbone-modified PNA were readily taken up (2 .mu.M). The PNA was almost exclusively confined to vesicular compartments in the cytosol. However, the IMR-90 cells also showed a weak diffuse staining of the cytoplasm. In the U937 cells, the authors obsd. a very weak and exclusively vesicular staining with the PNA-peptide **conjugates** and the Tlys-modified PNA. No evident uptake of the unmodified PNA was seen. In H9 cells, both peptides and the PNA-peptide **conjugates** quickly assocd. with the membrane, followed by a weak intracellular staining. A cytotoxic effect resulting in artificial staining of the cells was obsd. with fluoresceinated peptides and PNA-peptide **conjugates** at concns. above 5-10 .mu.M, depending on cell type and incubation time. The authors conclude that uptake of PNAs in many cell types can be achieved either by **conjugating** to certain peptides or simply by charging the PNA backbone using lysine PNA units. The uptake is time, temp., and concn. dependent and mainly endocytic. The results also show that proper controls for cytotoxicity should always be carried out to avoid misinterpretation of visual data.

IT 254893-86-2

RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); BIOL (Biological study)

(cell-dependent differential cellular uptake of peptide nucleic acids (PNA) and peptides and PNA-peptide **conjugates** in relation to cytotoxicity)

REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 51 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:353296 HCAPLUS
DOCUMENT NUMBER: 136:363821
TITLE: Methods and compositions for inhibiting growth factor
receptor-bound protein (Grb7) and uses thereof in
cancer therapy
INVENTOR(S): Krag, David N.; Pero, Stephanie C.; Oligino, Lyn
PATENT ASSIGNEE(S): University of Vermont, USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|----------|
| WO 2002036142 | A2 | 20020510 | WO 2001-US47400 | 20011105 |
| WO 2002036142 | A3 | 20030227 | | |
| W: AU, CA, JP | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| AU 2002020265 | A5 | 20020515 | AU 2002-20265 | 20011105 |
| US 2003105000 | A1 | 20030605 | US 2001-13815 | 20011105 |
| PRIORITY APPLN. INFO.: | | | US 2000-245755P P | 20001103 |
| | | | WO 2001-US47400 W | 20011105 |

AB The invention provides sequences of Grb7-binding peptides (G7BP)
identified using peptide phage display techniques. G7BP specifically
binds to the SH2 domain of Grb7. Specifically disclosed are Grb7
antagonists that bind selectively to Grb7 and interfere with the ability
of Grb7 to bind to its native ligands. These compns. are useful in the
prevention and treatment of disorders characterized by abnormal
interaction of Grb7 with its native ligands (e.g., ErbB2).

IT **188842-14-0**
RL: PRP (Properties)
(unclaimed sequence; methods and compns. for inhibiting growth factor
receptor-bound protein (Grb7) and uses thereof in cancer therapy)

L6 ANSWER 21 OF 51 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:350591 HCAPLUS
DOCUMENT NUMBER: 138:126878
TITLE: Antisense delivery into mitochondria using peptide
AUTHOR(S): Okuda, T.; Niidome, T.; Aoyagi, H.
CORPORATE SOURCE: Department of Applied Chemistry, Nagasaki University,
Nagasaki, 852-8521, Japan
SOURCE: Proceedings - 28th International Symposium on
Controlled Release of Bioactive Materials and 4th
Consumer & Diversified Products Conference, San Diego,
CA, United States, June 23-27, 2001 (2001), Volume 2,
1237-1238. Controlled Release Society: Minneapolis,
Minn.
CODEN: 69CNY8
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Mitochondrion has a unique genomic DNA and plays important roles in cells
such as energy metab., regulation of apoptosis. However, antisense
delivery system into mitochondria, which makes us convenient to understand

mitochondrial genomic functions, was not established yet. To construct a novel antisense delivery system into mitochondria, the authors prepd. a **conjugate** consisting of membrane permeable peptide and mitochondrial signal sequence. As a result, the mitochondrial signal sequence was specifically delivered to mitochondria in the cell.

IT **489445-39-8 489445-40-1**

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antisense delivery into mitochondria using peptide)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:256517 HCAPLUS

DOCUMENT NUMBER: 136:289901

TITLE: In vivo determination of specific mRNA levels using labeled sequence-specific mRNA-binding proteins

INVENTOR(S): Busa, William Brian

PATENT ASSIGNEE(S): Cellomics, Inc., USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002027031 | A2 | 20020404 | WO 2001-US30438 | 20010928 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2001094872 | A5 | 20020408 | AU 2001-94872 | 20010928 |
| US 2003096243 | A1 | 20030522 | US 2001-965876 | 20010928 |

PRIORITY APPLN. INFO.:

US 2000-236407P P 20000928

WO 2001-US30438 W 20010928

AB The present invention provides reagents and methods for mRNA quantification in intact cells. Cells expressing the gene of interest are modified to label the gene with a sequence bound by a sequence-specific RNA binding protein. The RNA is bound by the protein and if the protein is labeled with a suitable reporter dye, levels and distribution of the mRNA can be monitored fluorometrically. The protein may also carry a nuclear export signal to prevent it accumulating in the nucleus and preventing export of the bound mRNA. Dye pairs that may be used in FRET anal. are claimed.

IT **188842-14-0**

RL: ARU (Analytical role, unclassified); PRP (Properties); ANST

(Analytical study)

(amino acid sequence, RNA-binding motif; in vivo detn. of specific mRNA levels using labeled sequence-specific mRNA-binding proteins)

L6 ANSWER 23 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:251336 HCAPLUS

DOCUMENT NUMBER: 137:279440
 TITLE: A practical approach to the synthesis of hairpin polyamide-peptide **conjugates** through the use of a safety-catch linker
 AUTHOR(S): Fattori, Daniela; Kinzel, Olaf; Ingallinella, Paolo; Bianchi, Elisabetta; Pessi, Antonello
 CORPORATE SOURCE: Istituto di Ricerche di Biologia Molecolare P. Angeletti (IRBM), Rome, Pomezia, 00040, Italy
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(8), 1143-1147
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Hairpin polyamides are high-affinity, sequence selective DNA binders. The use of a safety-catch linker for the solid phase synthesis of hairpin polyamides allows for easy prepn. of derivs. ready for chemoselective ligation with unprotected peptides. Examples of ligations reported include thioether bond formation and thioester-mediated amide bond formation (native chem. ligation).
 IT **465545-91-9**
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (synthesis of hairpin polyamide-peptide **conjugates** using safety-catch linker)
 IT **466680-95-5P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of hairpin polyamide-peptide **conjugates** using safety-catch linker)
 REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:185320 HCAPLUS
 DOCUMENT NUMBER: 136:242932
 TITLE: Identification of peptide ligands for specific cell types by phage display for use in drug targeting and control of biological processes
 INVENTOR(S): Arap, Wadih; Pasqualini, Renata
 PATENT ASSIGNEE(S): Board of Regents, the University of Texas System, USA
 SOURCE: PCT Int. Appl., 311 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002020769 | A1 | 20020314 | WO 2001-US27692 | 20010907 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2001088843 | A5 | 20020322 | AU 2001-88843 | 20010907 |

EP 1322755 A1 20030702 EP 2001-968603 20010907
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
WO 2003022991 A2 20030320 WO 2002-US27836 20020830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2000-231266P P 20000908
US 2001-765101 A 20010117
WO 2001-US27692 W 20010907

AB The present invention concerns methods and compns. for in vivo and in vitro targeting. A large no. of targeting peptides directed towards human organs, tissues or cell types are disclosed. The peptides are of use for targeted delivery of therapeutic agents, including but not limited to gene therapy vectors. A novel class of gene therapy vectors is disclosed. Certain of the disclosed peptides have therapeutic use for inhibiting angiogenesis, inhibiting tumor growth, inducing apoptosis, inhibiting pregnancy or inducing wt. loss. Methods of identifying novel targeting peptides in humans, as well as identifying endogenous receptor-ligand pairs are disclosed. Methods of identifying novel infectious agents that are causal for human disease states are also disclosed. A novel mechanism for inducing apoptosis is further disclosed.

IT 188842-14-0D, Penetratin, **conjugates** with apoptosis inducing peptides

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(induction of apoptosis by; identification of peptide ligands for specific cell types by phage display for use in drug targeting and control of biol. processes)

IT 188842-14-0

RL: PRP (Properties)

(unclaimed sequence; identification of peptide ligands for specific cell types by phage display for use in drug targeting and control of biol. processes)

REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:185319 HCAPLUS

DOCUMENT NUMBER: 136:226793

TITLE: Use of caveolin-1 scaffolding domain peptides to inhibit eNOS nitric oxide synthesis in the treatment of inflammation and cancer

INVENTOR(S): Sessa, William C.

PATENT ASSIGNEE(S): Yale University, USA

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002020768 | A2 | 20020314 | WO 2001-US42069 | 20010910 |
| WO 2002020768 | A3 | 20030227 | | |
| WO 2002020768 | C2 | 20030508 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002077283 | A1 | 20020620 | US 2000-731023 | 20001207 |
| AU 2001093255 | A5 | 20020322 | AU 2001-93255 | 20010910 |
| EP 1317487 | A2 | 20030611 | EP 2001-973702 | 20010910 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| PRIORITY APPLN. INFO.: | | | US 2000-231327P | P 20000908 |
| | | | US 2000-731023 | A 20001207 |
| | | | WO 2001-US42069 | W 20010910 |

AB The present invention relates to caveolin scaffolding domain-contg. peptides fused to the antennapedia homeodomain useful for treating various diseases such as inflammation and cancer. Furthermore, the present invention relates to compns. and methods of treatment which utilize peptides comprising at least one caveolin scaffolding domain. More specifically, methods for blocking the interaction of the caveolin-binding protein eNOS (endothelial nitric oxide synthase) to down regulate the activity of eNOS by administering caveolin fusion peptides are provided. Thus, eNOS activities such as acetylcholine-induced vasodilation, prostacyclin prodn. and nitric oxide prodn. may be modulated using these methods. Hence, inflammation and tumor cell angiogenesis and proliferation are inhibited. The said fusion peptides comprise at least one caveolin scaffolding domain and at least one membrane translocation domain. Specifically, the membrane translocation domain comprises the third helix of the antennapedia homeodomain. Also included are methods for identifying agents that interact with eNOS or modulate its activity comprising exposing cells that express eNOS to the said fusion peptides and detg. the eNOS activity.

IT **188842-14-0**

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Drosophila antennapedia homeodomain 16-amino acid peptide; use of caveolin-1 scaffolding domain peptides to inhibit eNOS nitric oxide synthesis in treatment of inflammation and cancer)

L6 ANSWER 26 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:185140 HCAPLUS

DOCUMENT NUMBER: 136:263470

TITLE: Process for preparing peptide-derivatized oligomeric compounds

INVENTOR(S): Manoharan, Muthiah; Guzaev, Andrei P.

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2002020544 | A1 | 20020314 | WO 2001-US28083 | 20010907 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6559279 | B1 | 20030506 | US 2000-658517 | 20000908 |
| AU 2001087137 | A5 | 20020322 | AU 2001-87137 | 20010907 |
| PRIORITY APPLN. INFO.: | | | US 2000-658517 | A 20000908 |
| | | | WO 2001-US28083 | W 20010907 |

OTHER SOURCE(S): MARPAT 136:263470

AB The invention is directed to methods for synthesizing peptides covalently linked to oligomeric compds., e.g., oligonucleotides. The synthesis is performed without the problems of aggregation assocd. with electrostatic interactions. Thus, solid-bound oligonucleotide (TG)2ctat2c(tg)2A2T2 assembled on 2-[4-[(4,4'-dimethoxytrityl)oxy]butyryldithio]acetyl-derivatized CPG was treated with cystamine and 2,2'-dipyridyl disulfide to give the pyridyldithio-activated oligonucleotide, which reacted with Antennapaedia-SH peptide to form the **conjugate** (ESMS: 9230.2).

IT 376600-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of peptide-derivatized oligomeric compds.)

IT 404932-03-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptide-derivatized oligomeric compds.)

IT 404562-88-5 404562-89-6

RL: PRP (Properties)
(unclaimed protein sequence; process for prepg. peptide-derivatized oligomeric compds.)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:172074 HCAPLUS

DOCUMENT NUMBER: 136:228585

TITLE: Membrane penetrating peptides derived from nuclear localization sequence and uses as intracellular delivery devices for compound of interest

INVENTOR(S): Guo, Yong; Morse, Clarence C.; Yao, Zhengbin; Keesler, George A., Jr.

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2001007011 A1 20010201 WO 2000-GB2848 20000724

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001058431 A1 20010816 WO 2000-GB3056 20000809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002151004 A1 20021017 US 2001-785802 20010216

WO 2002057436 A2 20020725 WO 2002-GB169 20020116

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

WO 2000-GB2848 W 20000724
WO 2000-GB3056 W 20000809
WO 2001-GB417 W 20010201
US 2001-785802 A 20010216
GB 1999-17416 A 19990723
US 1999-146556P P 19990730
GB 2000-2856 A 20000208
US 2000-181796P P 20000211
GB 2000-2848 A 20000724
GB 2000-3056 A 20000809
US 2000-748063 A2 20001222
US 2000-748789 A2 20001222
GB 2001-1469 A 20010119
US 2001-264808P P 20010129
GB 2001-5631 A 20010307
US 2001-279803P P 20010329
WO 2001-GB3327 W 20010724

AB We describe a method of prepg. a red blood cell vehicle suitable for
delivering an agent to a target site in a vertebrate, the method
comprising the steps of: (a) providing a red blood cell; and (b) loading
the red blood cell with an agent-MTS (membrane translocation sequence)
conjugate. Uptake of the peptides, e.g., penetratin, HIV-TAT and
VP22, by erythrocytes from a no. of sources including human, pig, rabbit
and mouse was examd. Peptides comprising membrane translocation sequences

may be auto-loaded into electrosensitized erythrocytes and uptake of peptide is dependant on the peptide concn.

IT 188842-14-0 188842-14-0D, Penetratin, oligonucleotide
conjugates 393511-85-8

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(polypeptide delivery systems by using erythrocytes)

L6 ANSWER 29 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:2517 HCAPLUS

DOCUMENT NUMBER: 137:237523

TITLE: Molecular transporters for peptides: delivery of a
cardioprotective .epsilon.PKC agonist peptide into
cells and intact ischemic heart using a transport
system, R7

AUTHOR(S): Chen, Leon; Wright, Lee R.; Chen, Che-Hong; Oliver,
Steven F.; Wender, Paul A.; Mochly-Rosen, Daria

CORPORATE SOURCE: Department of Molecular Pharmacology, Standford
University School of Medicine, Standford, CA,
94305-5174, USA

SOURCE: Chemistry & Biology (2001), 8(12), 1123-1129

CODEN: CBOLE2; ISSN: 1074-5521

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Background: Recently, we reported a novel oligoguanidine transporter
system, polyarginine (R7), which, when **conjugated** to
spectroscopic probes (e.g., fluorescein) and drugs (e.g., cyclosporin A),
results in highly water-sol. **conjugates** that rapidly enter cells
and tissues. We report herein the prepn. of the first R7 peptide
conjugates and a study of their cellular and organ uptake and
functional activity. The octapeptide .psi..epsilon.RACK was selected for
this study as it is known to exhibit selective .epsilon. protein kinase C
isoenzyme agonist activity and to reduce ischemia-induced damage in
cardiomyocytes. However, .psi..epsilon.RACK is not cell-permeable.
Results: Here we show that an R7-.psi..epsilon.RACK **conjugate**
readily enters cardiomyocytes, significantly outperforming
.psi..epsilon.RACK **conjugates** of the transporters derived from
HIV Tat and from Antennapedia. Moreover, R7-.psi..epsilon.RACK
conjugate reduced ischemic damage when delivered into intact
hearts either prior to or after the ischemic insult. Conclusions: Our
data suggest that R7 converts a peptide lead into a potential therapeutic
agent for the ischemic heart.

IT 459146-74-8

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)

(delivery of cardioprotective .epsilon.PKC agonist peptide into cells
and intact ischemic heart using polyarginine transport system)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:748124 HCAPLUS

DOCUMENT NUMBER: 135:315571

TITLE: Methods of detecting a cell

INVENTOR(S): Tse, Eric; Rabbitts, Terence

PATENT ASSIGNEE(S): Medical Research Council, UK

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2001075453 | A2 | 20011011 | WO 2001-GB1540 | 20010404 |
| WO 2001075453 | A3 | 20020606 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1269199 | A2 | 20030102 | EP 2001-917315 | 20010404 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003124629 | A1 | 20030703 | US 2002-265002 | 20021004 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 2000-8254 | A 20000404 |
| | | | GB 2000-8256 | A 20000404 |
| | | | WO 2001-GB1540 | W 20010404 |

AB We describe a method of inducing a cell to generate a detectable signal. The method comprises the steps of providing a cell comprising an entity and providing a first reporter and a second reporter, in which a stable interaction of the first reporter with the second reporter leads to generation of a detectable signal. The first reporter and the second reporter are allowed to bind to the entity, such that binding of the reporters to the entity leads to stable interaction of the first reporter with the second reporter and generation of a signal. The signal is preferably the activation of a cell killing mechanism. An epitope of HIV-1 integrase was fused at the N-terminus of .beta.-galactosidase. This construct was coexpressed in CHO cells with a single-chain antibody Fv binding to the epitope (scFvIN33)-caspase 3 fusion protein. Interaction of the antibody and antigen caused caspase-mediated apoptosis.

IT 188842-14-0
 RL: PRP (Properties)
 (unclaimed sequence; methods of detecting a cell)

L6 ANSWER 31 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:693349 HCAPLUS
 DOCUMENT NUMBER: 135:268162
 TITLE: Sequences of peptides that selectively bind to expanded polyglutamine repeat domains of pathologic proteins and therapeutic uses thereof
 INVENTOR(S): Strittmatter, Warren J.; Burke, James R.; Nagai, Yoshitaka
 PATENT ASSIGNEE(S): Duke University, USA
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

WO 2001068678 A2 20010920 WO 2001-US8222 20010314
WO 2001068678 A3 20020516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002009752 A1 20020124 US 2001-780070 20010209

PRIORITY APPLN. INFO.:

US 2000-189781P P 20000316

OTHER SOURCE(S): MARPAT 135:268162

AB The invention discloses sequences of peptides that selectively bind to expanded polyglutamine repeat domains of proteins with pathol.-length polyglutamine and uses in treating neurodegenerative diseases. Such peptides are characterized in that they bind to a first polyglutamine peptide consisting of 60 glutamine residues under conditions in which they do not bind to a second polyglutamine peptide consisting of 20 glutamine residues. The invention also provides the expression constructs of these peptides and methods of treating cells expressing proteins with expanded polyglutamine regions. The invention also includes methods of detecting proteins with expanded polyglutamine domain from samples and screening drugs to treat diseases related to proteins with expanded polyglutamine repeats.

IT 188842-14-0

RL: PRP (Properties)

(unclaimed sequence; sequences of peptides that selectively bind to expanded polyglutamine repeat domains of pathol. proteins and therapeutic uses thereof)

L6 ANSWER 32 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:675105 HCAPLUS

DOCUMENT NUMBER: 136:20235

TITLE: Efficient synthesis of oligonucleotide-peptide
conjugates on large scale

AUTHOR(S): Doronina, Svetlana O.; Guzaev, Andrei P.; Manoharan, Muthiah

CORPORATE SOURCE: Department of Medicinal Chemistry, Isis
Pharmaceuticals, Inc., Carlsbad, CA, 92008, USASOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001),
20(4-7), 1007-1010

CODEN: NNNAFY; ISSN: 1525-7770

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The **conjugation** of oligonucleotide phosphorothioates with antennapedia peptide was studied in detail to allow efficient prepn. of the **conjugates** on up to 15 .mu.mol scale. Under optimized conditions, the use of oligonucleotides and the peptide in an equimol. ratio gave the desired **conjugates** in more than 60% isolated yield.

IT 376600-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of oligonucleotide phosphorothioate-antennapedia peptide
conjugates)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:397065 HCAPLUS
 DOCUMENT NUMBER: 135:15060
 TITLE: Multimers of nuclear localization signals or of protein transduction domains and their use for transferring molecules into cells
 INVENTOR(S): Rosenecker, Joseph; Ritter, Wolfgang; Rudolph, Carsten Martin; Plank, Christian
 PATENT ASSIGNEE(S): Germany
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2001038547 | A2 | 20010531 | WO 2000-EP11690 | 20001123 |
| WO 2001038547 | A3 | 20020228 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1235914 | A2 | 20020904 | EP 2000-988753 | 20001123 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003514564 | T2 | 20030422 | JP 2001-539889 | 20001123 |
| US 2003125242 | A1 | 20030703 | US 2002-156570 | 20020524 |
| PRIORITY APPLN. INFO.: EP 1999-123423 A 19991124 | | | | |
| WO 2000-EP11690 W 20001123 | | | | |
| AB Described are polypeptides comprising at least two peptide monomers comprising a nuclear localization sequence or a protein transduction domain and their use for transferring mols. into eukaryotic cells, as well as pharmaceutical compns. comprising the described polypeptides and processes for transferring mols. into eukaryotic cells. Thus, transfection with (PKKKRKVG)4/DNA complexes was almost as efficient as that with dendrimer/DNA complexes. PKKKRKV is the nuclear localization signal of SV40 virus large T antigen. | | | | |
| IT 188842-14-0 | | | | |
| RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) | | | | |
| (multimers of nuclear localization signals or of protein transduction domains and their use for transferring mols. into cells) | | | | |

L6 ANSWER 34 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:338494 HCAPLUS
 DOCUMENT NUMBER: 134:371759
 TITLE: An activated-thiol polymer for drug delivery
 INVENTOR(S): Ruffner, Duane; Wang, Laixin
 PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
 SOURCE: PCT Int. Appl., 39 pp.

DOCUMENT TYPE: CODEN: PIXXD2
Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2001032623 | A1 | 20010510 | WO 2000-US29849 | 20001029 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: US 1999-429056 A 19991029

AB The synthesis and characterization of an acrylamide copolymer for use as a carrier for the delivery of water sol. drugs is disclosed. The polymer contains active-sulphydryl groups for coupling of ligands through a disulfide linkage. The polymer can also be prepd. contg. pendant amino groups in addn. to the active-sulphydryl moiety. This allows the use of different chemistries to **conjugate** a variety of ligands to the polymer. N-(2-hydroxypropyl)methacrylamide copolymer (I) was reacted with pAntp-SH peptide followed by the reaction with a disulfide modified oligonucleotide to obtain an oligonucleotide-peptide-polymer **conjugate**. HeLa cell uptake of I-oligonucleotide **conjugates** was studied.

IT 209323-98-8DP, **conjugates** with oligonucleotides and polymers

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(activated-thiol polymer for drug delivery)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:211242 HCAPLUS

DOCUMENT NUMBER: 134:305445

TITLE: Vesicle-associated proteins and transmitter release from sympathetic ganglionic boutons

AUTHOR(S): Blair, Duncan H.; Robson, Scott; King, Glenn; Bennett, Max R.

CORPORATE SOURCE: Neurobiology Lab., Univ. of Sydney, Sydney, NSW 2006, Australia

SOURCE: NeuroReport (2001), 12(3), 607-610

CODEN: NERPEZ; ISSN: 0959-4965

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A method is reported for introducing peptides derived from SNARE proteins that control exocytosis of vesicles. at boutons formed by sympathetic ganglion cells in tissue culture. These peptides were coupled to the DNA binding domain of the Drosophila transcription factor antennapedia, called penetratin. This facilitated the passage of peptides across the bouton membrane. FMI-43 was used to monitor the exocytosis of transmitter from depolarized boutons after their exposure to the penetratin-peptide

. sequences IETRHNEIIKLETSIRELHD of syntaxin and KGFLSSLFGGSSK of .alpha.-SNAP both of which blocked secretion, whereas the peptide sequences SELDDRADALQAGASQFETSAAKLKRRK of synaptobrevin did not. This report introduces a readily applicable method for detg. the effect of different peptide sequences of vesicle-assocd. proteins on secretion at vertebrate boutons and presents an account of the effects of a selection of such peptides on exocytosis.

IT 188842-14-0, Penetratin

RL: ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process)

(**conjugate** with SNARE and .alpha.-SNAP derived peptides; screening method for role of vesicle-assocd. proteins in transmitter release from sympathetic ganglionic boutons)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 36 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:186402 HCAPLUS

DOCUMENT NUMBER: 135:40598

TITLE: Doxorubicin-peptide **conjugates** overcome multidrug resistance

AUTHOR(S): Mazel, Martine; Clair, Philippe; Rousselle, Christophe; Vidal, Pierre; Scherrmann, Jean-Michel; Mathieu, Daniele; Tamsamani, Jamal

CORPORATE SOURCE: Synt:em, Parc Scientifique Georges Besse, Nimes, 30000, Fr.

SOURCE: Anti-Cancer Drugs (2001), 12(2), 107-116

CODEN: ANTDEV; ISSN: 0959-4973

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A well-known mechanism leading to the emergence of multidrug-resistant tumor cells is the overexpression of P-glycoprotein (P-gp), which is capable of lowering intracellular drug concns. To overcome this problem, the authors tested the capability of two peptide vectors that are able to cross cellular membranes to deliver doxorubicin in P-gp-expressing cells. The antitumor effect of peptide-**conjugated** doxorubicin was tested in human erythroleukemic (K562/ADR) resistant cells. The **conjugate** showed potent dose-dependent inhibition of cell growth against K562/ADR cells as compared with doxorubicin alone. Doxorubicin exhibited IC50 concns. of 65 .mu.M in the resistant cells, whereas vectorized doxorubicin was more effective with IC50 concns. of 3 .mu.M. After treatment of the resistant cells with verapamil, the intracellular levels of doxorubicin were markedly increased and consequent cytotoxicity was improved. In contrast, treatment of resistant cells with verapamil did not cause any further enhancement in the cell uptake nor in the cytotoxic effect of the **conjugated** doxorubicin, indicating that the **conjugate** bypasses the P-gp. Finally, the authors show by the in situ brain perfusion method in P-gp-deficient and competent mice that vectorized doxorubicin bypasses the P-gp present at the luminal site of the blood-brain barrier. These results indicate that vectorization of doxorubicin with peptide vectors is effective in overcoming multidrug resistance.

IT 344466-28-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(doxorubicin-peptide **conjugates** overcome multidrug resistance)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:45049 HCAPLUS
 DOCUMENT NUMBER: 134:97534
 TITLE: **Conjugates** for the delivery of active substances into cells, cell compartments and membranes
 INVENTOR(S): Braun, Klaus; Friedrich, Eckart; Waldeck, Waldemar; Peschke, Peter; Pipkorn, Ruediger; Debus, Juergen
 PATENT ASSIGNEE(S): Deutsches Krebsforschungszentrum Stiftung des Oeffentlichen Rechts, Germany
 SOURCE: Ger. Offen., 10 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| DE 19933492 | A1 | 20010118 | DE 1999-19933492 | 19990716 |
| WO 2001005432 | A2 | 20010125 | WO 2000-DE2346 | 20000714 |
| WO 2001005432 | A3 | 20011206 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1196196 | A2 | 20020417 | EP 2000-954335 | 20000714 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003504417 | T2 | 20030204 | JP 2001-510520 | 20000714 |
| PRIORITY APPLN. INFO.: DE 1999-19933492 A 19990716 | | | | |
| WO 2000-DE2346 W 20000714 | | | | |

AB The invention concerns the prodn. and application of **conjugates** for the delivery of active substance into cells, cell compartments and membranes that contain fragments of a penetrating protein, a target-specific localization protein and the active substance. Cell-penetrating proteins are penetratin, transportan or their derivs. Sequences of the target-specific localization peptides are given for endoplasmic reticulum, mitochondria, nucleus, peroxisomes and cell membrane. Active substances are diagnostic agents or drugs. Spacers can be included into the **conjugate** between the active substance and the target-specific peptide. Synthesis methods include the Merrifield synthesis and coupling of the non-peptide component. Thus penetratin, a nuclear localization sequence and a spacer sequence peptide-**conjugate** was synthesized; after purifn., it was coupled with rhodamine 110. The **conjugate** was incubated with AT-1 and DU-145 cells; the penetration of the rhodamine 110 contg. **conjugate** into the nucleus was detected by fluorescence microscopy.

IT **188842-14-ODP**, Penetratin, fusion with localization peptide, **conjugate** with active substance
 RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation); PROC

(Process)

(**conjugates** for delivery of active substances into cells,
cell compartments and membranes)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 38 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:911294 HCAPLUS

DOCUMENT NUMBER: 134:66134

TITLE: Eukaryotic initiation factor 4 (eIF4)-derived peptides
and peptidomimetics for therapeutic use

INVENTOR(S): Proud, Christopher Gregory; Herbert, Terrence Patrick;
Lane, David Philip; Fahraeus, Robin

PATENT ASSIGNEE(S): University Court of the University of Dundee, UK

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2000078803 | A2 | 20001228 | WO 2000-GB2414 | 20000621 |
| WO 2000078803 | A3 | 20010726 | | |
| W: JP, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 1189936 | A2 | 20020327 | EP 2000-940589 | 20000621 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2003502440 | T2 | 20030121 | JP 2001-505561 | 20000621 |
| PRIORITY APPLN. INFO.: GB 1999-14480 A 19990621 | | | | |
| WO 2000-GB2414 W 20000621 | | | | |

OTHER SOURCE(S): MARPAT 134:66134

AB The invention relates to use of eIF4E binding agents, more particularly peptides or peptidomimetics, in therapy, e.g. induction of programmed cell death. Preferred peptides comprise the sequence YxxxxLO (x = any amino acid; O = Leu, Met, Phe). The compds. of the invention may be used e.g. to induce tumor cell death.

IT **188842-14-0D**, Penetratin, eIF4G fragment **conjugates**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(eukaryotic initiation factor 4 (eIF4)-derived peptides and peptidomimetics for therapeutic use)

IT **188842-14-0**
RL: PRP (Properties)
(unclaimed sequence; eukaryotic initiation factor 4 (eIF4)-derived peptides and peptidomimetics for therapeutic use)

L6 ANSWER 39 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:885755 HCAPLUS

DOCUMENT NUMBER: 135:59861

TITLE: Antigenicity and immunogenicity of an intracellular delivery system of major histocompatibility complex class I epitopes that bypasses proteasome processing

AUTHOR(S): Tirosh, Boaz; Fridkin, Mati; Tzehoval, Eather; Vadai, Ezra; Lemonnier, Francois A.; Eisenbach, Lea

CORPORATE SOURCE: Departments of Immunology and Organic Chemistry, The Weizmann Institute of Science, Rehovot, Israel
SOURCE: Journal of Immunotherapy (2000), 23(6), 622-630
CODEN: JOIMF8; ISSN: 1053-8550
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The development of a cell-free synthetic vaccine to induce an effective cytotoxic T lymphocyte response is an important challenge in T-**cell-mediated** immunity. Because std. vaccinations with nominal epitopes were found to be only partially effective in vivo, the authors suggest an alternative strategy: the delivery of epitopes directly to the cell cytosol in a proteasome bypass mechanism of processing. Two model peptides, the presentation level on the cell surface of which can be directly assessed, were **conjugated** via a cross-linker to an internalization peptide derived from an antennapedia homeobox protein. The linker was designed to undergo spontaneous hydrolysis, after which the epitope is subsequently released. The **conjugates** were shown to enter RMA and P815 cells, where the epitopes were released mainly in cytosol and endogenously loaded on the major histocompatibility complex class I mols. to be presented on the cell surface. Concomitant inhibition of proteasome activity by MG132 significantly increased the presentation level of both model peptides, indicating proteasome-independent processing. This phenomenon was exploited to enhance the immunogenicity of the **conjugates**. **Conjugates** were emulsified with MG132 in incomplete Freund's adjuvant and injected into mouse footpads. Anal. of the draining lymph nodes indicated an increase in the percentage of both CD4+ and CD8+ lymphocytes. In vitro cytolytic assays implied significant, albeit moderate, priming only when the proteasome inhibitor was administered with the **conjugate**. This approach may be useful for the development of efficient synthetic cell-free vaccines.

IT 188842-14-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(intracellular delivery system of MHC class I epitopes that bypasses proteasome processing)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:836290 HCAPLUS

DOCUMENT NUMBER: 134:116219

TITLE: Stepwise solid-phase synthesis of peptide-oligonucleotide phosphorothioate **conjugates** employing Fmoc peptide chemistry

AUTHOR(S): Antopolsky, Maxim; Azhayev, Alex

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of Kuopio, Kuopio, FIN-70211, Finland

SOURCE: Tetrahedron Letters (2000), 41(47), 9113-9117

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:116219

AB A straightforward stepwise method for the prepn. of peptide-oligonucleotide phosphorothioate **conjugates**, was developed, based on the highly efficient Fmoc peptide solid phase synthesis, followed by oligonucleotide phosphorothioate chain assembly. The three

. **conjugates** synthesized contained 15- or 17-mer oligonucleotide phosphorothioates and 10- or 16-mer peptides, incorporating two or three arginine residues.

IT 321164-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of peptide-oligonucleotide phosphorothioate
conjugates using solid-phase techniques)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:719962 HCAPLUS

DOCUMENT NUMBER: 134:52731

TITLE: The Antennapedia peptide penetratin translocates
across lipid bilayers - the first direct observation
AUTHOR(S): Thoren, P. E. G.; Persson, D.; Karlsson, M.; Norden,
B.

CORPORATE SOURCE: Dep. Phys. Chem., Chalmers Univ. Technol., Goeteborg,
Swed.

SOURCE: FEBS Letters (2000), 482(3), 265-268

CODEN: FEBLAL; ISSN: 0014-5793

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The potential use of polypeptides and oligonucleotides for therapeutic
purposes has been questioned because of their inherently poor cellular
uptake. However, the 16-mer oligopeptide penetratin, derived from the
homeodomain of Antennapedia, has been reported to enter cells readily via
a non-endocytotic and receptor- and transporter-independent pathway, even
when **conjugated** to large hydrophilic mols. We here present the
first study where penetratin is shown to traverse a pure lipid bilayer.
The results support the idea that the uptake mechanism involves only the
interaction of the peptide with the membrane lipids. Furthermore, we
conclude that the translocation does not involve pore formation.

IT 188842-14-0, Penetratin

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)

(demonstration that Antennapedia peptide penetratin translocates across
lipid bilayers)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:646038 HCAPLUS

DOCUMENT NUMBER: 133:232873

TITLE: Compounds and methods for stimulating gene expression
and cellular differentiation

INVENTOR(S): Blaschuk, Orest W.; Gour, Barbara J.

PATENT ASSIGNEE(S): McGill University, Can.

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2000053632 | A1 | 20000914 | WO 2000-CA222 | 20000307 |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-265107 A 19990309

AB Modulating agents for inhibiting an interaction between .alpha.-catenin and .beta.-catenin are provided. The modulating agents comprise one or more of (a) a .beta.-catenin HAV motif; (b) a peptide analog or mimetic of a .beta.-catenin HAV motif; or (c) an antibody or antigen-binding fragment thereof that specifically binds to a .beta.-catenin HAV motif. Methods for using such modulating agents for inhibiting cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **188842-14-0D**, modulating moiety-linked derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compds. and methods for stimulating gene expression and cellular differentiation)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:579584 HCAPLUS

DOCUMENT NUMBER: 133:292464

TITLE: New DNA modification strategies involving oxime formation

AUTHOR(S): Cebon, Ben; Lambert, John N.; Leung, Donmienne; Mackie, Hugh; McCluskey, Karen L.; Nguyen, Xuan; Tassone, Claudia

CORPORATE SOURCE: School of Chemistry, The University of Melbourne, Vic., 3010, Australia

SOURCE: Australian Journal of Chemistry (2000), 53(4), 333-339
CODEN: AJCHAS; ISSN: 0004-9425

PUBLISHER: CSIRO Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:292464

AB A new method for the formation of covalently linked DNA **conjugates** is described. The method involves installation of a 5'-hydroxylamine nucleophile onto synthetic DNA and condensation with a suitable electrophilic carbonyl compd. to form an oxime linkage. Various protection strategies for the hydroxylamine group and their merits are discussed and the formation of an oligonucleotide-peptide **conjugate** is described.

IT **300575-23-9P**

RL: NUU (Other use, unclassified); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(DNA modification strategies involving oxime formation)

IT **300575-24-0D**, resin **conjugate** **300575-25-1D**, resin **conjugate**

RL: RCT (Reactant); RACT (Reactant or reagent)

(DNA modification strategies involving oxime formation)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 44 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:351544 HCAPLUS
 DOCUMENT NUMBER: 133:9081
 TITLE: Modified and truncated penetratin derivatives as
 membrane translocation carriers for drug transport
 INVENTOR(S): Fischer, M. Peter; Zhelev, Nikolai
 PATENT ASSIGNEE(S): Cyclacel Limited, UK
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------|-------------|
| WO 2000029427 | A2 | 20000525 | WO 1999-GB3750 | 19991111 |
| WO 2000029427 | A3 | 20001005 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| GB 2346616 | A1 | 20000816 | GB 1999-26719 | 19991111 |
| EP 1135410 | A2 | 20010926 | EP 1999-954212 | 19991111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002530059 | T2 | 20020917 | JP 2000-582414 | 19991111 |
| US 2002098236 | A1 | 20020725 | US 2001-854204 | 20010511 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 1998-25000 | A 19981113 |
| | | | GB 1998-25001 | A 19981113 |
| | | | GB 1999-2522 | A 19990204 |
| | | | GB 1999-2525 | A 19990204 |
| | | | GB 1999-14578 | A 19990622 |
| | | | WO 1999-GB3750 | W 19991111 |
| | | | US 1999-438460 | A3 19991112 |
| AB | The invention relates to modified and truncated forms of the membrane transport vector penetratin, a peptide comprising residues 45-58 of the Antennapedia homeodomain protein. Such truncated forms include 7-mer peptides that may in themselves include further variation. Such smaller or truncated forms of penetratin are advantageous in that they are more acceptable to the pharmaceutical industry as delivery carrier moieties, by virtue of the carrier-cargo conjugate having an advantageous immunogenicity, soly., and clearance, and in some cases advantageous efficacy as compared to using a conjugate comprised of full length penetratin. Carrier moieties are synthetically linked to a cargo moiety selected from p21WAF-derived peptides, p16-derived peptides or the drugs roscovitine, taxol, or a podophyllotoxin. The truncated penetratin-podophyllotoxin conjugate , for example, is more effective in terms of anti-proliferative activity on tumor cells while exhibiting lower generalized toxicity. | | | |
| IT | 264882-32-8P 264882-70-4P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | | |

(modified and truncated penetratin derivs. as membrane translocation carriers for drug transport)

IT 188842-14-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(modified and truncated penetratin derivs. as membrane translocation carriers for drug transport)

L6 ANSWER 45 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:215551 HCAPLUS

DOCUMENT NUMBER: 130:247829

TITLE: Introduction of peptides and oligonucleotides into neurons as homeodomain fusions

INVENTOR(S): Joliot, Alain; Prochiantz, Alain

PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique (CNRS), Fr.

SOURCE: U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 828,995, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 5888762 | A | 19990330 | US 1994-238518 | 19940505 |
| FR 2662698 | A1 | 19911206 | FR 1990-6912 | 19900605 |
| FR 2662698 | B1 | 19950324 | | |

PRIORITY APPLN. INFO.: FR 1990-6912 A 19900605
US 1992-828995 B2 19920327

AB The invention relates to a method for introducing a macromol. comprising at least the helix 3 of a homeobox peptide into a living cell. Thus, the 60-amino acid homeodomain of Drosophila Antennapedia protein (pAntp) was taken up by neurons and most of the protein was localized to the nucleus. A peptide consisting of residues 43-58 of pAntp was the shortest peptide which displayed this property. Tyr-36,Ala-50-pAntp and Pro-40,Pro-41-pAntp were also taken up, but, unlike the wild-type pAntp, did not stimulate neurite growth. 43-58-pAntp disulfide linked to a peptide inhibitor of protein kinase C or to an antisense oligonucleotide complementary to a sequence including the amyloid precursor protein (APP) gene ATG initiation codon were prepd. Neurons incubated with these constructs displayed reduced protein kinase C activity or reduced APP levels.

IT 188842-14-0D, conjugates

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(introduction of peptides and oligonucleotides into neurons as homeodomain fusions)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:96382 HCAPLUS

DOCUMENT NUMBER: 130:163975

TITLE: **Conjugates** of transporter peptides and nucleic acid analogs for improved delivery of antisense constructs

INVENTOR(S): Langel, Ulo; Bartfai, Tamas; Pooga, Margus; Valkna,

PATENT ASSIGNEE(S): Andres; Saar, Kulliki; Hallbrink, Mattias
 SOURCE: The Perkin-Elmer Corporation, USA
 PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 9905302 | A1 | 19990204 | WO 1998-US14761 | 19980716 |
| W: AU, CA, JP | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9884080 | A1 | 19990216 | AU 1998-84080 | 19980716 |
| AU 741546 | B2 | 20011206 | | |
| US 6025140 | A | 20000215 | US 1998-116294 | 19980716 |
| EP 998577 | A1 | 20000510 | EP 1998-934592 | 19980716 |
| R: CH, DE, FR, GB, IT, LI, SE | | | | |
| JP 2002511885 | T2 | 20020416 | JP 1999-509910 | 19980716 |
| PRIORITY APPLN. INFO.: | | | US 1997-53678P | P 19970724 |
| | | | WO 1998-US14761 | W 19980716 |

OTHER SOURCE(S): MARPAT 130:163975

AB Constructs of peptides and nucleic acid analogs **conjugated** together for transport across a lipid membrane and for delivery into interactive contact with intracellular polynucleotides are disclosed. Transport is effected through at least the exterior membrane of a cell, and most likely also through the walls of subcellular structures sepd. from the cytosol by lipid membranes, including the nucleus, mitochondria, ribosomes, etc. Peptide nucleic acid (PNA) analog sequences **conjugated** through a labile disulfide bond to transporting peptides, are intracellularly cleaved, and target mRNA (antigene) or dsDNA (antisense). Such **conjugates** may be used for selective inhibition of transcription, translation, RNA or DNA expression, DNA replication, and DNA or RNA regulatory functions. Thus, a PNA antisense to the human type 1 galanin receptor is linked via a labile cysteine disulfide bond to biotin-labeled peptides known to import cell membrane permeant properties, i.e., transportan [galanin(1-12)-Lys-mastoparan(1-14)amide] or pAntennapedia [pAntp(43-58), the third helix of Atennapedia homeodomain]. The resulting **conjugates** demonstrate improved internalization and down-regulate the human galanin receptor in Bowes cell line and in rat spinal cord in vivo.

IT 220337-24-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(**conjugates** of transporter peptides and nucleic acid analogs for improved delivery of antisense constructs)

IT 214556-79-3

RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(**conjugates** of transporter peptides and nucleic acid analogs for improved delivery of antisense constructs)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 51 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:52835 HCAPLUS
 DOCUMENT NUMBER: 130:261569

TITLE: A Sos-derived peptidimer blocks the Ras signaling pathway by binding both Grb2 SH3 domains and displays antiproliferative activity

AUTHOR(S): Cussac, Didier; Vidal, Michel; Leprince, Corinne; Liu, Wang-Qing; Cornille, Fabrice; Tiraboschi, Gilles; Roques, Bernard P.; Garbay, Christiane

CORPORATE SOURCE: Department de Pharmacochimie Moleculaire et Structurale, INSERM U266-CNRS UMR 8600, UFR des Sciences Pharmaceutiques et Biologiques, Paris, 75270, Fr.

SOURCE: FASEB Journal (1999), 13(1), 31-38
CODEN: FAJOEC; ISSN: 0892-6638

PUBLISHER: Federation of American Societies for Experimental Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB With the aim of interrupting the growth factor-stimulated Ras signaling pathway at the level of the Grb2-Sos interaction, a peptidimer, made of two identical proline-rich sequences from Sos linked by a lysine spacer, was designed using structural data from Grb2 and a proline-rich peptide complexed with its SH3 domains. The peptidimer affinity for Grb2 is 40 nM whereas that of the monomer is 16 .mu.M, supporting the dual recognition of both Grb2 SH3 domains by the dimer. At 50 nM, the peptidimer blocks selectively Grb2-Sos complexation in ER 22 (CCL 39 fibroblasts overexpressing epidermal growth factor receptor) cellular exts. The peptidimer specifically recognizes Grb2 and does not interact with PI3K or Nck, two SH3 domain-contg. adaptors. The peptidimer was modified to enter cells by coupling to a fragment of Antennapedia homeodomain. At 10 .mu.M, the **conjugate** inhibits the Grb2-Sos interaction (100%) and MAP kinase (ERK1 and ERK2) phosphorylation (60%) without modifying cellular growth of ER 22 cells. At the same concn., the **conjugate** also inhibits both MAP kinase activation induced by nerve growth factor or epidermal growth factor in PC12 cells, and differentiation triggered by nerve growth factor. Finally, when tested for its antiproliferative activity, the **conjugate** was an efficient inhibitor of the colony formation of transformed NIH3T3/HER2 cells grown in soft agar, with an IC50 of around 1 .mu.M. Thus, the designed peptidimers appear to be interesting leads to investigate signaling and intracellular processes and for designing selective inhibitors of tumorigenic Ras-dependent processes.

IT 221694-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Sos-derived peptidimer blocking Ras signaling pathway by binding both Grb2 SH3 domains and displaying antiproliferative activity in transformed NIH3T3/HER2 cells)

REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 48 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:706107 HCAPLUS

DOCUMENT NUMBER: 129:310883

TITLE: Peptide antiestrogen compositions and methods for treating breast cancer

INVENTOR(S): Pietras, Richard J.

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9846250 | A1 | 19981022 | WO 1998-US7711 | 19980414 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9871273 | A1 | 19981111 | AU 1998-71273 | 19980414 |
| AU 737627 | B2 | 20010823 | | |
| EP 1005357 | A1 | 20000607 | EP 1998-918327 | 19980414 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9808863 | A | 20010918 | BR 1998-8863 | 19980414 |
| MX 9909371 | A | 20000831 | MX 1999-9371 | 19991013 |
| US 6306832 | B1 | 20011023 | US 1999-419826 | 19991014 |
| PRIORITY APPLN. INFO.: | | | US 1997-43545P | P 19970414 |
| | | | WO 1998-US7711 | W 19980414 |

OTHER SOURCE(S): MARPAT 129:310883

AB Methods and compns. are disclosed which comprise native, site-specifically mutagenized, and synthetic peptides comprising portions of the human estrogen receptor, or estrogen receptor co-activator, and nucleic acid compns. encoding these polypeptide compns. Also disclosed are methods for synthesizing phosphotyrosyl and malonyltyrosyl peptide derivs. and their use as antiestrogen compns. in the treatment of breast cancers, the prepn. of pharmaceutical compns., diagnostic kits, and the development of related assays for use in antitumor therapies.

IT **188842-14-0**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptide antiestrogen compns. and methods for treating breast cancer)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 49 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:678096 HCAPLUS

DOCUMENT NUMBER: 130:57118

TITLE: Delivery of antisense oligonucleotides using HPMA polymer: synthesis of a thiol polymer and its **conjugation** to water-soluble molecules

AUTHOR(S): Wang, Laixin; Kristensen, Jakob; Ruffner, Duane E.

CORPORATE SOURCE: Department of Pharmaceutics and Pharmaceutical Chemistry, University of Utah, Salt Lake City, UT, 84108, USA

SOURCE: Bioconjugate Chemistry (1998), 9(6), 749-757

CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We report the synthesis and characterization of N-(2-hydroxypropyl)methacrylamide (HPMA)-based polymers for use as carriers for

the delivery of water-sol. drugs. The polymers contain active-sulfhydryl groups for coupling of ligands through a disulfide linkage. The polymers can also be prep'd. contg. pendant amino groups in addn. to the active-sulfhydryl moiety. This allows the use of different chemistries to **conjugate** a variety of ligands to the polymer. We demonstrate that a sulfhydryl-terminated antisense oligonucleotide can be efficiently and rapidly **conjugated** to the polymers. The polymer-oligonucleotide **conjugate** is efficiently taken up by cultured cells.

IT 209323-98-8

RL: PRP (Properties)

(kinetics of reaction with thiol methacrylamide polymers)

IT 209323-98-8DP, reaction products with thiol methacrylamide polymer and oligonucleotide

RL: SPN (Synthetic preparation); PREP (Preparation)

(thiol polymers for delivery of antisense oligonucleotides)

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 50 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:268390 HCAPLUS

DOCUMENT NUMBER: 128:312935

TITLE: Process for producing biologically active polymer nanoparticle-nucleic acid **conjugates**

INVENTOR(S): Bayer, Ernst; Fritz, Hans; Maier, Martin

PATENT ASSIGNEE(S): SKW Trostberg A.-G., Germany; Bayer, Ernst; Fritz, Hans; Maier, Martin

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|--------------------|----------|
| WO 9817317 | A2 | 19980430 | WO 1997-EP5790 | 19971021 |
| WO 9817317 | A3 | 19980806 | | |
| W: AU, BR, CA, JP, KR, MX, NO, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| DE 19746362 | A1 | 19980430 | DE 1997-19746362 | 19971021 |
| AU 9868108 | A1 | 19980515 | AU 1998-68108 | 19971021 |
| EP 934082 | A2 | 19990811 | EP 1997-948768 | 19971021 |
| EP 934082 | B1 | 20020717 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE | | | | |
| JP 2001503041 | T2 | 20010306 | JP 1998-518954 | 19971021 |
| AT 220559 | E | 20020815 | AT 1997-948768 | 19971021 |
| US 2003087436 | A1 | 20030508 | US 2002-174617 | 20020619 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | DE 1996-19643738 A | 19961023 |
| | | | WO 1997-EP5790 W | 19971021 |
| | | | US 1999-295817 B1 | 19990421 |

AB The title **conjugates** are prep'd. by polymg. vinyl monomers with a low water soly. in an aq. soln., then reacting the resulting polymer suspensions with the nucleic acids. The vinyl monomers are emulsion polymd. in the presence of cationic radical initiators but in the absence of any emulsifier. The polymer nanoparticle-nucleic acid **conjugates** are sufficiently stable in biol. media and show high transport efficiency through cellular membranes. The **conjugates** are useful for regulation of gene expression and for gene transfer. The

conjugated nucleic acids are protected from enzymic degrdn. The particles show a high degree of loading with nucleic acid. Thus, a copolymer of styrene and 2,2'-azobis(2-amidinopropane)-2HCl was prepd. in aq. medium by emulsion polymn. at 80.degree. and dialyzed to remove residual monomer and dissolved polymer. The polymer suspension (particle size 400-500 nm) was incubated with a 20-mer phosphorothioate oligodeoxynucleotide for 12-24 h; the loading d. was 10.6 mg oligonucleotide/g polymer.

IT 188842-14-0, Penetratin-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(polymer-oligodeoxyribonucleotide **conjugate** modified with; biol. active polymer nanoparticle-nucleic acid **conjugates**)

L6 ANSWER 51 OF 51 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:650363 HCAPLUS

DOCUMENT NUMBER: 127:314829

TITLE: Peptides and peptidomimetics for prevention of neuronal cell death, and uses thereof

INVENTOR(S): Troy, Carol M.

PATENT ASSIGNEE(S): Trustees of Columbia University In the City of New York, USA; Troy, Carol M.

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9735876 | A1 | 19971002 | WO 1997-US4158 | 19970304 |
| W: AU, CA, JP, MX, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 2003099638 | A1 | 20030529 | US 1996-610220 | 19960304 |
| AU 9735230 | A1 | 19971017 | AU 1997-35230 | 19970304 |
| EP 938495 | A1 | 19990901 | EP 1997-919883 | 19970304 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| US 2002044931 | A1 | 20020418 | US 1998-150623 | 19980904 |
| PRIORITY APPLN. INFO.: | | | US 1996-610220 | A2 19960304 |
| | | | WO 1997-US4158 | W 19970304 |

OTHER SOURCE(S): MARPAT 127:314829

AB The invention provides compds. (AA1)n-Cys-(AA2)m [n, m = 0-5, provided (n+m).gtoreq.2 and .ltoreq.5; if n = 1, (AA1)n = Ala; if n = 2, (AA1)n = Gln-Ala; if n .gtoreq. 3, (AA1)n = (Xaa)p-Gln-Ala (= any amino acid) (if n = 3, p = 1; if n = 4, p = 2, if n = 5, p = 3); if m = 1, (AA2)m = Arg; if m = 2, (AA2)m = Arg-Gly; if m .gtoreq. 3, (AA2)m = Arg-Gly-(Xaa)q (if m = 3, q = 1; if m = 4, q = 2; if m = 5, q = 3)]. A method of inhibiting cell death and a method for alleviating symptoms of a neurodegenerative disorder in a subject are provided.. Multiple pathways for neuronal death induced by DNA-damaging agents, NGF deprivation, and superoxide dismutase-1 depletion are also addressed.

IT 188842-14-0D, peptide reaction products

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptides and peptidomimetics for prevention of neuronal cell death,

and uses thereof)

=> select hit rn 16 1-51
E1 THROUGH E28 ASSIGNED

=> fil reg
FILE 'REGISTRY' ENTERED AT 14:55:13 ON 15 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 JUL 2003 HIGHEST RN 548428-18-8
DICTIONARY FILE UPDATES: 14 JUL 2003 HIGHEST RN 548428-18-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> s e1-e28

| | |
|---|------------------|
| 1 | 188842-14-0/BI |
| | (188842-14-0/RN) |
| 1 | 209323-98-8/BI |
| | (209323-98-8/RN) |
| 1 | 376600-63-4/BI |
| | (376600-63-4/RN) |
| 1 | 393511-85-8/BI |
| | (393511-85-8/RN) |
| 1 | 404562-88-5/BI |
| | (404562-88-5/RN) |
| 1 | 404562-89-6/BI |
| | (404562-89-6/RN) |
| 1 | 404932-03-2/BI |
| | (404932-03-2/RN) |
| 1 | 214556-79-3/BI |
| | (214556-79-3/RN) |
| 1 | 220337-24-6/BI |
| | (220337-24-6/RN) |
| 1 | 221694-31-1/BI |
| | (221694-31-1/RN) |
| 1 | 254893-86-2/BI |
| | (254893-86-2/RN) |
| 1 | 264882-32-8/BI |
| | (264882-32-8/RN) |
| 1 | 264882-70-4/BI |
| | (264882-70-4/RN) |
| 1 | 300575-23-9/BI |
| | (300575-23-9/RN) |

1 300575-24-0/BI
(300575-24-0/RN)
1 300575-25-1/BI
(300575-25-1/RN)
1 321164-80-1/BI
(321164-80-1/RN)
1 344466-28-0/BI
(344466-28-0/RN)
1 402938-17-4/BI
(402938-17-4/RN)
1 459146-74-8/BI
(459146-74-8/RN)
1 463347-86-6/BI
(463347-86-6/RN)
1 465545-91-9/BI
(465545-91-9/RN)
1 466680-95-5/BI
(466680-95-5/RN)
1 473828-49-8/BI
(473828-49-8/RN)
1 489445-39-8/BI
(489445-39-8/RN)
1 489445-40-1/BI
(489445-40-1/RN)
1 491875-77-5/BI
(491875-77-5/RN)
1 497932-98-6/BI
(497932-98-6/RN)

L8 28 (188842-14-0/BI OR 209323-98-8/BI OR 376600-63-4/BI OR 393511-85-8/BI OR 404562-88-5/BI OR 404562-89-6/BI OR 404932-03-2/BI OR 214556-79-3/BI OR 220337-24-6/BI OR 221694-31-1/BI OR 254893-86-2/BI OR 264882-32-8/BI OR 264882-70-4/BI OR 300575-23-9/BI OR 300575-24-0/BI OR 300575-25-1/BI OR 321164-80-1/BI OR 344466-28-0/BI OR 402938-17-4/BI OR 459146-74-8/BI OR 463347-86-6/BI OR 465545-91-9/BI OR 466680-95-5/BI OR 473828-49-8/BI OR 489445-39-8/BI OR 489445-40-1/BI OR 491875-77-5/BI OR 497932-98-6/BI)

=> d stat que

L8 28 SEA FILE=REGISTRY ABB=ON (188842-14-0/BI OR 209323-98-8/BI OR 376600-63-4/BI OR 393511-85-8/BI OR 404562-88-5/BI OR 404562-89-6/BI OR 404932-03-2/BI OR 214556-79-3/BI OR 220337-24-6/BI OR 221694-31-1/BI OR 254893-86-2/BI OR 264882-32-8/BI OR 264882-70-4/BI OR 300575-23-9/BI OR 300575-24-0/BI OR 300575-25-1/BI OR 321164-80-1/BI OR 344466-28-0/BI OR 402938-17-4/BI OR 459146-74-8/BI OR 463347-86-6/BI OR 465545-91-9/BI OR 466680-95-5/BI OR 473828-49-8/BI OR 489445-39-8/BI OR 489445-40-1/BI OR 491875-77-5/BI OR 497932-98-6/BI)

L10 548 SEA FILE=REGISTRY ABB=ON RQIKIWFQNRMRMKWKK/SQSP

L11 28 SEA FILE=REGISTRY ABB=ON L8 AND L10

=> d sqide can l11 1-28

L11 ANSWER 1 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 497932-98-6 REGISTRY

CN L-Lysinamide, N-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]glycyl-L-cysteinyl-L-arginyl-L-glutaminy-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminy-L-asparaginy-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 18.

NTE modified

| type | location | description |
|---------------|----------|--|
| terminal mod. | Lys-18 | C-terminal amide |
| modification | Gly-1 | [5-(dimethylamino) -1-naphthalenyl]sulfonyl |

SEQ 1 GCRQIKIWFO NRRMKWKK

=====

HITS AT: 3-18

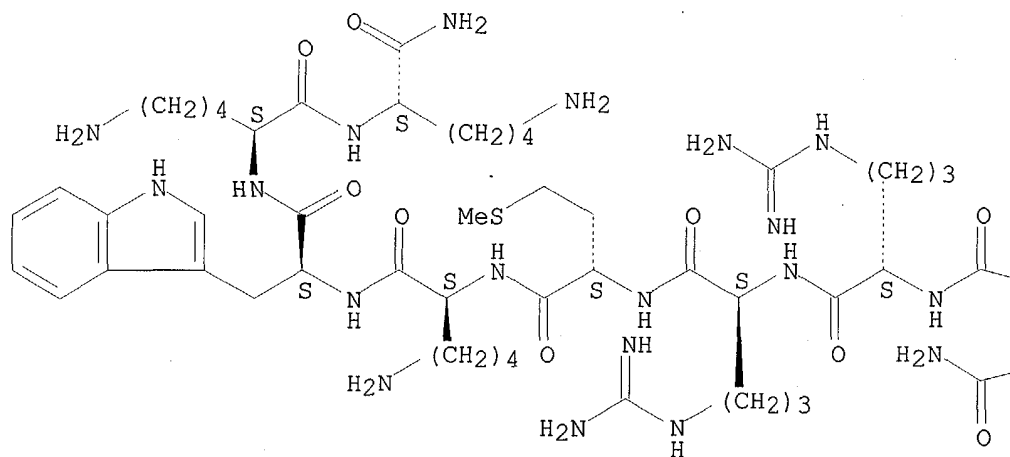
MF C121 H188 N38 O23 S3

SR CA

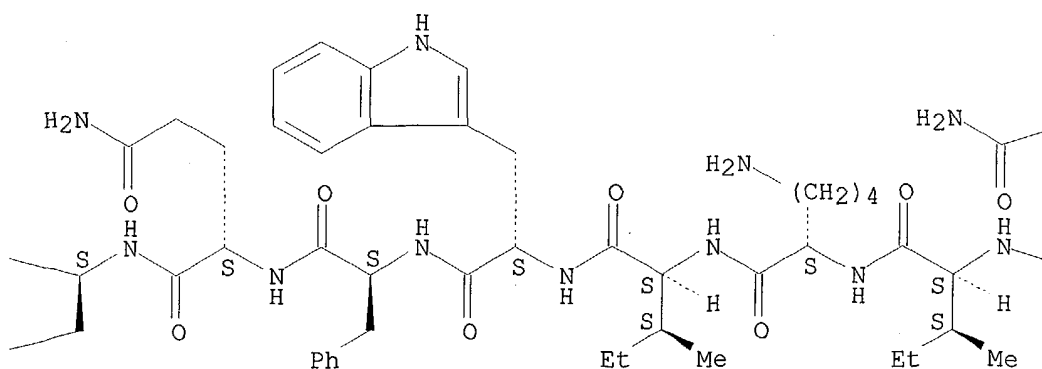
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

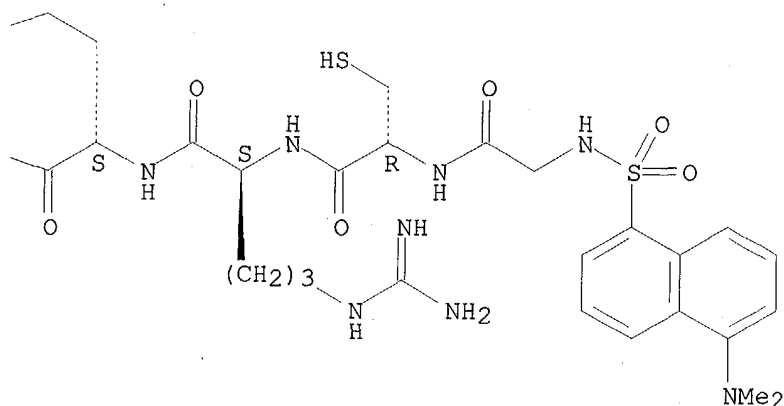
PAGE 1-A



PAGE 1-B



PAGE 1-C



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:184524

L11 ANSWER 2 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 491875-77-5 REGISTRY

CN L-Lysine, N2-[6-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]-1-oxohexyl]-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified (modifications unspecified)

| type | location | description |
|--------------|----------|---------------------------|
| uncommon | Oaa-1 | - |
| modification | Oaa-1 | undetermined modification |

SEQ 1 XRQIKIWFQN RRMKWKK

HITS AT: 2-17

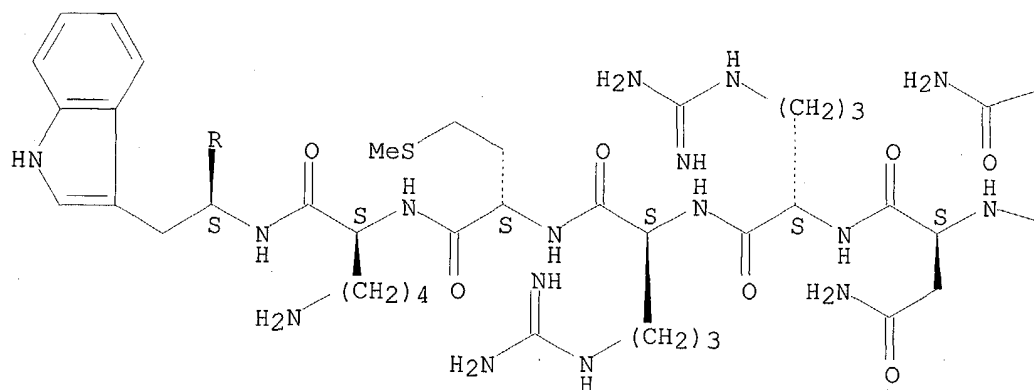
MF C131 H190 N36 O26 S2

SR CA

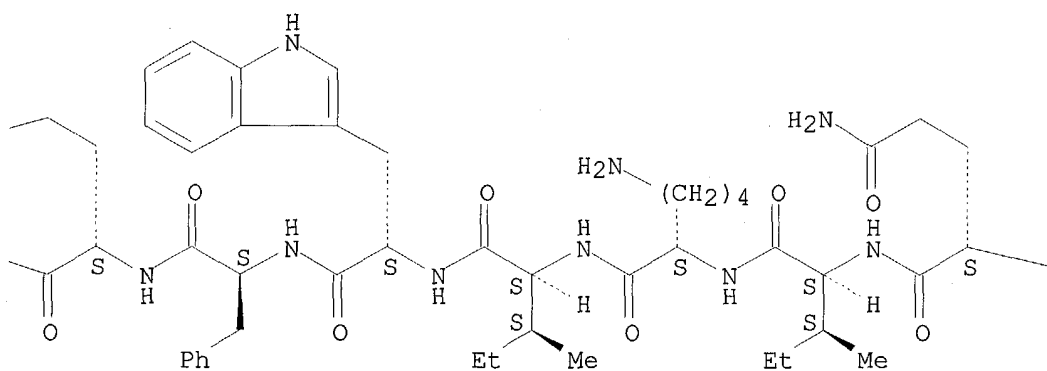
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

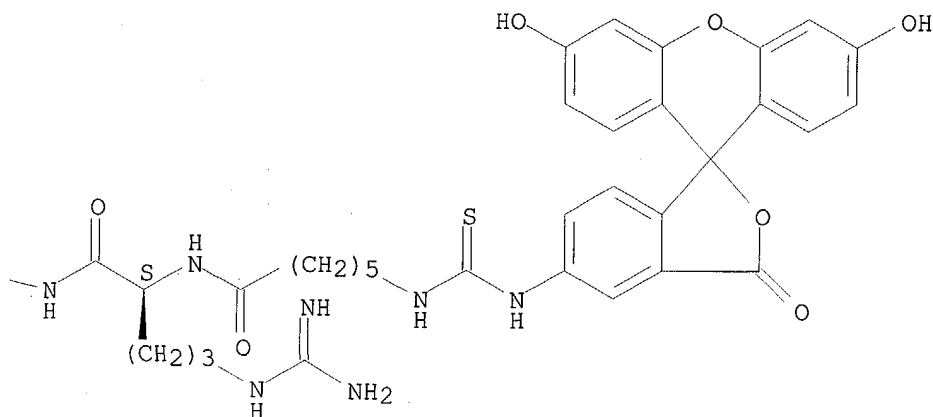
PAGE 1-A



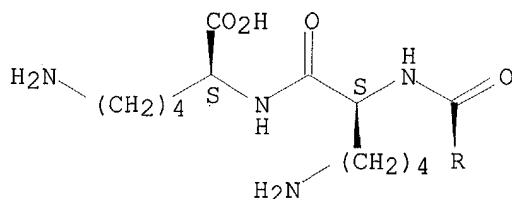
PAGE 1-B



PAGE 1-C



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:142467

L11 ANSWER 3 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 489445-40-1 REGISTRY

CN L-Cysteinamide, L-methionyl-L-leucyl-L-seryl-L-alanyl-L-leucyl-L-alanyl-L-arginyl-L-prolyl-L-valyl-L-seryl-L-alanyl-L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-seryl-L-phenylalanyl-L-seryl-L-threonyl-L-seryl-L-alanyl-, (22.fwdarw.17')-disulfide with N2-[6-[[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]amino]-1-oxohexyl]-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-L-lysyl-L-cysteinamide (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

SQL 39,22,17

NTE multichain

modified (modifications unspecified)

| type | location | description |
|--------|------------------|------------------|
| bridge | Cys-22 - Cys-17' | disulfide bridge |

SEQ 1 MLSALARPVS AALRRSFSTS AC

SEQ 1 RQIKIWFQNR RMKWKKC

HITS AT: 1-16

MF Unspecified

CI MAN

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:126878

L11 ANSWER 4 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 489445-39-8 REGISTRY

CN L-Cysteinamide, L-methionyl-L-leucyl-L-seryl-L-alanyl-L-leucyl-L-alanyl-L-arginyl-L-prolyl-L-valyl-L-seryl-L-alanyl-L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-seryl-L-phenylalanyl-L-seryl-L-threonyl-L-seryl-L-alanyl-N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L-lysyl-, (23.fwdarw.17')-disulfide with L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-L-lysyl-L-cysteinamide (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

SQL 40,23,17

NTE multichain

modified (modifications unspecified)

| type | location | description |
|--------|------------------|------------------|
| bridge | Cys-23 - Cys-17' | disulfide bridge |

SEQ 1 MLSALARPVS AALRRSFSTS AKC

SEQ 1 RQIKIWFQNR RMKWKKC

HITS AT: 1-16

MF Unspecified

CI MAN

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:126878

L11 ANSWER 5 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 473828-49-8 REGISTRY

CN L-Serine, L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-L-lysyl-L-valyl-L-.alpha.-aspartyl-(9CI) (CA INDEX NAME)

OTHER NAMES:

CN 44: PN: WO02083179 SEQID: 45 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 19

PATENT ANNOTATIONS (PNTE):

Sequence | Patent

Source | Reference

=====+=====

Not Given|WO2002083179

|claimed

|SEQID 45

SEQ 1 RQIKIWFQNR RMKWKKVDS

=====

HITS AT: 1-16

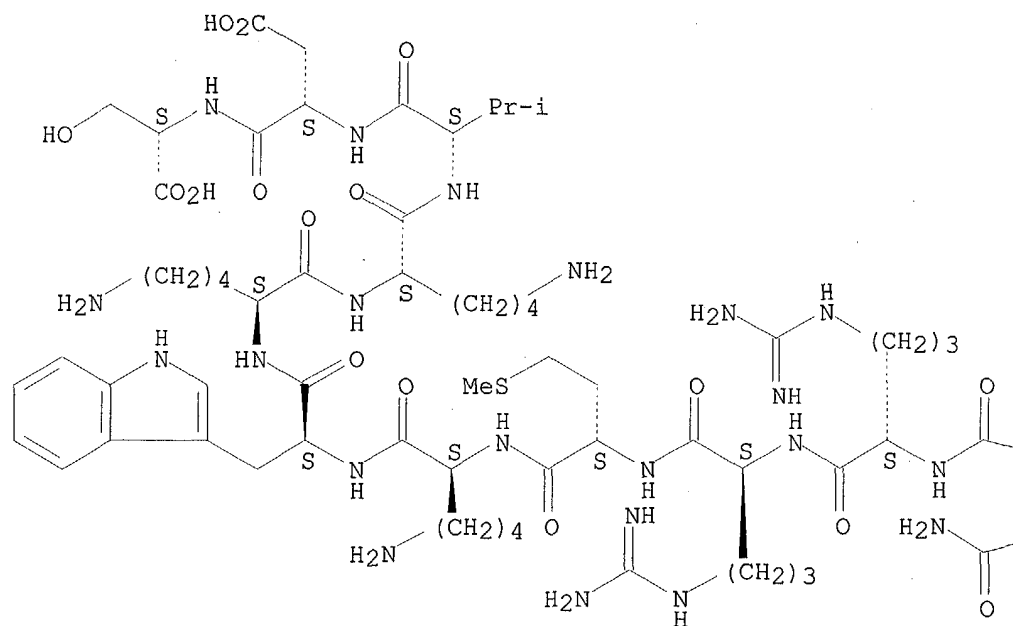
MF C116 H187 N37 O26 S

SR CA

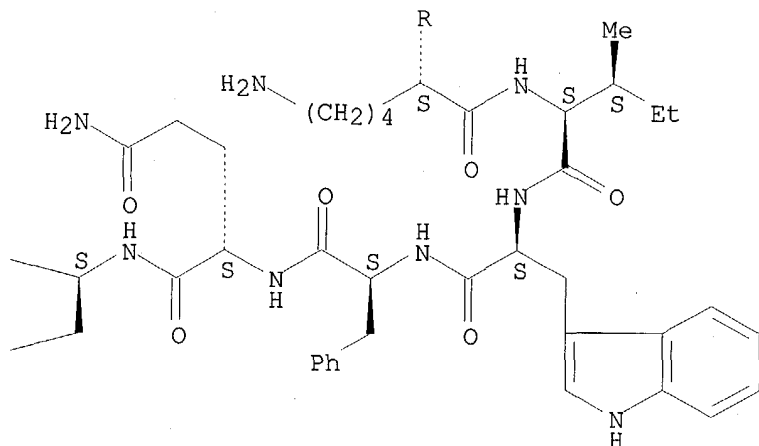
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

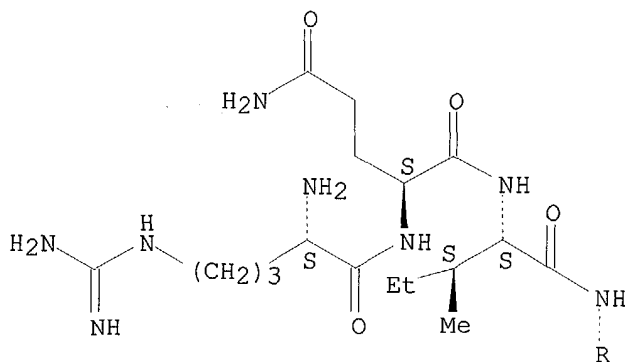
PAGE 1-A



PAGE 1-B



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPUS (1957 TO DATE)

REFERENCE 1: 137:333160

L11 ANSWER 6 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 466680-95-5 REGISTRY

CN L-Lysinamide, 2,3,4,5-tetradehydro-1-methyl-4-[[[1-methyl-4-[[2,3,4,5-tetradehydro-1-methyl-4-[[[1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[2,3,4,5-tetradehydro-1-methyl-4-[[[1-methyl-1H-imidazol-2-yl)carbonyl]amino]prolyl-.beta.-alanyl]amino]-1H-imidazol-2-

Searched by M. Smith

yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1H-imidazol-2-yl]carbonyl]amino]prolyl-.beta.-alanyl]amino]-1H-imidazol-2-yl]carbonyl]amino]prolyl-.beta.-alanyl[[2-[[3-[(3-aminopropyl)methylamino]propyl]amino]-2-oxoethyl]thio]acetyl]glycyl-L-prolyl]glycyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE
SQL 19
NTE modified (modifications unspecified)

SEQ 1 GPGRQIKIWF QNRRMKWKK

HITS AT: 4-19

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF Unspecified
CI MAN
SR CA
LC STN Files: CA, CAPLUS
1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:279440

L11 ANSWER 7 OF 28 REGISTRY COPYRIGHT 2003 ACS
RN 465545-91-9 REGISTRY
CN L-Lysinamide, N-(bromoacetyl)glycyl-L-prolyl]glycyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 19
NTE modified

| type | location | description |
|---------------|----------|------------------|
| terminal mod. | Lys-19 | C-terminal amide |
| modification | Gly-1 | bromoacetyl<Bac> |

SEQ 1 GPGRQIKIWF QNRRMKWKK

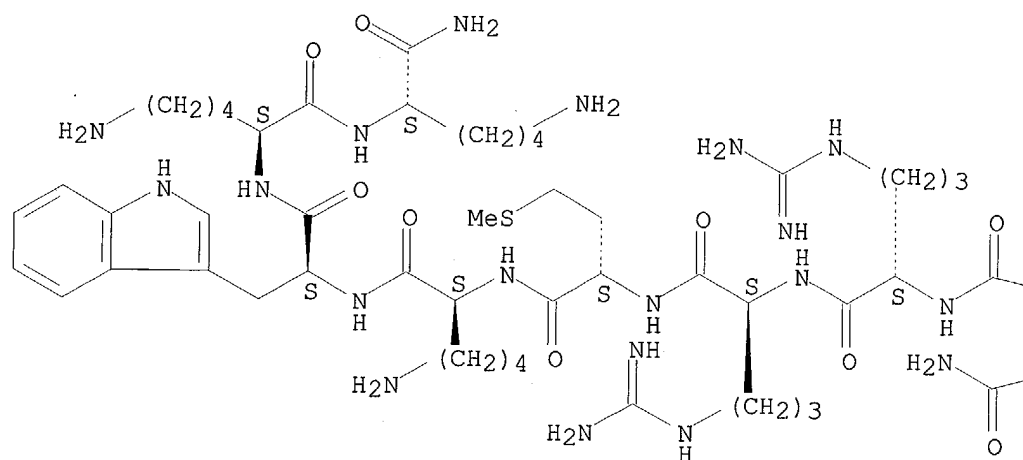
HITS AT: 4-19

RELATED SEQUENCES AVAILABLE WITH SEQLINK

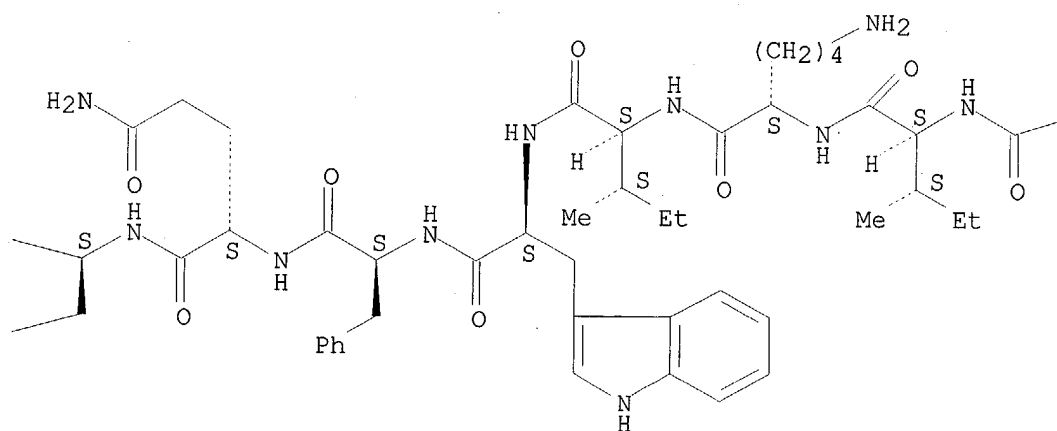
MF C115 H183 Br N38 O23 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

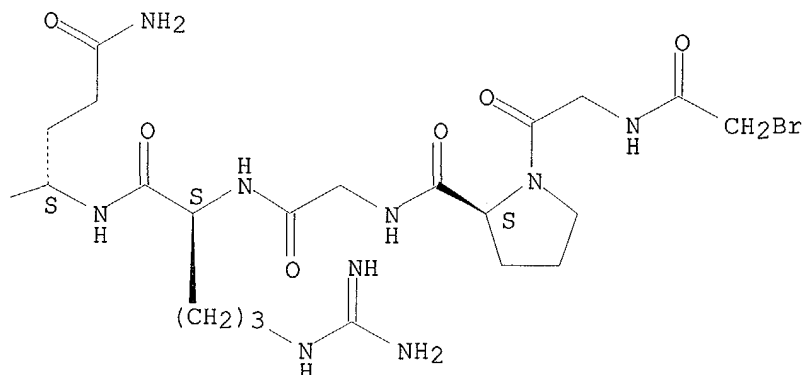
PAGE 1-A



PAGE 1-B



PAGE 1-C



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:279440

L11 ANSWER 8 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 463347-86-6 REGISTRY

CN L-Lysine, L-methionyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 42: PN: WO02074920 SEQID: 15 unclaimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

=====+=====

Not Given|WO2002074920

|unclaimed

|SEQID 15

SEQ 1 MRQIKIWFQN RRMKWKK

=====

HITS AT: 2-17

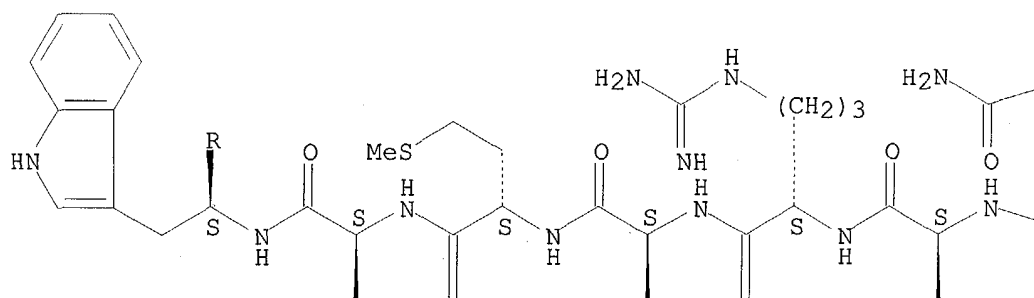
MF C109 H177 N35 O21 S2

SR CA

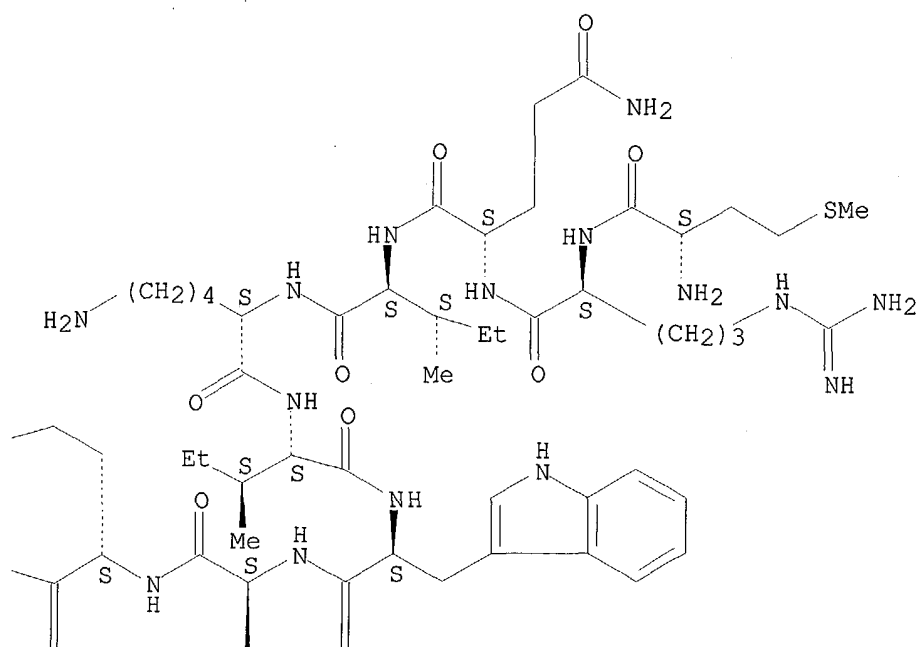
LC STN Files: CA, CAPLUS, TOXCENTER

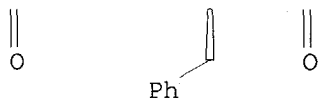
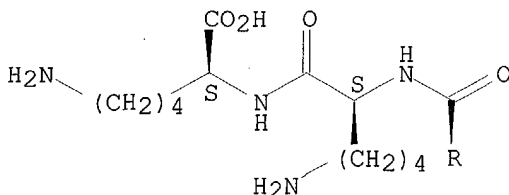
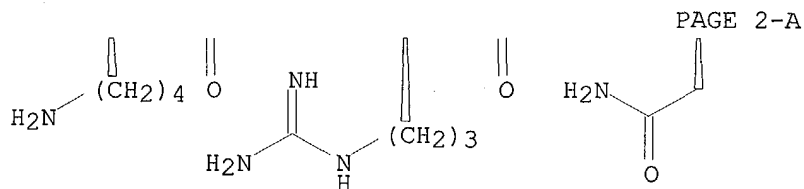
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





PAGE 2-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:261875

L11 ANSWER 9 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN **459146-74-8** REGISTRY

CN L-Lysinamide, L-cysteinyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-, (1.fwdarw.1')-disulfide with L-cysteinyl-L-histidyl-L-.alpha.-aspartyl-L-alanyl-L-prolyl-L-isoleucylglycyl-L-tyrosyl-L-aspartic acid (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 26,17,9

NTE multichain
modified

| type | ----- | location | ----- | description |
|---------------|--------|----------|-------|------------------|
| terminal mod. | Lys-17 | - | | C-terminal amide |
| bridge | Cys-1 | - Cys-1' | | disulfide bridge |

SEQ 1 CRQIKIWFQN RRMKWKK

HITS AT: 2-17

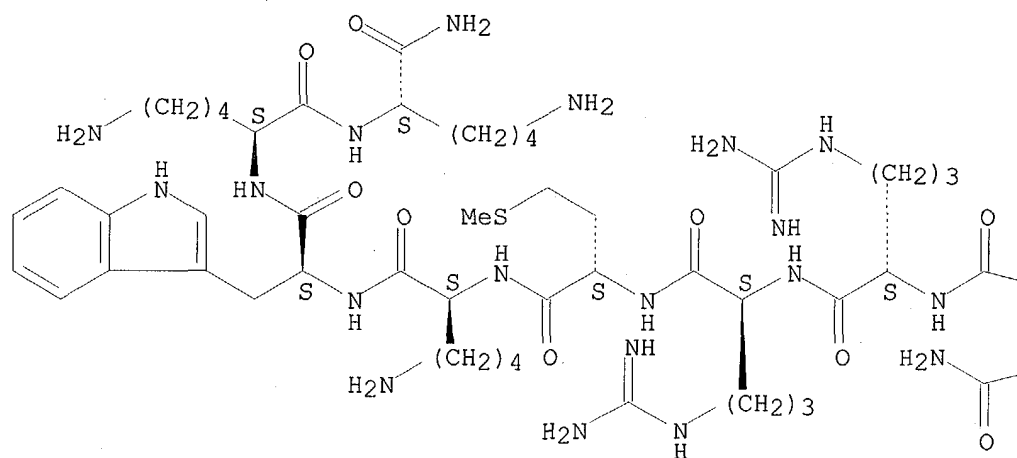
SEQ 1 CHDAPIGYD

MF C149 H231 N47 O35 S3

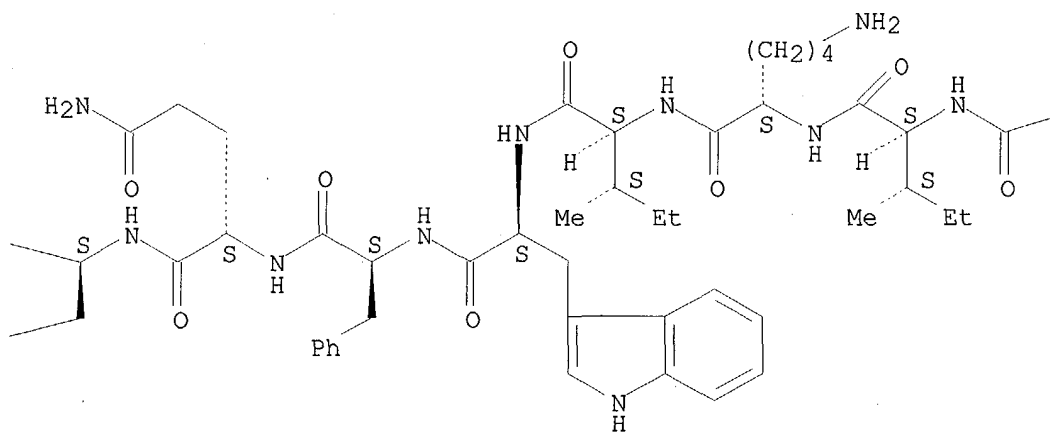
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

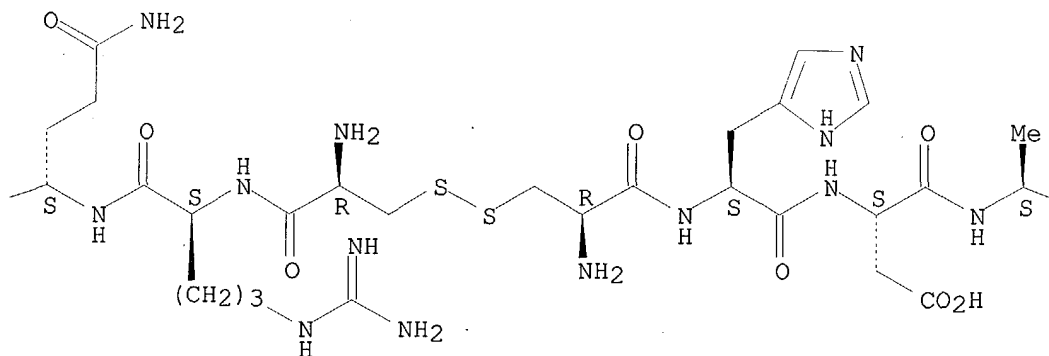
PAGE 1-A



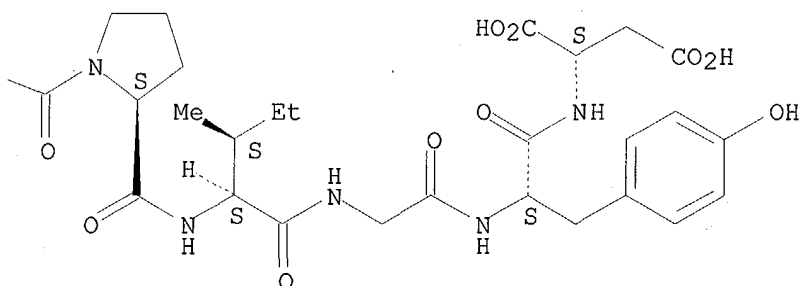
PAGE 1-B



PAGE 1-C



PAGE 1-D



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:237523

L11 ANSWER 10 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 404932-03-2 REGISTRY

CN L-Lysinamide, N-acetyl-L-cysteinyl-4-aminobutanoylglycylglycyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-, disulfide with DNA d(T-G-T-T-A-T-T-C-T-T-T-A-G-A-A-T-G-G) 3'-[O-[4-[(2-mercaptoethyl)amino]-4-oxobutyl] hydrogen phosphorothioate] (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

SQL 20

NTE modified (modifications unspecified)

| type | location | description |
|------|----------|-------------|
|------|----------|-------------|

Searched by M. Smith

 uncommon Oaa-2 - -

SEQ 1 CXGGRQIKIW FQNRMKWKK
 =====

HITS AT: 5-20

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF Unspecified

CI MAN

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

2 REFERENCES IN FILE CA (1957 TO DATE)

2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:279471

REFERENCE 2: 136:263470

L11 ANSWER 11 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 404562-89-6 REGISTRY

CN Peptide, (Cys-Xaa-Gly-Gly-Arg-Gln-Ile-Lys-Ile-Trp-Phe-Gln-Asn-Arg-Arg-Met-
 Lys-Trp-Lys-Lys) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 8: PN: WO0220544 SEQID: 8 unclaimed protein

FS PROTEIN SEQUENCE

SQL 20

NTE

 type ----- location ----- description

 uncommon Aaa-2 - -

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

=====+=====

Not Given|WO2002020544

|unclaimed

|SEQID 8

SEQ 1 CXGGRQIKIW FQNRMKWKK
 =====

HITS AT: 5-20

MF Unspecified

CI MAN

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

2 REFERENCES IN FILE CA (1957 TO DATE)

2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:279471

REFERENCE 2: 136:263470

L11 ANSWER 12 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 404562-88-5 REGISTRY
 CN Peptide, (Xaa-Gly-Gly-Arg-Gln-Ile-Lys-Ile-Trp-Phe-Gln-Asn-Arg-Arg-Met-Lys-Trp-Lys-Lys) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 7: PN: WO0220544 SEQID: 7 unclaimed protein

FS PROTEIN SEQUENCE

SQL 19

NTE

| type | location | description |
|----------|----------|-------------|
| uncommon | Aaa-1 | - |

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

=====+

Not Given|WO2002020544

|unclaimed

|SEQID 7

SEQ 1 XGGRQIKIWF QNRRMKWKK

=====

HITS AT: 4-19

MF Unspecified

CI MAN

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

2 REFERENCES IN FILE CA (1957 TO DATE)

2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:279471

REFERENCE 2: 136:263470

L11 ANSWER 13 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 402938-17-4 REGISTRY

CN L-Lysine, glycyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 9: PN: WO0218572 TABLE: 1 unclaimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

=====+

Not Given|WO2002018572

|unclaimed

|TABLE 1

SEQ 1 GRQIKIWFQN RRMKWKK

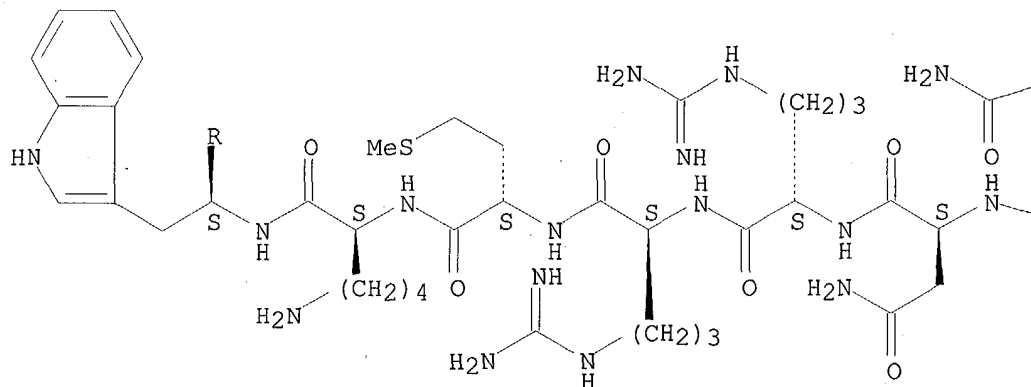
=====

HITS AT: 2-17

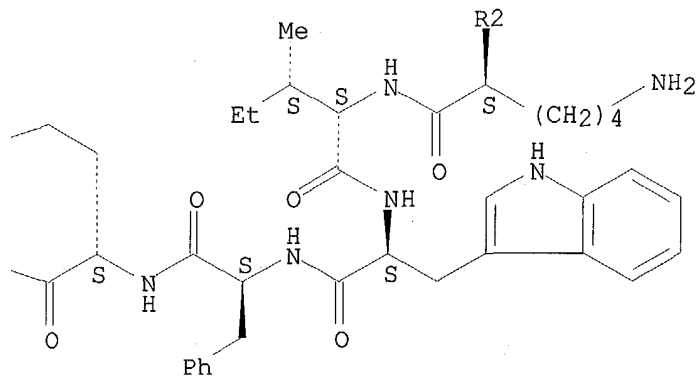
MF C106 H171 N35 O21 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

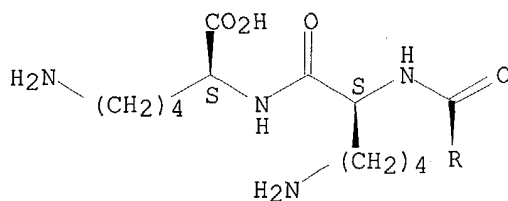
PAGE 1-A

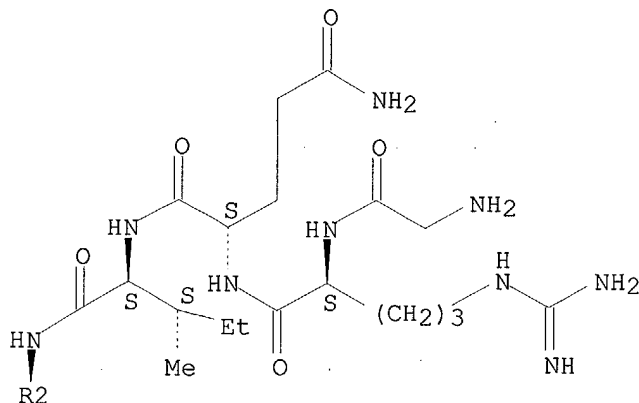


PAGE 1-B



PAGE 2-A





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:228585

L11 ANSWER 14 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 393511-85-8 REGISTRY

CN L-Cysteine, L-arginyl-L-glutaminy-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminy-L-asparaginy-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 3: PN: US20020151004 PAGE: 25 claimed protein

CN 3: PN: WO02057436 PAGE: 111 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

=====+=====

Not Given|WO2002057436

|claimed PAGE

|111

SEQ 1 RQIKIWFQNR RMKWKKC

=====

HITS AT: 1-16

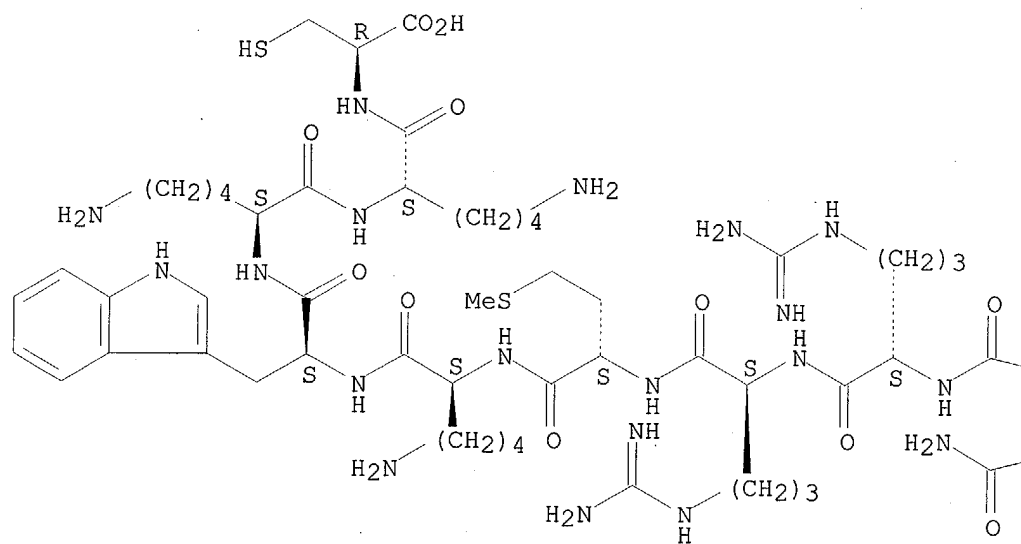
MF C107 H173 N35 O21 S2

SR CA

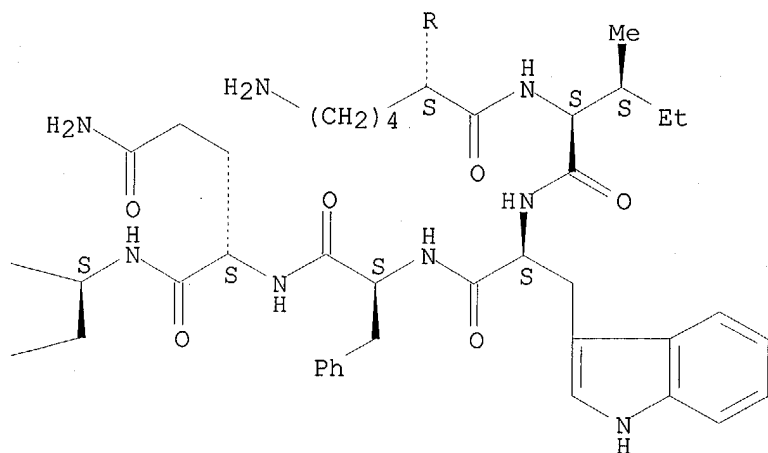
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

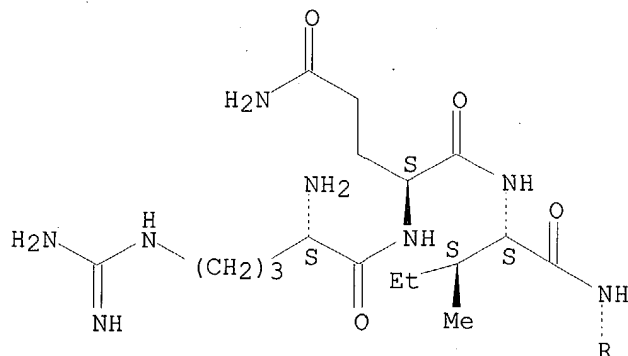
PAGE 1-A



PAGE 1-B



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1957 TO DATE)
4 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:329413

REFERENCE 2: 137:120671

REFERENCE 3: 136:156414

L11 ANSWER 15 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 376600-63-4 REGISTRY

CN L-Lysinamide, N-acetyl-L-cysteinyl-4-aminobutanoylglycylglycyl-L-arginyl-L-glutaminyll-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyll-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 20

NTE modified

| type | ----- | location | ----- | description |
|---------------|--------|----------|-------|------------------|
| terminal mod. | Cys-1 | - | | N-acetyl |
| terminal mod. | Lys-20 | - | | C-terminal amide |
| uncommon | Oaa-2 | - | | - |

SEQ 1 CXGGRQIKIW FQNRMRKWKK

HITS AT: 5-20

RELATED SEQUENCES AVAILABLE WITH SEQLINK

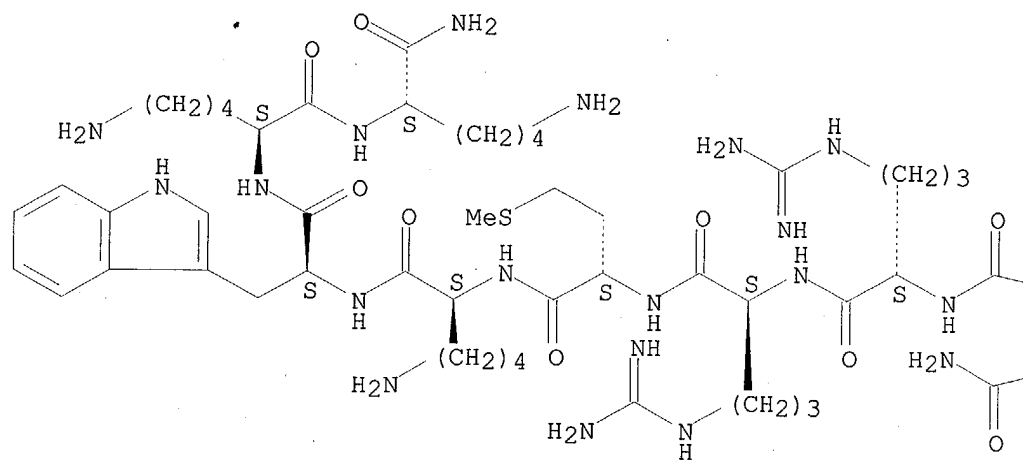
MF C117 H189 N39 O24 S2

SR CA

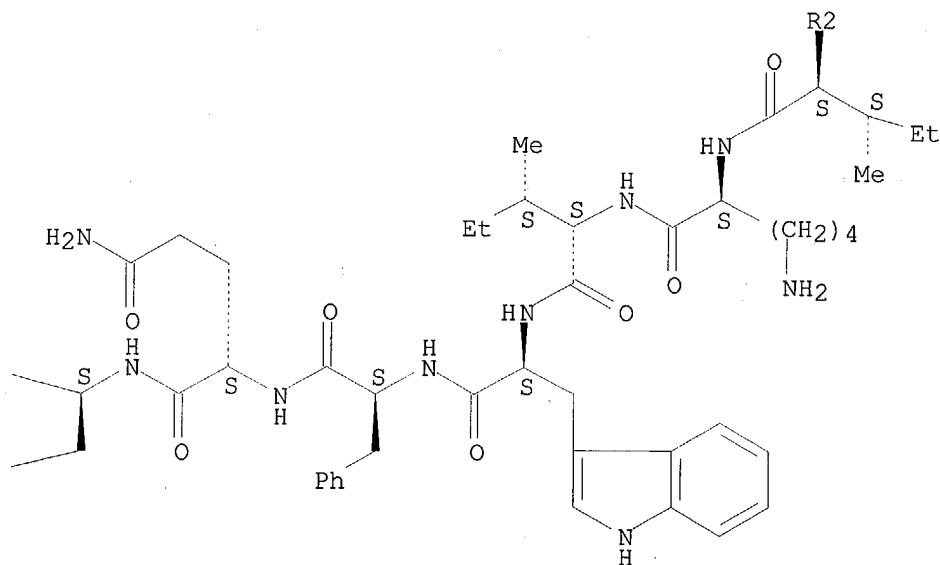
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

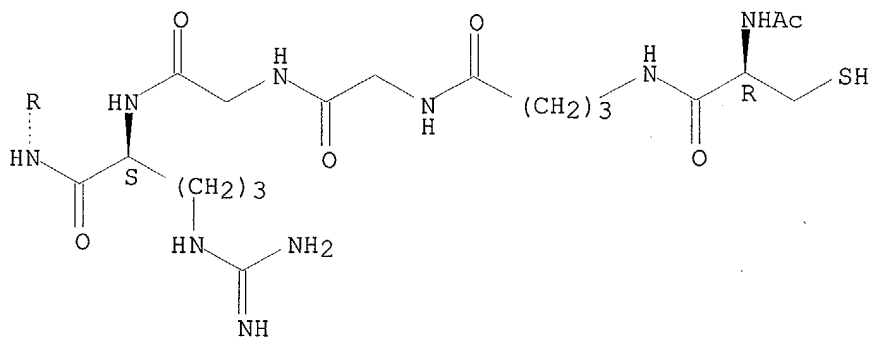
PAGE 1-A



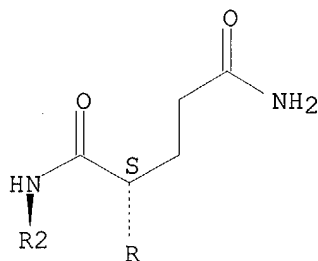
PAGE 1-B



PAGE 2-A



PAGE 3-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1957 TO DATE)
 3 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:279471

REFERENCE 2: 136:263470

REFERENCE 3: 136:20235

L11 ANSWER 16 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 344466-28-0 REGISTRY

CN D-Lysine, D-arginyl-D-glutamyl-D-isoleucyl-D-lysyl-D-isoleucyl-D-tryptophyl-D-phenylalanyl-D-glutamyl-D-asparagyl-D-arginyl-D-arginyl-D-methionyl-D-lysyl-D-tryptophyl-D-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 11: PN: WO02088370 SEQID: 12 claimed protein

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 16

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

Not Given|WO2002088370

|claimed
|SEQID 12

SEQ 1 RQIKIWFQNR RMKWKK

=====

HITS AT: 1-16

RELATED SEQUENCES AVAILABLE WITH SEQLINK

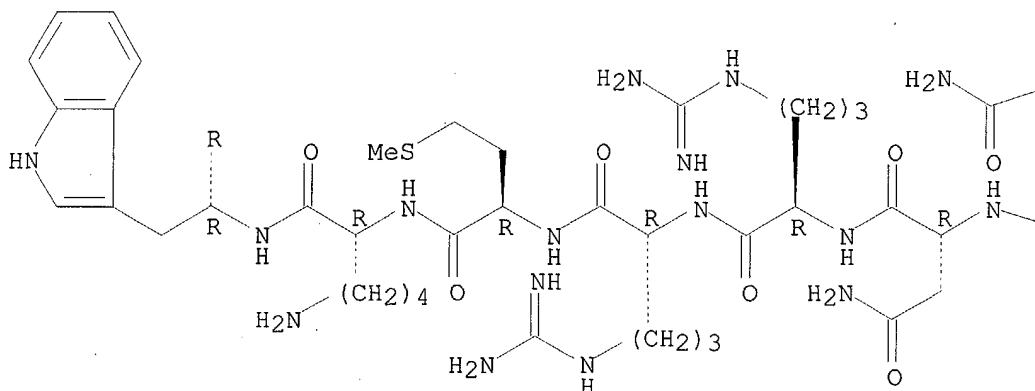
MF C104 H168 N34 O20 S

SR CA

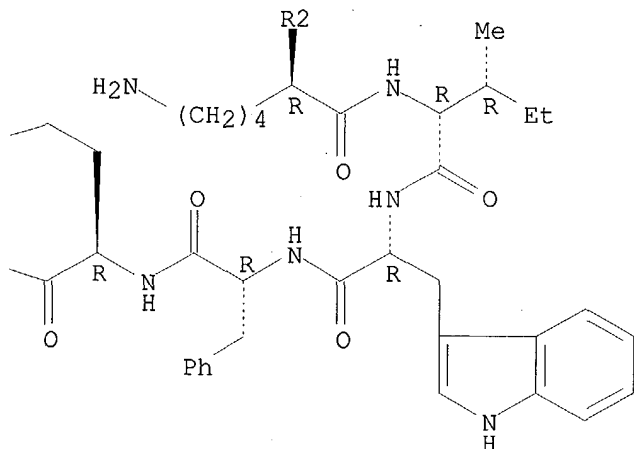
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

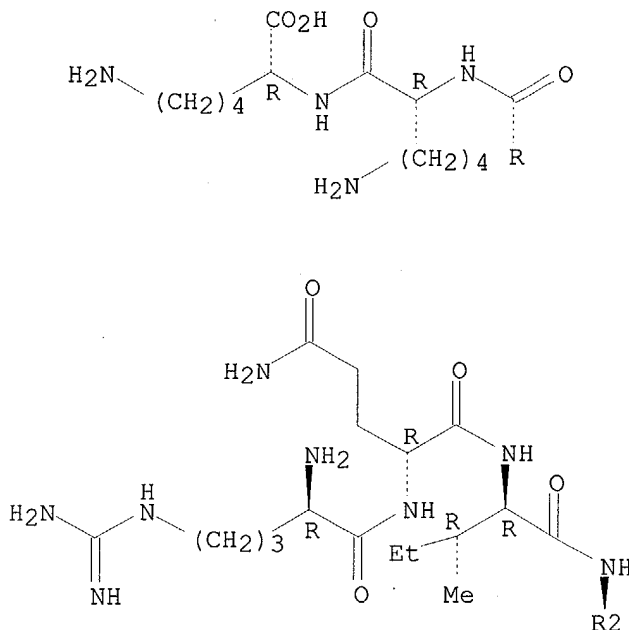
PAGE 1-A



PAGE 1-B



PAGE 2-A



2 REFERENCES IN FILE CA (1957 TO DATE)
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 137:364339

REFERENCE 2: 135:40598

L11 ANSWER 17 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN **321164-80-1** REGISTRY

CN DNA, d(P-thio)(T-G-G-C-G-T-C-T-T-C-C-A-T-T-T), 3'-[O-[3-[(L-arginyl-L-glutaminy-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminy-L-asparaginy-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-L-lysyl)amino]-2-hydroxypropyl] hydrogen phosphorothioate] (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

SQL 16

NTE conjugated
 modified (modifications unspecified)

SEQ 1 RQIKIWFAQNR RMKWKK

HITS AT: 1-16

****RELATED SEQUENCES AVAILABLE WITH SEQLINK****

MF Unspecified

CI MAN

SR CA

LC STN Files: CA, CAPLUS

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 134:116219

L11 ANSWER 18 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 300575-25-1 REGISTRY

CN L-Lysine, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[4-[(1,4-dioxopentyl)amino]butyl]-L-lysyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified (modifications unspecified)

| type | location | description |
|--------------|----------|------------------------------------|
| modification | Lys-1 | (1,1-dimethylethoxy) carbonyl<Boc> |
| modification | Lys-1 | undetermined modification |

SEQ 1 KRQIKIWFQN RRMKWKK

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

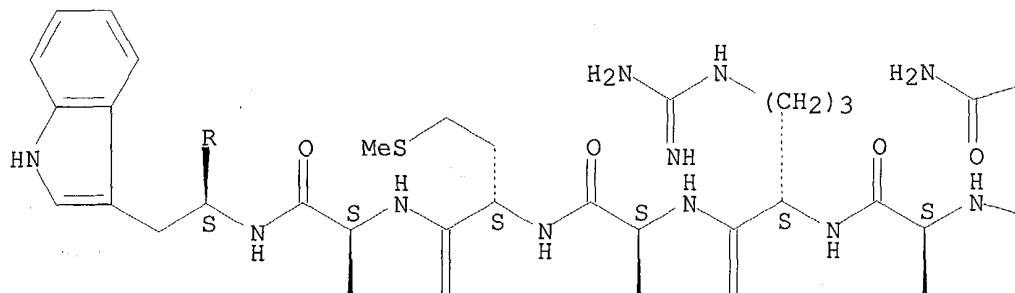
MF C124 H203 N37 O25 S

SR CA

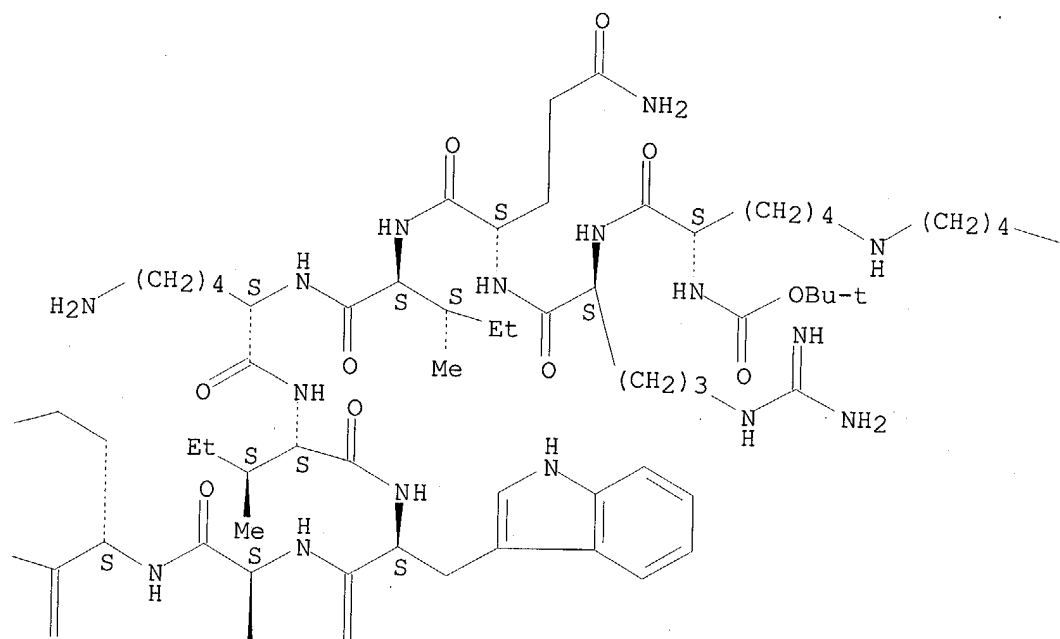
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

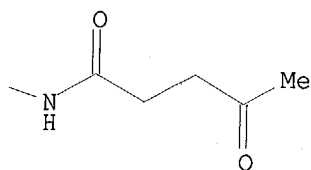
PAGE 1-A

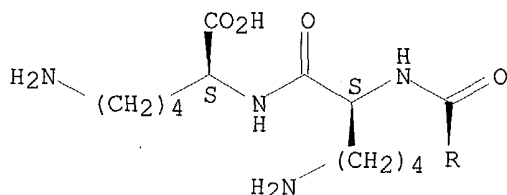
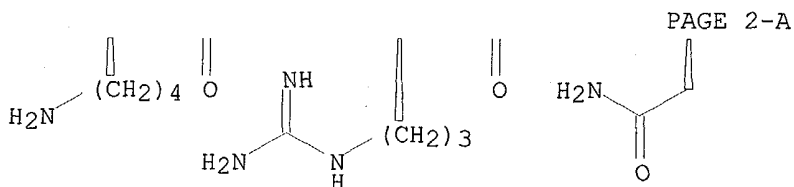


PAGE 1-B

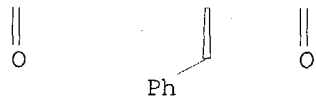


PAGE 1-C





PAGE 2-B



- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:292464

L11 ANSWER 19 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 300575-24-0 REGISTRY

CN L-Lysine, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[4-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]butyl]-L-lysyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified (modifications unspecified)

| type | location | description |
|--------------|----------|------------------------------------|
| modification | Lys-1 | (1,1-dimethylethoxy) carbonyl<Boc> |
| modification | Lys-1 | undetermined modification |

SEQ 1 KRQIKIWFQN RRMKWKK

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

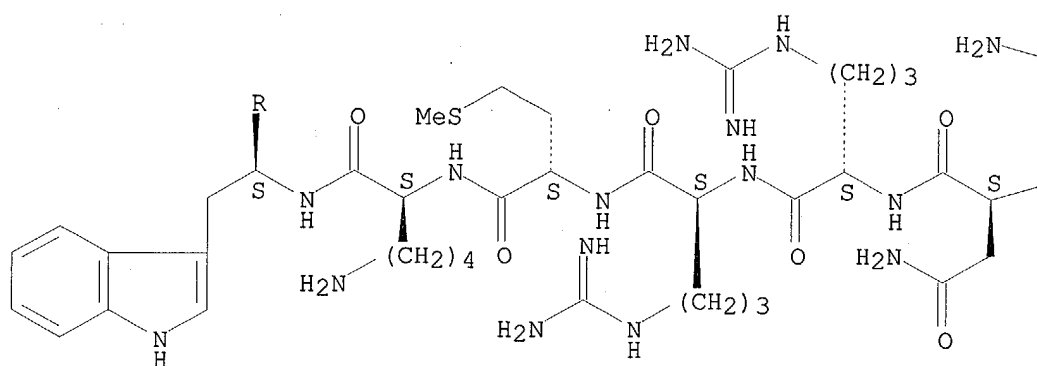
MF C134 H207 N37 O25 S

SR CA

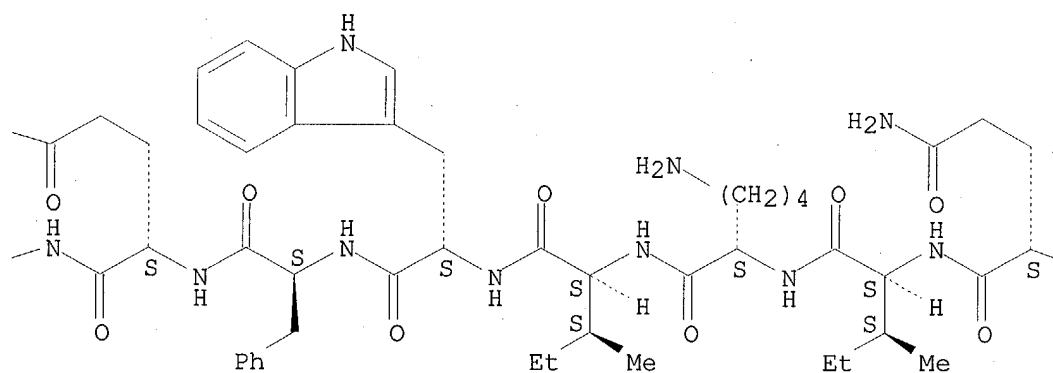
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

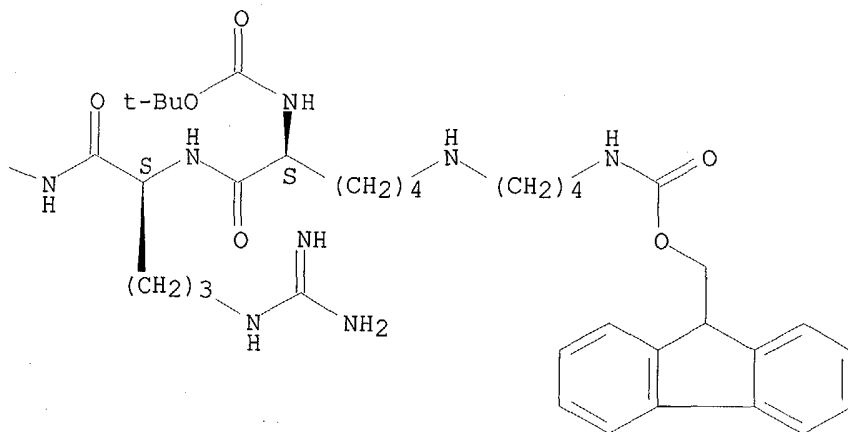
PAGE 1-A



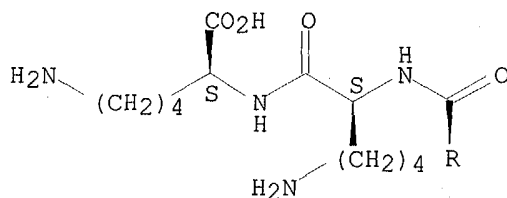
PAGE 1-B



PAGE 1-C



PAGE 2-A



- 1 REFERENCES IN FILE CA (1957 TO DATE)
- 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:292464

L11 ANSWER 20 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 300575-23-9 REGISTRY

CN L-Lysine, N6-[4-[(1,4-dioxopentyl)amino]butyl]-L-lysyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified (modifications unspecified)

| type | location | description |
|--------------|----------|---------------------------|
| modification | Lys-1 | undetermined modification |

SEQ 1 KRQIKIWFQN RRMKWKK
=====

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

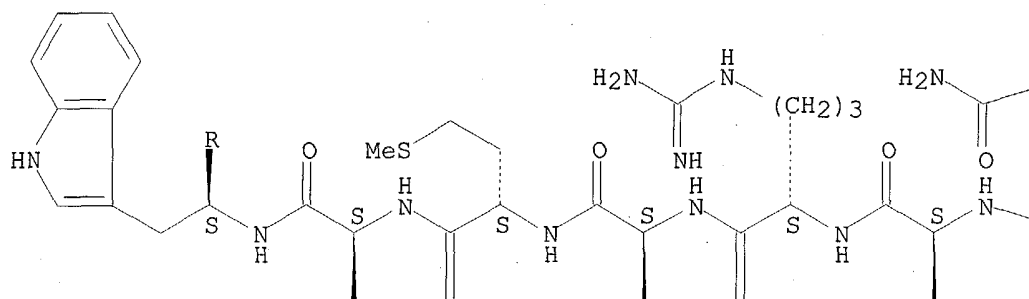
MF C119 H195 N37 O23 S

SR CA

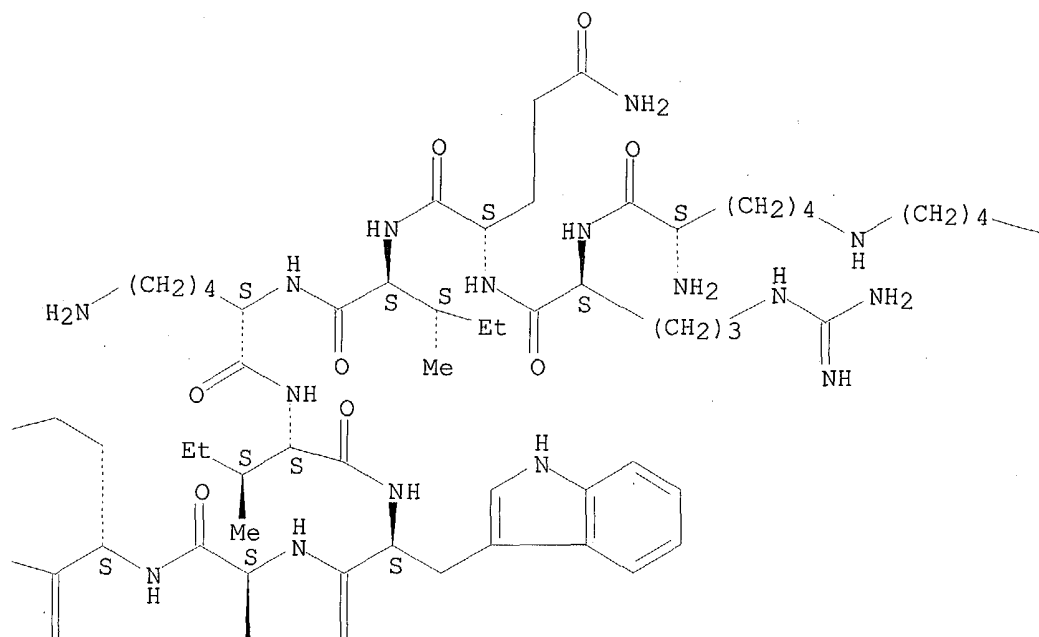
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

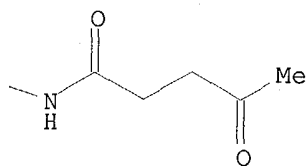
PAGE 1-A

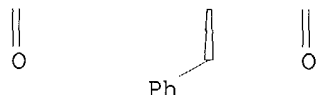
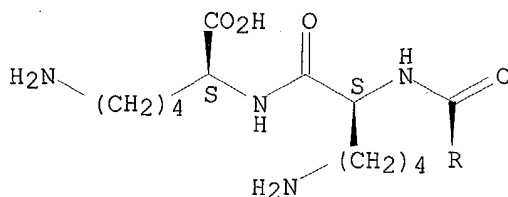
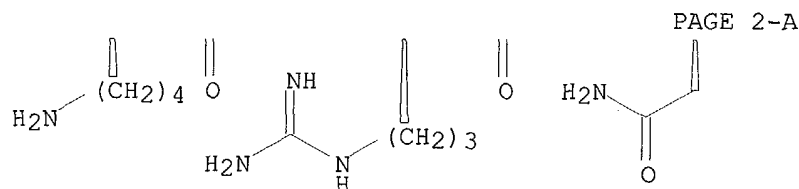


PAGE 1-B



PAGE 1-C





PAGE 2-B

1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:292464

L11 ANSWER 21 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 264882-70-4 REGISTRY

CN L-Lysine, N-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]-.beta.-alanyl-L-arginyl-L-glutaminy-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminy-L-asparaginy-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl-(9CI) (CA INDEX NAME)

OTHER NAMES:

CN 37: PN: WO0029427 PAGE: 23 claimed protein

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified (modifications unspecified)

| type | location | description |
|--------------|----------|---------------------------|
| uncommon | Bal-1 | - |
| modification | Bal-1 | undetermined modification |

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

====+=====

Not Given|WO2000029427

|claimed PAGE

|23

SEQ 1 XRQIKIWFQN RRMKWKK

=====

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

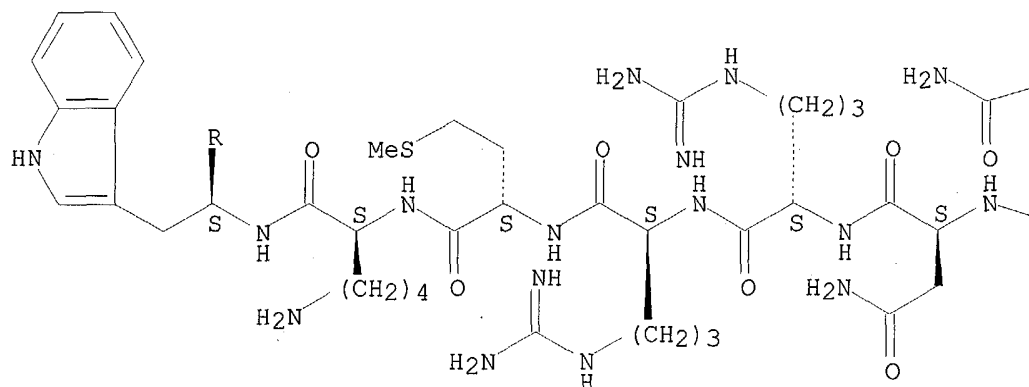
MF C128 H183 N35 O27 S

SR CA

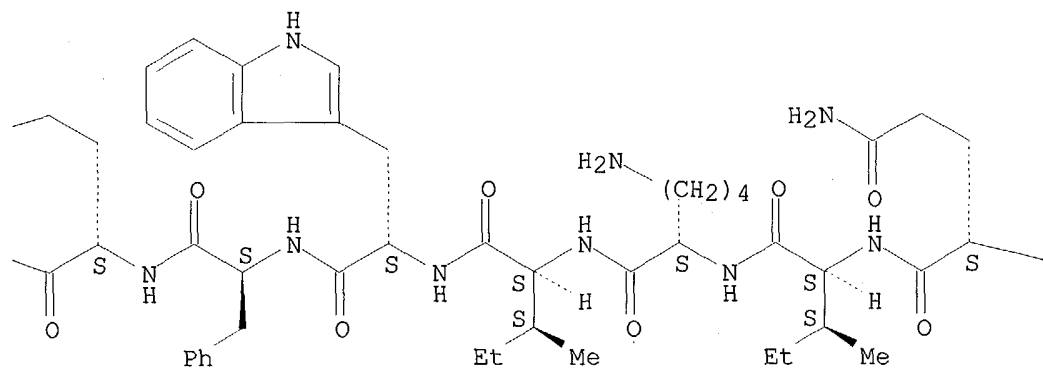
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

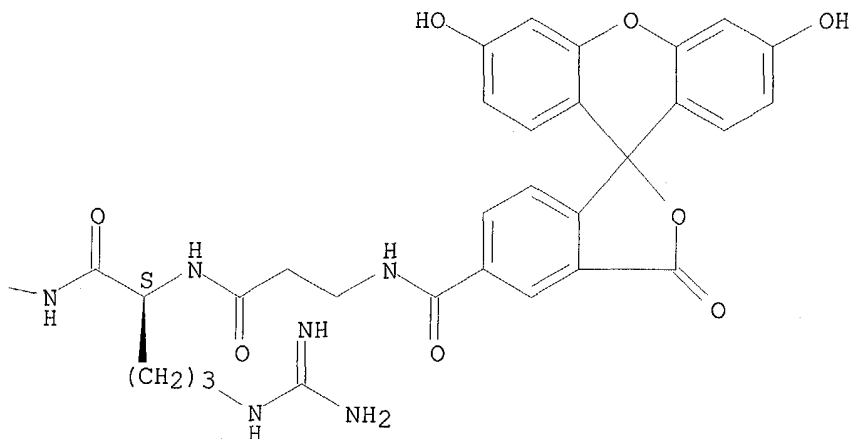
PAGE 1-A



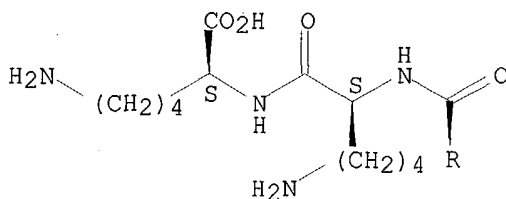
PAGE 1-B



PAGE 1-C



PAGE 2-A



2 REFERENCES IN FILE CA (1957 TO DATE)
2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:9081

REFERENCE 2: 132:308631

L11 ANSWER 22 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 264882-32-8 REGISTRY

CN L-Lysinamide, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-.beta.-alanyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 1: PN: WO0029427 TABLE: 1 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified (modifications unspecified)

| type | location | description |
|----------|----------|-------------|
| uncommon | Bal-1 | - |

PATENT ANNOTATIONS (PNTE):

Sequence | Patent
Source | Reference
=====+=====

Not Given|WO2000029427
|claimed
|TABLE 1

SEQ 1 XRQIKIWFQN RRMKWKK
=====

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

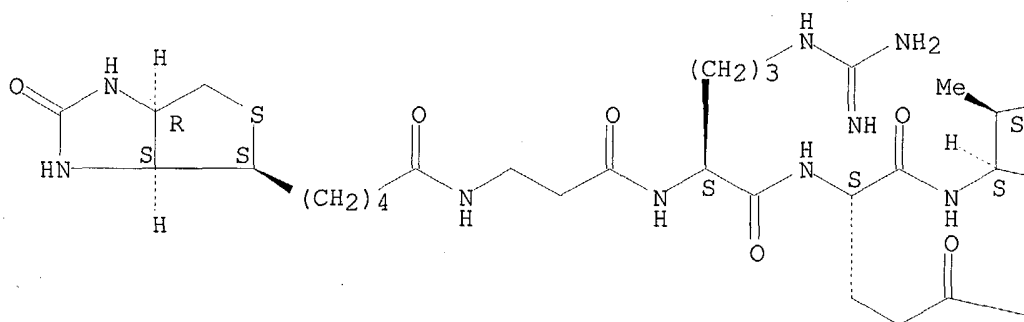
MF C117 H188 N38 O22 S2

SR CA

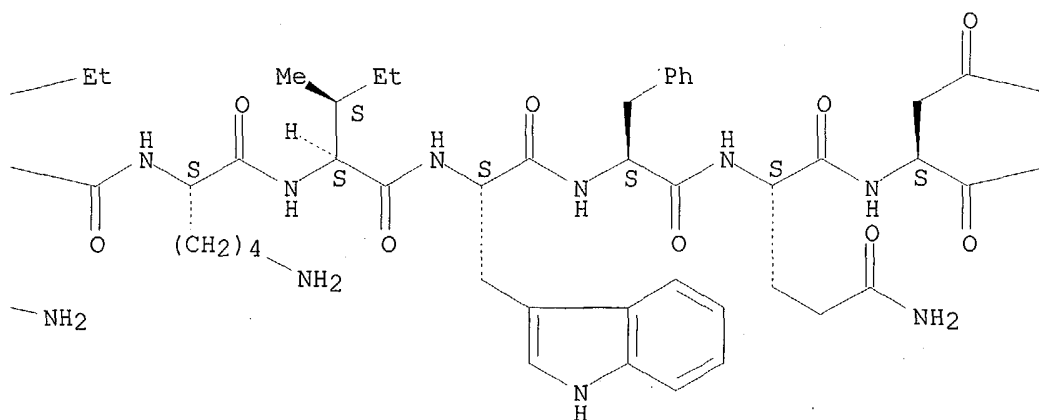
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

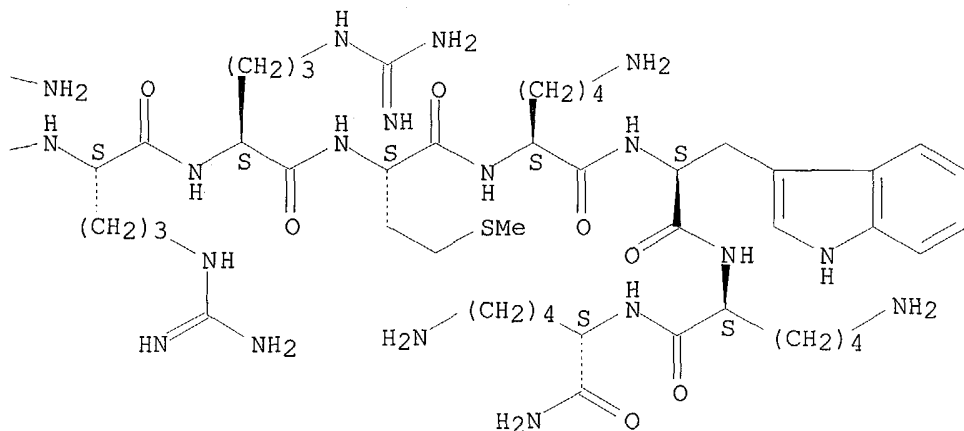
PAGE 1-A



PAGE 1-B



PAGE 1-C



2 REFERENCES IN FILE CA (1957 TO DATE)
2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:9081

REFERENCE 2: 132:308631

L11 ANSWER 23 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 254893-86-2 REGISTRY

CN L-Lysinamide, L-cysteinyl-L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified

| type | location | description |
|---------------|----------|------------------|
| terminal mod. | Lys-17 | C-terminal amide |

SEQ 1 CRQIKIWFQN RRMKWKK

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

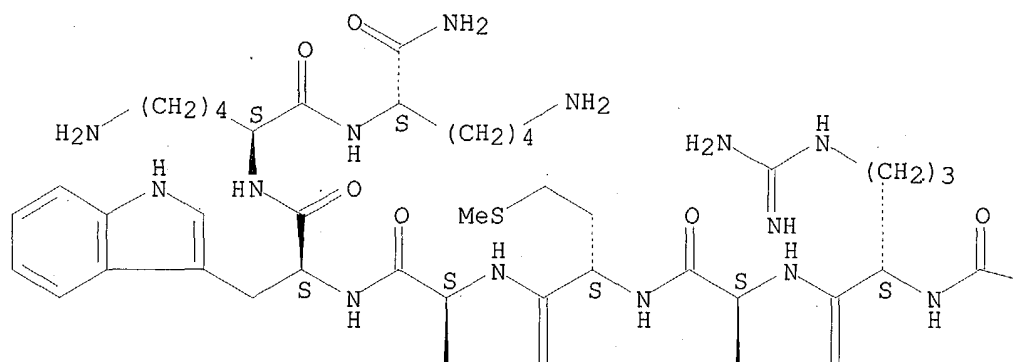
MF C107 H174 N36 O20 S2

SR CA

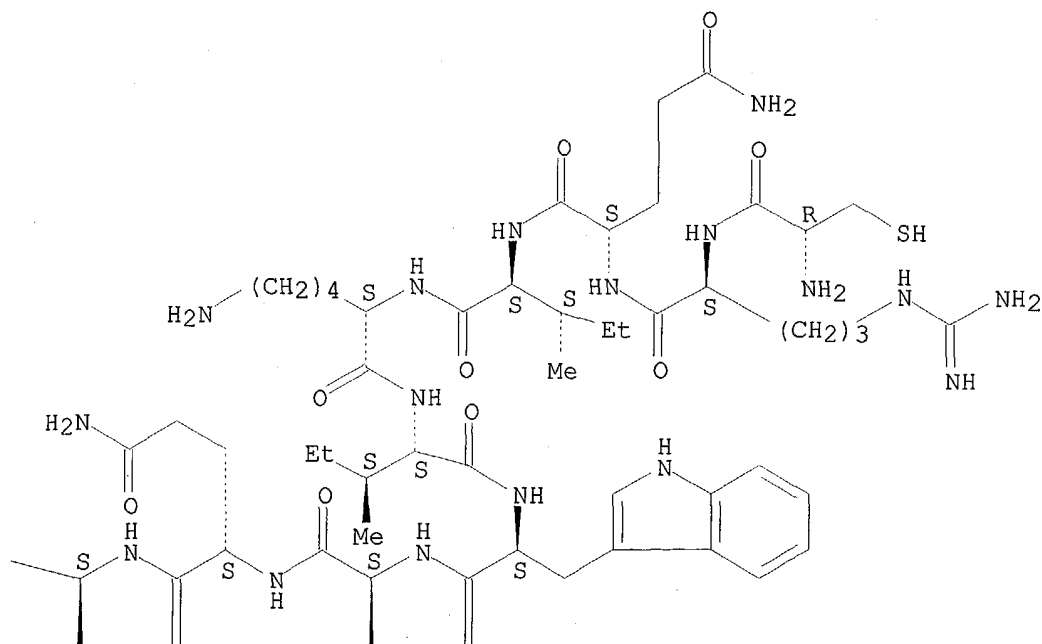
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

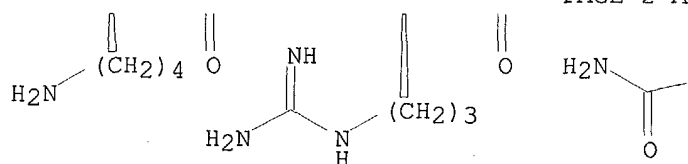
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





2 REFERENCES IN FILE CA (1957 TO DATE)
2 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 138:44612

REFERENCE 2: 132:93654

L11 ANSWER 24 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN **221694-31-1** REGISTRY

CN L-Lysine, N2,N6-bis(L-valyl-L-prolyl-L-prolyl-L-prolyl-L-valyl-L-prolyl-L-prolyl-L-arginyl-L-arginyl-L-arginyl)-L-lysyl-6-aminoheptanoyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE

SQL 38,28,10

NTE multichain

| type | location | description |
|----------|------------------|--------------|
| bridge | Lys-11 - Arg-10' | amide bridge |
| uncommon | Oaa-12 - | - |

SEQ 1 VPPPVPPRRR KXRQIKIWFQ NRRMKWKK

HITS AT: 13-28

SEQ 1 VPPPVPPRRR

MF C222 H369 N75 O42 S

CI MAN

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 130:261569

L11 ANSWER 25 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN **220337-24-6** REGISTRY

CN L-Lysinamide, 3-[(3-nitro-2-pyridinyl)dithio]-L-alanyl-L-arginyl-L-

```
glutaminyL-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-
glutaminyL-L-asparaginyL-L-arginyL-L-arginyL-L-methionyl-L-lysyl-L-
tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)
```

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

NTE modified

| type | location | description |
|---------------|----------|----------------------------|
| terminal mod. | Lys-17 | C-terminal amide |
| modification | Cys-1 | (3-nitro-2-pyridinyl) thio |

SEQ 1 CRQIKIWFQN RRMKWKK

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

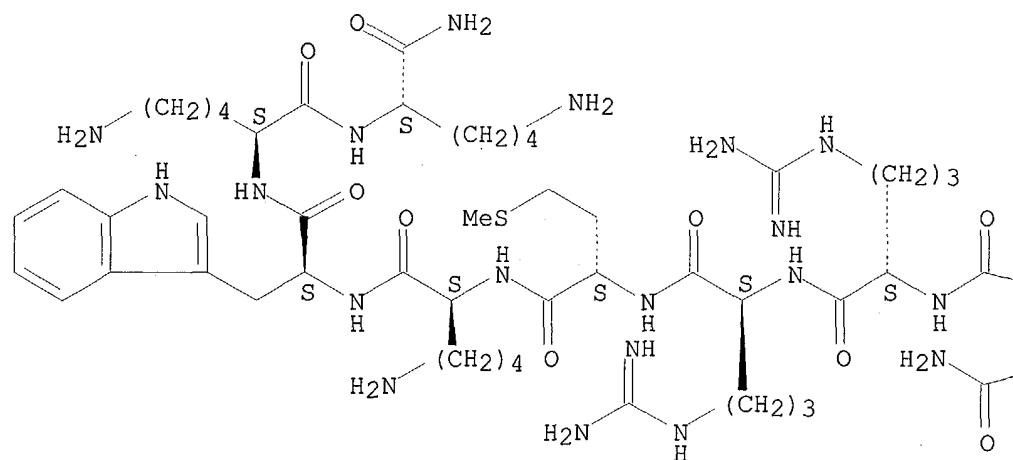
MF C112 H176 N38 O22 S3

| SR | CA |
|-----|-----|
| 1 | 1 |
| 2 | 2 |
| 3 | 3 |
| 4 | 4 |
| 5 | 5 |
| 6 | 6 |
| 7 | 7 |
| 8 | 8 |
| 9 | 9 |
| 10 | 10 |
| 11 | 11 |
| 12 | 12 |
| 13 | 13 |
| 14 | 14 |
| 15 | 15 |
| 16 | 16 |
| 17 | 17 |
| 18 | 18 |
| 19 | 19 |
| 20 | 20 |
| 21 | 21 |
| 22 | 22 |
| 23 | 23 |
| 24 | 24 |
| 25 | 25 |
| 26 | 26 |
| 27 | 27 |
| 28 | 28 |
| 29 | 29 |
| 30 | 30 |
| 31 | 31 |
| 32 | 32 |
| 33 | 33 |
| 34 | 34 |
| 35 | 35 |
| 36 | 36 |
| 37 | 37 |
| 38 | 38 |
| 39 | 39 |
| 40 | 40 |
| 41 | 41 |
| 42 | 42 |
| 43 | 43 |
| 44 | 44 |
| 45 | 45 |
| 46 | 46 |
| 47 | 47 |
| 48 | 48 |
| 49 | 49 |
| 50 | 50 |
| 51 | 51 |
| 52 | 52 |
| 53 | 53 |
| 54 | 54 |
| 55 | 55 |
| 56 | 56 |
| 57 | 57 |
| 58 | 58 |
| 59 | 59 |
| 60 | 60 |
| 61 | 61 |
| 62 | 62 |
| 63 | 63 |
| 64 | 64 |
| 65 | 65 |
| 66 | 66 |
| 67 | 67 |
| 68 | 68 |
| 69 | 69 |
| 70 | 70 |
| 71 | 71 |
| 72 | 72 |
| 73 | 73 |
| 74 | 74 |
| 75 | 75 |
| 76 | 76 |
| 77 | 77 |
| 78 | 78 |
| 79 | 79 |
| 80 | 80 |
| 81 | 81 |
| 82 | 82 |
| 83 | 83 |
| 84 | 84 |
| 85 | 85 |
| 86 | 86 |
| 87 | 87 |
| 88 | 88 |
| 89 | 89 |
| 90 | 90 |
| 91 | 91 |
| 92 | 92 |
| 93 | 93 |
| 94 | 94 |
| 95 | 95 |
| 96 | 96 |
| 97 | 97 |
| 98 | 98 |
| 99 | 99 |
| 100 | 100 |

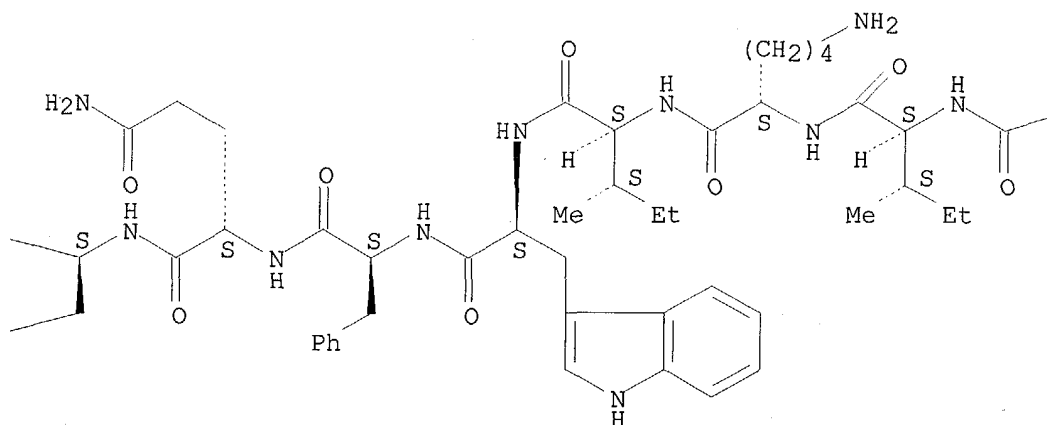
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

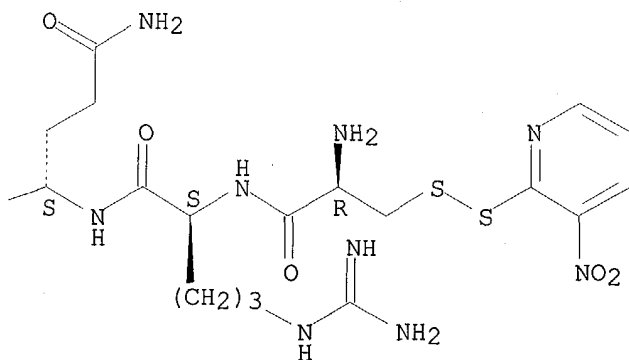
PAGE 1-A



PAGE 1-B



PAGE 1-C



1 REFERENCES IN FILE CA (1957 TO DATE)
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 130:163975

L11 ANSWER 26 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 214556-79-3 REGISTRY

CN L-Lysinamide, L-arginyl-L-glutaminyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutaminyl-L-asparaginyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 5: PN: WO0050591 SEQID: 5 claimed protein

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 16

NTE modified

| type | location | description |
|------|----------|-------------|
|------|----------|-------------|

Searched by M. Smith

terminal mod. Lys-16 - C-terminal amide

PATENT ANNOTATIONS (PNTE):

Sequence | Patent

Source | Reference

Not Given | WO2000050591

| claimed

| SEQID 5

SEQ 1 RQIKIWFQNR RMKWKK

HITS AT: 1-16

RELATED SEQUENCES AVAILABLE WITH SEQLINK

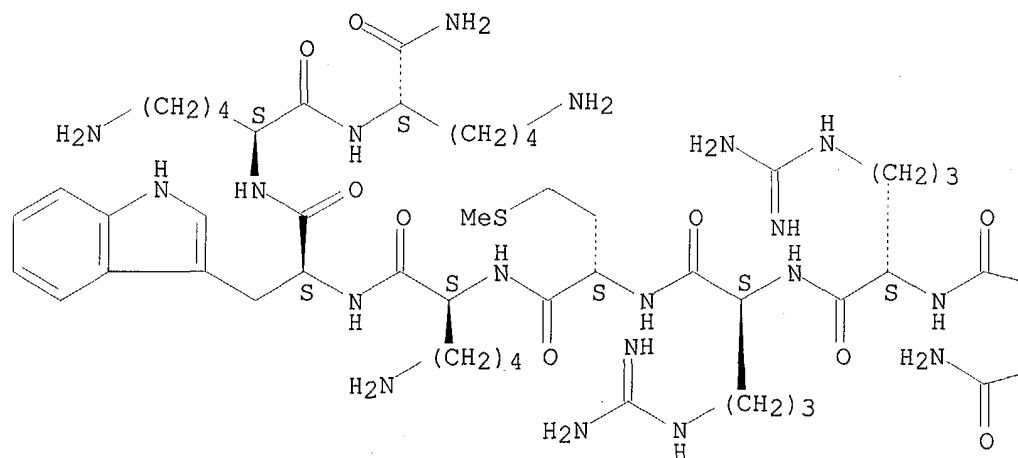
MF C104 H169 N35 O19 S

SR CA

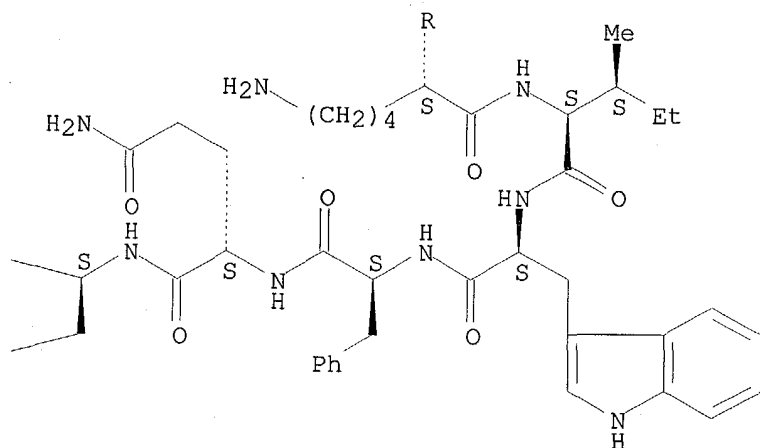
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

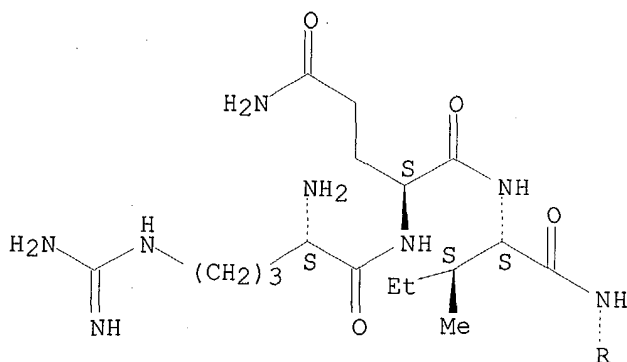
PAGE 1-A



PAGE 1-B



PAGE 2-A



4 REFERENCES IN FILE CA (1957 TO DATE)
4 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 133:187960

REFERENCE 2: 132:93654

REFERENCE 3: 130:163975

REFERENCE 4: 129:310817

L11 ANSWER 27 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 209323-98-8 REGISTRY

CN L-Lysine, L-cysteinyl-L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 11: PN: WO03024997 SEQID: 11 claimed protein

CN 8: PN: WO02057413 SEQID: 8 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 17

PATENT ANNOTATIONS (PNTE):

Sequence |Patent

Source |Reference

=====+=====

Not Given|WO2002057413

|claimed

|SEQID 8

SEQ 1 CRQIKIWFQN RRMKWKK

=====

HITS AT: 2-17

RELATED SEQUENCES AVAILABLE WITH SEQLINK

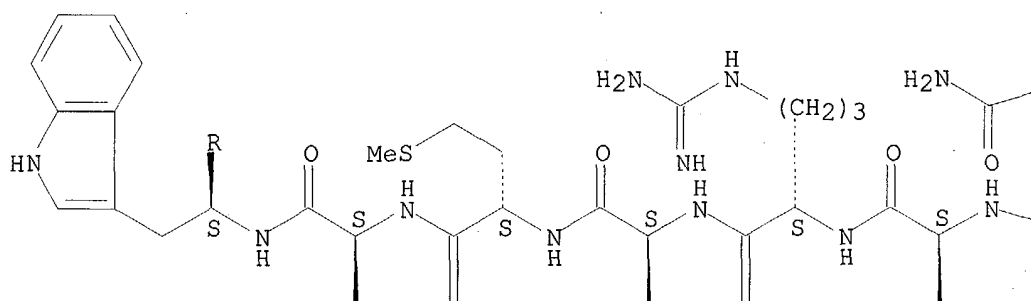
MF C107 H173 N35 O21 S2

SR CA

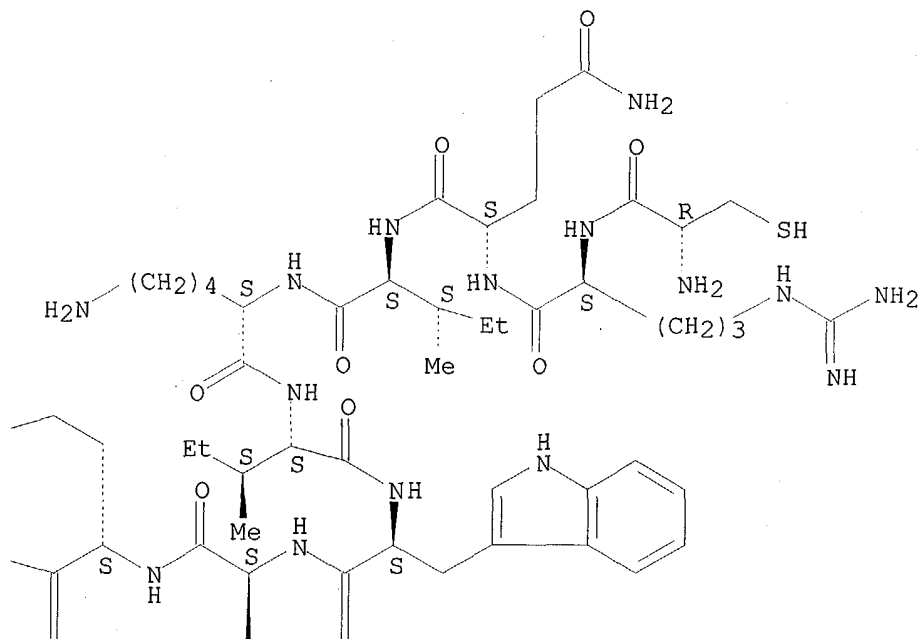
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

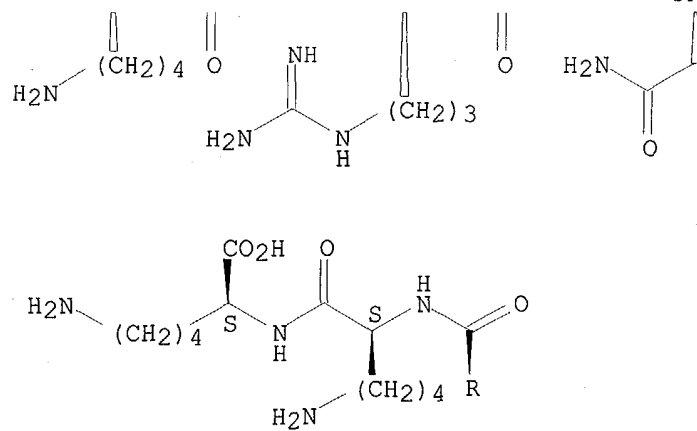
PAGE 1-A



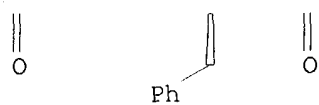
PAGE 1-B



PAGE 2-A



PAGE 2-B



7 REFERENCES IN FILE CA (1957 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

Searched by M. Smith

7 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 139:26448
 REFERENCE 2: 138:282334
 REFERENCE 3: 137:103935
 REFERENCE 4: 134:371759
 REFERENCE 5: 132:93654
 REFERENCE 6: 130:57118
 REFERENCE 7: 129:78374

L11 ANSWER 28 OF 28 REGISTRY COPYRIGHT 2003 ACS

RN 188842-14-0 REGISTRY

CN L-Lysine, L-arginyl-L-glutamyl-L-isoleucyl-L-lysyl-L-isoleucyl-L-tryptophyl-L-phenylalanyl-L-glutamyl-L-asparagyl-L-arginyl-L-arginyl-L-methionyl-L-lysyl-L-tryptophyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 10: PN: WO0109312 PAGE: 33 unclaimed sequence
 CN 10: PN: WO0220768 SEQID: 10 claimed sequence
 CN 113: PN: WO03033675 SEQID: 113 unclaimed sequence
 CN 11: PN: WO02088318 PAGE: 42 unclaimed sequence
 CN 133: PN: WO0220769 SEQID: 122 unclaimed sequence
 CN 14: PN: WO0069908 PAGE: 21 unclaimed sequence
 CN 167: PN: WO0236142 SEQID: 19 unclaimed sequence
 CN 16: PN: WO0025804 SEQID: 7 claimed sequence
 CN 19: PN: WO0115511 SEQID: 19 unclaimed sequence
 CN 19: PN: WO02070702 PAGE: 107 unclaimed sequence
 CN 19: PN: WO03033701 PAGE: 78 claimed sequence
 CN 1: PN: DE19933492 PAGE: 5 claimed protein
 CN 1: PN: WO0075175 PAGE: 7 unclaimed sequence
 CN 1: PN: WO02092788 PAGE: 28 unclaimed sequence
 CN 1: PN: WO02098365 PAGE: 16 claimed protein
 CN 1: PN: WO0218572 PAGE: 7 unclaimed sequence
 CN 1: PN: WO0227031 PAGE: 10 claimed sequence
 CN 1: PN: WO03004600 SEQID: 1 claimed protein
 CN 1: PN: WO03006065 PAGE: 15 claimed protein
 CN 20: PN: WO0231144 SEQID: 23 unclaimed sequence
 CN 21: PN: WO0063246 SEQID: 33 claimed protein
 CN 21: PN: WO0078803 PAGE: 16 unclaimed sequence
 CN 26: PN: WO0062067 TABLE: 2 unclaimed sequence
 CN 28: PN: US20020160002 PAGE: 28 unclaimed sequence
 CN 2: PN: FR2829940 SEQID: 2 unclaimed sequence
 CN 2: PN: FR2830016 SEQID: 2 unclaimed sequence
 CN 2: PN: US20020151004 PAGE: 25 claimed protein
 CN 2: PN: US20020192799 SEQID: 3 claimed protein
 CN 2: PN: WO0059939 SEQID: 9 claimed protein
 CN 2: PN: WO02057436 PAGE: 111 claimed sequence
 CN 2: PN: WO02062823 SEQID: 2 claimed protein
 CN 2: PN: WO02078600 SEQID: 3 claimed protein
 CN 2: PN: WO0239947 SEQID: 6 unclaimed sequence
 CN 30: PN: US20030054000 SEQID: 10 unclaimed sequence
 CN 339-354-Protein (Drosophila melanogaster clone 909 gene Antennapedia reduced)
 CN 33: PN: WO0168678 SEQID: 38 unclaimed sequence

CN 3: PN: WO9966061 SEQID: 4 unclaimed protein
CN 4: PN: US20010029024 PAGE: 42 unclaimed sequence
CN 4: PN: WO0063366 SEQID: 4 unclaimed sequence
CN 4: PN: WO02094185 SEQID: 14 unclaimed sequence
CN 4: PN: WO0250102 SEQID: 12 unclaimed sequence
CN 5: PN: US6057104 SEQID: 4 unclaimed protein
CN 5: PN: WO03024409 SEQID: 5 claimed sequence
CN 6: PN: WO0044771 SEQID: 6 unclaimed sequence
CN 9: PN: WO02088370 SEQID: 10 claimed protein
CN Penetratin
CN Penetratin 1
CN PN: US5962415 SEQID: 7 claimed protein
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 16

PATENT ANNOTATIONS (PNTE):

| Sequence | Patent |
|-----------|--------------|
| Source | Reference |
| Not Given | DE19933492 |
| | claimed PAGE |
| | 5 |
| | -----+----- |
| | US2001029024 |
| | unclaimed |
| | PAGE 42 |
| | -----+----- |
| | US5962415 |
| | claimed |
| | SEQID 7 |
| | -----+----- |
| | US6057104 |
| | unclaimed |
| | SEQID 4 |
| | -----+----- |
| | WO2000025804 |
| | claimed |
| | SEQID 7 |
| | -----+----- |
| | WO2000044771 |
| | unclaimed |
| | SEQID 6 |
| | -----+----- |
| | WO2000059939 |
| | claimed |
| | SEQID 9 |
| | -----+----- |
| | WO2000062067 |
| | unclaimed |
| | TABLE 2 |
| | -----+----- |
| | WO2000063246 |
| | claimed |
| | SEQID 33 |
| | -----+----- |
| | WO2000063366 |
| | unclaimed |
| | SEQID 4 |
| | -----+----- |

| |
|--------------|
| WO2000069908 |
| unclaimed |
| PAGE 21 |
| -----+----- |
| WO2000075175 |
| unclaimed |
| PAGE 7 |
| -----+----- |
| WO2000078803 |
| unclaimed |
| PAGE 16 |
| -----+----- |
| WO2001009312 |
| unclaimed |
| PAGE 33 |
| -----+----- |
| WO2001015511 |
| unclaimed |
| SEQID 19 |
| -----+----- |
| WO2001068678 |
| unclaimed |
| SEQID 38 |
| -----+----- |
| WO2002018572 |
| unclaimed |
| PAGE 7 |
| -----+----- |
| WO2002020768 |
| claimed |
| SEQID 10 |
| -----+----- |
| WO2002020769 |
| unclaimed |
| SEQID 122 |
| -----+----- |
| WO2002027031 |
| claimed PAGE |
| 10 |
| -----+----- |
| WO2002031144 |
| unclaimed |
| SEQID 23 |
| -----+----- |
| WO2002036142 |
| unclaimed |
| SEQID 19 |
| -----+----- |
| WO2002039947 |
| unclaimed |
| SEQID 6 |
| -----+----- |
| WO2002050102 |
| unclaimed |
| SEQID 12 |
| -----+----- |
| WO9966061 |
| unclaimed |
| SEQID 4 |

SEQ 1 RQIKIWFQNR RMKWKK

HITS AT: 1-16

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C104 H168 N34 O20 S

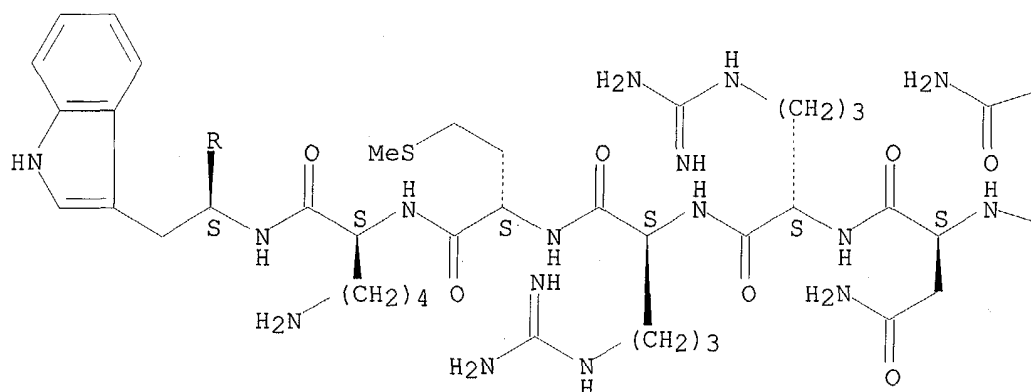
SR CA

LC STN Files: BIOSIS, CA, CAPLUS, DRUGNL, DRUGUPDATES, MRCK*, TOXCENTER,
USPATFULL

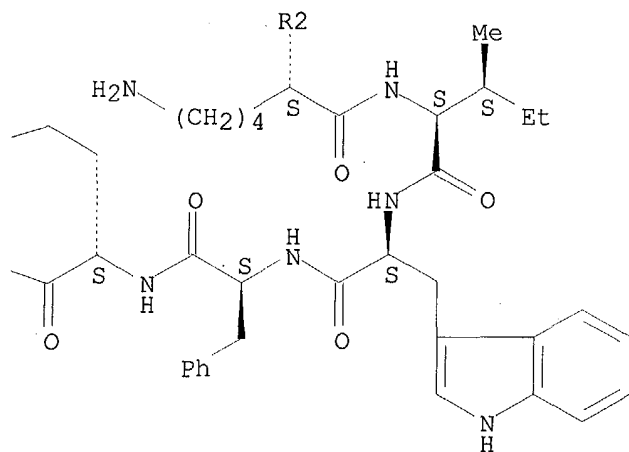
(*File contains numerically searchable property data)

Absolute stereochemistry.

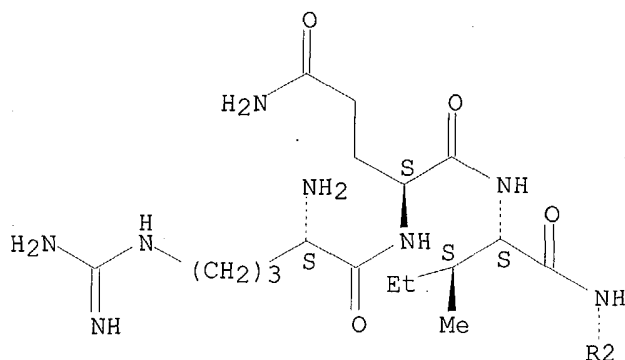
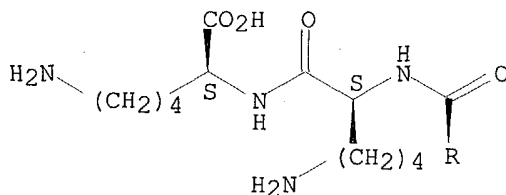
PAGE 1-A



PAGE 1-B



PAGE 2-A



118 REFERENCES IN FILE CA (1957 TO DATE)
 27 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 122 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 139:30785
 REFERENCE 2: 138:397977
 REFERENCE 3: 138:397865
 REFERENCE 4: 138:396192
 REFERENCE 5: 138:362272
 REFERENCE 6: 138:350146
 REFERENCE 7: 138:332936
 REFERENCE 8: 138:332863
 REFERENCE 9: 138:316297
 REFERENCE 10: 138:298122

GenCore version 5.1.6
Copyright (c) 1993 - 2003 Compugen Ltd.

OM protein - protein search, using sw model

Run on: July 15, 2003, 09:43:21 ; Search time 70 Seconds
(without alignments)
30.457 Million cell updates/sec

Title: US-10-031-505-1
Perfect score: 92
Sequence: 1 RQIKIWFQNRRMKWKK 16

Scoring table: BLOSUM62
Gapop 10.0 , Gapext 0.5

Searched: 908470 seqs, 133250620 residues

Total number of hits satisfying chosen parameters: 908470

Minimum DB seq length: 0
Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%
Maximum Match 100%
Listing first 45 summaries

Database : A_Geneseq_101002:*

- 1: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1980.DAT:*
- 2: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1981.DAT:*
- 3: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1982.DAT:*
- 4: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1983.DAT:*
- 5: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1984.DAT:*
- 6: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1985.DAT:*
- 7: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1986.DAT:*
- 8: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1987.DAT:*
- 9: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1988.DAT:*
- 10: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1989.DAT:*
- 11: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1990.DAT:*
- 12: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1991.DAT:*
- 13: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1992.DAT:*
- 14: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1993.DAT:*
- 15: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1994.DAT:*
- 16: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1995.DAT:*
- 17: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1996.DAT:*
- 18: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1997.DAT:*
- 19: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1998.DAT:*
- 20: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA1999.DAT:*
- 21: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA2000.DAT:*
- 22: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA2001.DAT:*
- 23: /SIDS2/gcgdata/geneseq/geneseqp-embl/AA2002.DAT:*

Pred. No. is the number of results predicted by chance to have a score greater than or equal to the score of the result being printed, and is derived by analysis of the total score distribution.

SUMMARIES

| Result | | % | | | | | |
|--------|-------|-------------|--------|----|----------|---------------------|--|
| No. | Score | Query Match | Length | DB | ID | Description | |
| 1 | 92 | 100.0 | 16 | 18 | AAW45974 | Cysteine protease | |
| 2 | 92 | 100.0 | 16 | 18 | AAW33410 | D-form peptide 43- | |
| 3 | 92 | 100.0 | 16 | 18 | AAW33407 | Peptide 43-58 of h | |
| 4 | 92 | 100.0 | 16 | 19 | AAW82958 | Oestrogen receptor | |
| 5 | 92 | 100.0 | 16 | 19 | AAW71270 | Antennapedia pepti | |
| 6 | 92 | 100.0 | 16 | 19 | AAW71316 | Antennapedia pepti | |
| 7 | 92 | 100.0 | 16 | 19 | AAW30508 | Drosophila membran | |
| 8 | 92 | 100.0 | 16 | 19 | AAW56397 | Preferred signal s | |
| 9 | 92 | 100.0 | 16 | 20 | AAY52102 | Peptide from the t | |
| 10 | 92 | 100.0 | 16 | 20 | AAY13509 | Signal sequence of | |
| 11 | 92 | 100.0 | 16 | 20 | AAY00859 | Peptide pAntp(43-5 | |
| 12 | 92 | 100.0 | 16 | 20 | AAW91046 | Internalization se | |
| 13 | 92 | 100.0 | 16 | 21 | AAB03927 | Internalisation mo | |
| 14 | 92 | 100.0 | 16 | 21 | AAB19251 | Fragment of the An | |
| 15 | 92 | 100.0 | 16 | 21 | AAB27060 | Beta-catenin deriv | |
| 16 | 92 | 100.0 | 16 | 21 | AAB29574 | Antennapedia resid | |
| 17 | 92 | 100.0 | 16 | 21 | AAB35694 | Peptide associated | |
| 18 | 92 | 100.0 | 16 | 21 | AAB29423 | ANTP peptide, SEQ | |
| 19 | 92 | 100.0 | 16 | 21 | AAB22025 | Membrane penetrati | |
| 20 | 92 | 100.0 | 16 | 21 | AAB10343 | Peptide AB fragmen | |
| 21 | 92 | 100.0 | 16 | 21 | AAY93178 | Protegrin-like pep | |
| 22 | 92 | 100.0 | 16 | 21 | AAY93954 | Peptide used to co | |
| 23 | 92 | 100.0 | 16 | 21 | AAY93551 | Amino acid sequenc | |
| 24 | 92 | 100.0 | 16 | 21 | AAY93667 | Peptide which may | |
| 25 | 92 | 100.0 | 16 | 21 | AAY87920 | Drosophila sp. ant | |
| 26 | 92 | 100.0 | 16 | 21 | AAY71008 | Drosophila antenna | |
| 27 | 92 | 100.0 | 16 | 21 | AAY51167 | Drosophila sp. der | |
| 28 | 92 | 100.0 | 16 | 21 | AAY51212 | Antennapedia prote | |
| 29 | 92 | 100.0 | 16 | 21 | AAY67966 | Carboxyfluorescein | |
| 30 | 92 | 100.0 | 16 | 21 | AAY55818 | Signal sequeunce fo | |
| 31 | 92 | 100.0 | 16 | 22 | AAE12205 | Membrane transport | |
| 32 | 92 | 100.0 | 16 | 22 | AAB60004 | Internalising pept | |
| 33 | 92 | 100.0 | 16 | 22 | AAU06064 | Drosophila Antenna | |
| 34 | 92 | 100.0 | 16 | 22 | AAE02974 | Protein transducti | |
| 35 | 92 | 100.0 | 16 | 22 | AAU00813 | Fruit fly Antennap | |
| 36 | 92 | 100.0 | 16 | 22 | AAB73091 | Rheumatoid arthrit | |
| 37 | 92 | 100.0 | 16 | 22 | AAB60671 | Antennapedia-deriv | |
| 38 | 92 | 100.0 | 16 | 22 | AAB70753 | Cell membrane tran | |
| 39 | 92 | 100.0 | 16 | 22 | AAB66996 | Antennapedia homeo | |
| 40 | 92 | 100.0 | 16 | 22 | AAB49914 | HIF-1alpha-VHL int | |
| 41 | 92 | 100.0 | 16 | 23 | ABG68406 | Translocation agen | |
| 42 | 92 | 100.0 | 16 | 23 | AAE23684 | Fluorescently labe | |
| 43 | 92 | 100.0 | 16 | 23 | ABB83153 | Transduction domai | |
| 44 | 92 | 100.0 | 16 | 23 | ABG60447 | Selective targetin | |
| 45 | 92 | 100.0 | 16 | 23 | AAU78345 | Antennapedia homeo | |

ALIGNMENTS

AAW45974
ID AAW45974 standard; peptide; 16 AA.
XX
AC AAW45974;
XX
DT 01-JUL-1998 (first entry)
XX
DE Cysteine protease inhibiting peptide for preventing cell death.
XX
KW Neuronal cell death; neurodegenerative disorder; inhibition;
KW cysteine protease; cardiovascular; liver disease.
XX
OS Synthetic.
XX
FH Key Location/Qualifiers
FT Modified-site 1
FT /note= "N-3-nitro-2-pyridyl-sulphenyl-Arg"
XX
PN WO9735876-A1.
XX
PD 02-OCT-1997.
XX
PF 04-MAR-1997; 97WO-US04158.
XX
PR 04-MAR-1996; 96US-0610220.
XX
PA (UYCO) UNIV COLUMBIA NEW YORK.
XX
PI Troy CM;
XX
DR WPI; 1997-489561/45.
XX
PT New cysteine protease inhibiting peptide(s) for preventing cell
PT death - in cases of neuro:degenerative, cardiovascular and liver
PT diseases, and their peptidomimetics, and general method for
PT identifying enzyme inhibiting peptides
XX
PS Claim 8; Page 68; 112pp; English.
XX
CC This sequence represents a specifically claimed peptide of the formula:
CC V-(AA1)n-Cys(V'')-(AA2)m-V' (I), in which n and m = 0-5, totalling 2-5;
CC if n =1, AA1 = Ala; if n = 2, (AA1)n = Gln-Ala; and if n =3 or more,
CC (AA1)n = (X)p-Gln-Ala; X = any amino acid; p = 1-3, depending on value
CC of n; if m = 1, AA2 = Arg; if m =2, (AA2)n = Arg-Gly; if m = 3 or more,
CC (AA2)n = Arg-Gly-(X)q; q = 1-3, depending on value of m; V, V' and V'',
CC any or all of which may be absent, = agent able to direct the compound
CC to a specific cell. The peptides are inhibitors of cysteine proteases,
CC specifically interleukin-1 beta converting enzyme (ICE). They inhibit
CC death of cells, particularly in humans, and can be used to treat
CC neurodegenerative diseases (e.g. ageing, Alzheimer's, Machado-Joseph,
CC Parkinson's or Huntington's diseases, multiple sclerosis, muscular
CC dystrophy, stroke), cardiovascular disease and liver disorders.
CC The peptides should be more specific than pseudosubstrate inhibitors.
XX
SQ Sequence 16 AA;

Query Match

100.0%; Score 92; DB 18; Length 16;

Best Local Similarity 100.0%; Pred. No. 5e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
 | | | | | | | | | | | | | | | |
Db 1 RQIKIWFQNRRMKWKK 16

RESULT 8

AAW56397

ID AAW56397 standard; peptide; 16 AA.

XX

AC AAW56397;

XX

DT 05-AUG-1998 (first entry)

XX

DE Preferred signal sequence of the invention.

XX

KW Signal peptide; nuclear localisation signal; NLS;

KW immunosuppressive activity; inhibition; nuclear translocation inhibitor;

KW nuclear translocation; treatment; immune disorder; autoimmune disease;

KW hypersensitivity; sepsis; prevention; septic shock; antiviral agent;

KW tumour growth suppressor.

XX

OS Unidentified.

XX

PN WO9811907-A.

XX

PD 26-MAR-1998.

XX

PF 15-SEP-1997; 97WO-US16217.

XX

PR 12-SEP-1997; 97US-0928958.

PR 20-SEP-1996; 96US-0026978.

XX

PA (BRIM) BRISTOL-MYERS SQUIBB CO.

XX

PI Blake J, Cleaveland JS, Haffar OK, Nadler SG;

XX

DR WPI; 1998-217028/19.

XX

PT Nuclear translocation inhibitor polypeptides - comprising signal

PT sequence for delivery through the cytoplasmic membrane and at least

PT 2 nuclear localisation sequences

XX

PS Claim 5; Page 43; 69pp; English.

XX

CC Peptides AAW56397-99 represent preferred signal sequences of the
CC invention. They are used to construct the nuclear translocation
CC inhibitor polypeptides of the invention. Nuclear translocation inhibitor
CC polypeptides comprise a signal sequence peptide capable of delivering
CC the polypeptide through the cytoplasmic membrane into a cell, and at
CC least 2 nuclear localisation signals (NLSs). The polypeptides can be
CC used to inhibit nuclear translocation of a cellular protein. In
CC addition, since the nuclear translocation of certain cellular peptides is
CC required for the host organism to mount an immune response, the

CC polypeptide inhibitors are useful as immunosuppression agents. The
CC polypeptides can therefore be used for the treatment of immune disorders
CC including autoimmune diseases. The polypeptides can also be used for
CC treating physical symptoms manifested by responses to allergens which can
CC initiate a state of hypersensitivity, for the treatment of sepsis and in
CC the prevention of septic shock, antiviral agents, tumour growth
CC suppressors, and for transcriptionally modulating the expression of
CC cellular genes.

XX

SQ Sequence 16 AA;

Query Match 100.0%; Score 92; DB 19; Length 16;

Best Local Similarity 100.0%; Pred. No. 5e-07;

Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16

|||||

Db 1 RQIKIWFQNRRMKWKK 16

RESULT 11

AAY00859

ID AAY00859 standard; peptide; 16 AA.

XX

AC AAY00859;

XX

DT 20-MAY-1999 (first entry)

XX

DE Peptide pAntp(43-58) used in membrane-permeable construct.

XX

KW Membrane-permeable construct; lipid membrane; membrane transport;

KW oligonucleotide delivery; cancer therapy; signal transduction; inhibitor;

KW gene therapy; transcription; translation; expression; replication.

XX

OS Synthetic.

XX

FH Key Location/Qualifiers

FT Modified-site 16

FT /note= "amidated"

XX

PN W09905302-A1.

XX

PD 04-FEB-1999.

XX

PF 16-JUL-1998; 98WO-US14761.

XX

PR 24-JUL-1997; 97US-0053678.

XX

PA (PEKE) PERKIN-ELMER CORP.

XX

PI Bartfai T, Hallbrink M, Langel U, Pooga M, Saar K;

PI Valkna A;

XX

DR WPI; 1999-142952/12.

XX

PT New membrane-permeable constructs - comprise a peptide linked by a

PT labile bond to a nucleic acid analogue capable of hybridising with
PT an intracellular polynucleotide
XX
PS Disclosure; Page 26; 60pp; English.
XX
CC This sequence represents a peptide used in the construct of the
CC invention. The construct is a membrane-permeable construct for transport
CC across a lipid membrane, which comprises: (a) a nucleic acid analogue
CC capable of hybridising with an intracellular polynucleotide (PN);
CC (b) a peptide; and (c) a labile bond linking the nucleic acid analogue
CC and the peptide. The membrane-permeable constructs can be used for
CC delivery of oligonucleotides, nucleic acids and nucleic acid analogues
CC into cells. They can be used for e.g. cancer therapy, signal transduction
CC studies, identifying new intracellular drug targets or gene therapy. They
CC can also be used for selectively inhibiting DNA transcription, RNA
CC translation, RNA or DNA expression, DNA replication, or an DNA or RNA
CC regulatory function of preselected DNA or RNA sequences in a living cell.
XX
SQ Sequence 16 AA;

Query Match 100.0%; Score 92; DB 20; Length 16;
Best Local Similarity 100.0%; Pred. No. 5e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
|||||
Db 1 RQIKIWFQNRRMKWKK 16

RESULT 14

AAB19251

ID AAB19251 standard; peptide; 16 AA.

XX

AC AAB19251;

XX

DT 19-FEB-2001 (first entry)

XX

DE Fragment of the Antennapedia protein from Drosophila.

XX

KW Antennapedia protein; translocating protein; cellular process;
KW protein delivery.

XX

OS Drosophila sp.

XX

PN WO200058488-A2.

XX

PD 05-OCT-2000.

XX

PF 31-MAR-2000; 2000WO-US08571.

XX

PR 31-MAR-1999; 99US-0127467.

XX

PA (INVI-) INVITROGEN CORP.

XX

PI Dalby B, Bennett RP;

XX

DR WPI; 2000-611716/58.

XX

PT Modulating a cellular process by contacting a cell in culture with a
PT cell process modifying molecule attached to a translocating
PT polypeptide, useful for modulating expression of a target gene product
PT -

XX

PS Disclosure; Page 6; 59pp; English.

XX

CC The present sequence represents a fragment of the Antennapedia protein
CC (amino acids 43-58) from Drosophila. The fragment is used as a
CC translocating protein in the course of the invention. The specification
CC describes a method for modulating a cellular process and for delivery
CC of functional protein sequences. The method comprises contacting a cell
CC in culture under suitable conditions with a cell process modifying
CC molecule attached to a translocating polypeptide, where molecule is
CC translocated into the cell and interacts specifically with a responsive
CC target site. The method is useful for modulating a cellular process,
CC such as modulating expression of a target gene product, of a cell in
CC culture.

XX

SQ Sequence 16 AA;

Query Match 100.0%; Score 92; DB 21; Length 16;
Best Local Similarity 100.0%; Pred. No. 5e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
| | | | | | | | | | | | | | | |
Db 1 RQIKIWFQNRRMKWKK 16

Search completed: July 15, 2003, 09:53:41
Job time : 71 secs

GenCore version 5.1.6
Copyright (c) 1993 - 2003 Compugen Ltd.

OM protein - protein search, using sw model

Run on: July 15, 2003, 09:52:31 ; Search time 26 Seconds
(without alignments)
18.106 Million cell updates/sec

Title: US-10-031-505-1
Perfect score: 92
Sequence: 1 RQIKIWFQNRRMKWKK 16

Scoring table: BLOSUM62
Gapop 10.0 , Gapext 0.5

Searched: 262574 seqs, 29422922 residues

Total number of hits satisfying chosen parameters: 262574

Minimum DB seq length: 0
Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%
Maximum Match 100%
Listing first 45 summaries

Database : Issued_Patents_AA:*
1: /cgn2_6/ptodata/1/iaa/5A_COMB.pep:*
2: /cgn2_6/ptodata/1/iaa/5B_COMB.pep:*
3: /cgn2_6/ptodata/1/iaa/6A_COMB.pep:*
4: /cgn2_6/ptodata/1/iaa/6B_COMB.pep:*
5: /cgn2_6/ptodata/1/iaa/PCTUS_COMB.pep:*
6: /cgn2_6/ptodata/1/iaa/backfiles1.pep:*

Pred. No. is the number of results predicted by chance to have a score greater than or equal to the score of the result being printed, and is derived by analysis of the total score distribution.

SUMMARIES

| Result No. | Score | % | | DB | ID | Description |
|---------------|-------|-------|--------------|----|------------------|-------------------|
| | | Query | Match Length | | | |
| 1 | 92 | 100.0 | 16 | 2 | US-08-928-958-7 | Sequence 7, Appli |
| 2 | 92 | 100.0 | 16 | 2 | US-08-810-540-3 | Sequence 3, Appli |
| 3 | 92 | 100.0 | 16 | 2 | US-08-810-540-6 | Sequence 6, Appli |
| 4 | 92 | 100.0 | 16 | 2 | US-09-072-429-7 | Sequence 7, Appli |
| 5 | 92 | 100.0 | 16 | 3 | US-08-964-302A-6 | Sequence 6, Appli |
| 6 | 92 | 100.0 | 16 | 3 | US-09-116-294-4 | Sequence 4, Appli |
| 7 | 92 | 100.0 | 16 | 3 | US-08-964-614A-4 | Sequence 4, Appli |
| 8 | 92 | 100.0 | 16 | 3 | US-08-849-486-1 | Sequence 1, Appli |
| 9 | 92 | 100.0 | 16 | 3 | US-08-849-486-4 | Sequence 4, Appli |
| 10 | 92 | 100.0 | 16 | 4 | US-09-208-966-54 | Sequence 54, Appl |
| 11 | 92 | 100.0 | 16 | 4 | US-09-308-935-8 | Sequence 8, Appli |

| | | | | | | |
|----|----|-------|-----|---|-------------------|-------------------|
| 12 | 92 | 100.0 | 16 | 4 | US-09-441-416A-6 | Sequence 6, Appli |
| 13 | 92 | 100.0 | 16 | 4 | US-09-296-089-33 | Sequence 33, Appl |
| 14 | 92 | 100.0 | 16 | 4 | US-09-419-826-35 | Sequence 35, Appl |
| 15 | 92 | 100.0 | 16 | 4 | US-09-302-305C-10 | Sequence 10, Appl |
| 16 | 92 | 100.0 | 18 | 3 | US-08-838-545-20 | Sequence 20, Appl |
| 17 | 92 | 100.0 | 18 | 4 | US-09-349-532-20 | Sequence 20, Appl |
| 18 | 92 | 100.0 | 24 | 4 | US-09-419-826-34 | Sequence 34, Appl |
| 19 | 92 | 100.0 | 27 | 3 | US-09-051-934-51 | Sequence 51, Appl |
| 20 | 92 | 100.0 | 27 | 3 | US-09-051-934-52 | Sequence 52, Appl |
| 21 | 92 | 100.0 | 27 | 4 | US-09-040-725A-2 | Sequence 2, Appli |
| 22 | 92 | 100.0 | 34 | 4 | US-09-347-504-79 | Sequence 79, Appl |
| 23 | 92 | 100.0 | 61 | 2 | US-08-202-044-3 | Sequence 3, Appli |
| 24 | 92 | 100.0 | 61 | 4 | US-08-751-344B-3 | Sequence 3, Appli |
| 25 | 92 | 100.0 | 61 | 4 | US-08-751-344B-6 | Sequence 6, Appli |
| 26 | 92 | 100.0 | 61 | 4 | US-08-751-344B-9 | Sequence 9, Appli |
| 27 | 91 | 98.9 | 61 | 4 | US-08-751-344B-7 | Sequence 7, Appli |
| 28 | 87 | 94.6 | 15 | 2 | US-08-810-540-4 | Sequence 4, Appli |
| 29 | 87 | 94.6 | 42 | 4 | US-08-751-344B-4 | Sequence 4, Appli |
| 30 | 87 | 94.6 | 283 | 1 | US-08-583-672-2 | Sequence 2, Appli |
| 31 | 87 | 94.6 | 283 | 2 | US-08-202-044-2 | Sequence 2, Appli |
| 32 | 87 | 94.6 | 283 | 4 | US-08-751-344B-2 | Sequence 2, Appli |
| 33 | 87 | 94.6 | 284 | 2 | US-08-320-148B-2 | Sequence 2, Appli |
| 34 | 87 | 94.6 | 284 | 3 | US-08-589-028-6 | Sequence 6, Appli |
| 35 | 87 | 94.6 | 284 | 3 | US-08-784-582-6 | Sequence 6, Appli |
| 36 | 87 | 94.6 | 284 | 4 | US-08-785-271-6 | Sequence 6, Appli |
| 37 | 87 | 94.6 | 284 | 4 | US-09-031-898-2 | Sequence 2, Appli |
| 38 | 87 | 94.6 | 302 | 2 | US-08-203-532F-4 | Sequence 4, Appli |
| 39 | 87 | 94.6 | 302 | 3 | US-08-950-860-16 | Sequence 16, Appl |
| 40 | 87 | 94.6 | 302 | 4 | US-09-078-465-4 | Sequence 4, Appli |
| 41 | 87 | 94.6 | 302 | 5 | PCT-US95-01882A-4 | Sequence 4, Appli |
| 42 | 87 | 94.6 | 303 | 2 | US-08-203-532F-2 | Sequence 2, Appli |
| 43 | 87 | 94.6 | 303 | 4 | US-09-078-465-2 | Sequence 2, Appli |
| 44 | 87 | 94.6 | 303 | 5 | PCT-US95-01882A-2 | Sequence 2, Appli |
| 45 | 86 | 93.5 | 16 | 3 | US-08-849-486-5 | Sequence 5, Appli |

ALIGNMENTS

RESULT 1
 US-08-928-958-7
 ; Sequence 7, Application US/08928958
 ; Patent No. 5877282
 ; GENERAL INFORMATION:
 ; APPLICANT: NADLER, STEVEN G.
 ; APPLICANT: CLEAVELAND, JEFFREY S.
 ; APPLICANT: BLAKE, JAMES
 ; APPLICANT: HAFFAR, OMAR K.
 ; TITLE OF INVENTION: PEPTIDE INHIBITORS OF NUCLEAR PROTEIN
 ; TITLE OF INVENTION: TRANSLOCATION HAVING NUCLEAR LOCALIZATION SEQUENCES
 AND
 ; TITLE OF INVENTION: METHODS OF USE THEREOF
 ; NUMBER OF SEQUENCES: 24
 ; CORRESPONDENCE ADDRESS:
 ; ADDRESSEE: ROBINS & ASSOCIATES
 ; STREET: 90 MIDDLEFIELD ROAD, SUITE 200
 ; CITY: MENLO PARK

```

; STATE: CA
; COUNTRY: USA
; ZIP: 94025
; COMPUTER READABLE FORM:
; MEDIUM TYPE: Floppy disk
; COMPUTER: IBM PC compatible
; OPERATING SYSTEM: PC-DOS/MS-DOS
; SOFTWARE: PatentIn Release #1.0, Version #1.30
; CURRENT APPLICATION DATA:
; APPLICATION NUMBER: US/08/928,958
; FILING DATE: 12-SEP-1997
; CLASSIFICATION: 514
; PRIOR APPLICATION DATA:
; APPLICATION NUMBER: US 60/026978
; FILING DATE: 20-SEP-1996
; ATTORNEY/AGENT INFORMATION:
; NAME: ROBINS, ROBERTA L.
; REGISTRATION NUMBER: 33,208
; REFERENCE/DOCKET NUMBER: 5998-0019
; TELECOMMUNICATION INFORMATION:
; TELEPHONE: (650) 325-7812
; TELEFAX: (650) 325-7823
; INFORMATION FOR SEQ ID NO: 7:
; SEQUENCE CHARACTERISTICS:
; LENGTH: 16 amino acids
; TYPE: amino acid
; STRANDEDNESS: single
; TOPOLOGY: linear
; MOLECULE TYPE: peptide
US-08-928-958-7

```

```

Query Match          100.0%; Score 92; DB 2; Length 16;
Best Local Similarity 100.0%; Pred. No. 1.4e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

```

```

Qy      1 RQIKIWFQNRRMKWKK 16
        |||||
Db      1 RQIKIWFQNRRMKWKK 16

```

RESULT 6

US-09-116-294-4

```

; Sequence 4, Application US/09116294
; Patent No. 6025140
; GENERAL INFORMATION:
; APPLICANT: Langel, Ulo
; APPLICANT: Bartfai, Tamas
; APPLICANT: Pooga, Margus
; APPLICANT: Valkna, Andres
; APPLICANT: Saar, Kullicki
; APPLICANT: Hallbrink, Mattias
; TITLE OF INVENTION: Conjugated Constructs of Peptides and
; TITLE OF INVENTION: Nucleic Acid Analogs, and Their Transport Across
Membranes
; FILE REFERENCE: 4394
; CURRENT APPLICATION NUMBER: US/09/116,294

```

; CURRENT FILING DATE: 1998-07-16
; EARLIER APPLICATION NUMBER: 60/052,678
; EARLIER FILING DATE: 1997-07-24
; NUMBER OF SEQ ID NOS: 16
; SOFTWARE: FastSEQ for Windows Version 3.0
; SEQ ID NO 4
; LENGTH: 16
; TYPE: PRT
; ORGANISM: drosophila
US-09-116-294-4

Query Match 100.0%; Score 92; DB 3; Length 16;
Best Local Similarity 100.0%; Pred. No. 1.4e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
| | | | | | | | | | | | | | | |
Db 1 RQIKIWFQNRRMKWKK 16

RESULT 8

US-08-849-486-1

; Sequence 1, Application US/08849486

; Patent No. 6080724

; GENERAL INFORMATION:

; APPLICANT:

; TITLE OF INVENTION: PEPTIDES WHICH CAN BE USED AS VECTORS

; TITLE OF INVENTION: FOR THE INTRACELLULAR ADDRESSING OF ACTIVE MOLECULES

; NUMBER OF SEQUENCES: 10

; COMPUTER READABLE FORM:

; MEDIUM TYPE: Floppy disk

; COMPUTER: IBM PC compatible

; OPERATING SYSTEM: PC-DOS/MS-DOS

; SOFTWARE: PatentIn Release #1.0, Version #1.30 (EPO)

; CURRENT APPLICATION DATA:

; APPLICATION NUMBER: US/08/849,486

; FILING DATE:

; CLASSIFICATION: 514

; PRIOR APPLICATION DATA:

; APPLICATION NUMBER: FR 95 11714

; FILING DATE: 05-OCT-1995

; INFORMATION FOR SEQ ID NO: 1:

; SEQUENCE CHARACTERISTICS:

; LENGTH: 16 amino acids

; TYPE: amino acid

; STRANDEDNESS:

; TOPOLOGY: linear

; MOLECULE TYPE: peptide

US-08-849-486-1

Query Match 100.0%; Score 92; DB 3; Length 16;
Best Local Similarity 100.0%; Pred. No. 1.4e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
| | | | | | | | | | | | | | | |

Db 1 RQIKIWFQNRRMKWKK 16

Search completed: July 15, 2003, 09:56:30
Job time : 27 secs .

GenCore version 5.1.6
Copyright (c) 1993 - 2003 Compugen Ltd.

OM protein - protein search, using sw model

Run on: July 15, 2003, 09:55:41 ; Search time 51 Seconds
(without alignments)
36.524 Million cell updates/sec

Title: US-10-031-505-1
Perfect score: 92
Sequence: 1 RQIKIWFQNRRMKWKK 16

Scoring table: BLOSUM62
Gapop 10.0 , Gapext 0.5

Searched: 445758 seqs, 116419773 residues

Total number of hits satisfying chosen parameters: 445758

Minimum DB seq length: 0
Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%
Maximum Match 100%
Listing first 45 summaries

Database : Published Applications_AA:*
1: /cgn2_6/ptodata/1/pubpaa/US07_NEW_PUB.pep:*
2: /cgn2_6/ptodata/1/pubpaa/PCT_NEW_PUB.pep:*
3: /cgn2_6/ptodata/1/pubpaa/US06_NEW_PUB.pep:*
4: /cgn2_6/ptodata/1/pubpaa/US06_PUBCOMB.pep:*
5: /cgn2_6/ptodata/1/pubpaa/PCTUS_PUBCOMB.pep:*
6: /cgn2_6/ptodata/1/pubpaa/US07_PUBCOMB.pep:*
7: /cgn2_6/ptodata/1/pubpaa/US08_NEW_PUB.pep:*
8: /cgn2_6/ptodata/1/pubpaa/US08_PUBCOMB.pep:*
9: /cgn2_6/ptodata/1/pubpaa/US09_NEW_PUB.pep:*
10: /cgn2_6/ptodata/1/pubpaa/US09_PUBCOMB.pep:*
11: /cgn2_6/ptodata/1/pubpaa/US10_NEW_PUB.pep:*
12: /cgn2_6/ptodata/1/pubpaa/US10_PUBCOMB.pep:*
13: /cgn2_6/ptodata/1/pubpaa/US60_NEW_PUB.pep:*
14: /cgn2_6/ptodata/1/pubpaa/US60_PUBCOMB.pep:*

Pred. No. is the number of results predicted by chance to have a score greater than or equal to the score of the result being printed, and is derived by analysis of the total score distribution.

SUMMARIES

| Result No. | Score | % Query | | DB | ID | Description |
|------------|-------|------------|--------|----|------------------|-------------------|
| | | Match | Length | | | |
| 1 | 92 | 100.0 | 16 | 7 | US-08-610-220A-9 | Sequence 9, Appli |
| 2 | 92 | 100.0 | 16 | 9 | US-09-902-432-32 | Sequence 32, Appl |
| 3 | 92 | 100.0 | 16 | 9 | US-10-007-363-3 | Sequence 3, Appli |

| | | | | | | |
|----|----|-------|----|----|-------------------|-------------------|
| 4 | 92 | 100.0 | 16 | 9 | US-09-953-031A-10 | Sequence 10, Appl |
| 5 | 92 | 100.0 | 16 | 9 | US-09-981-286A-3 | Sequence 3, Appli |
| 6 | 92 | 100.0 | 16 | 9 | US-09-962-967A-6 | Sequence 6, Appli |
| 7 | 92 | 100.0 | 16 | 9 | US-09-912-414-6 | Sequence 6, Appli |
| 8 | 92 | 100.0 | 16 | 9 | US-10-071-512A-2 | Sequence 2, Appli |
| 9 | 92 | 100.0 | 16 | 9 | US-09-775-052-54 | Sequence 54, Appl |
| 10 | 92 | 100.0 | 16 | 9 | US-10-239-804-3 | Sequence 3, Appli |
| 11 | 92 | 100.0 | 16 | 9 | US-10-077-555-3 | Sequence 3, Appli |
| 12 | 92 | 100.0 | 16 | 9 | US-09-295-189-4 | Sequence 4, Appli |
| 13 | 92 | 100.0 | 16 | 9 | US-10-209-421-29 | Sequence 29, Appl |
| 14 | 92 | 100.0 | 16 | 9 | US-10-229-915-2 | Sequence 2, Appli |
| 15 | 92 | 100.0 | 16 | 9 | US-10-185-084-3 | Sequence 3, Appli |
| 16 | 92 | 100.0 | 16 | 9 | US-09-965-876A-1 | Sequence 1, Appli |
| 17 | 92 | 100.0 | 16 | 9 | US-10-252-012-5 | Sequence 5, Appli |
| 18 | 92 | 100.0 | 16 | 9 | US-10-013-815-19 | Sequence 19, Appl |
| 19 | 92 | 100.0 | 16 | 9 | US-10-075-869-19 | Sequence 19, Appl |
| 20 | 92 | 100.0 | 16 | 9 | US-10-136-738-10 | Sequence 10, Appl |
| 21 | 92 | 100.0 | 16 | 9 | US-10-210-660-1 | Sequence 1, Appli |
| 22 | 92 | 100.0 | 16 | 9 | US-10-210-660-25 | Sequence 25, Appl |
| 23 | 92 | 100.0 | 16 | 9 | US-10-156-570A-21 | Sequence 21, Appl |
| 24 | 92 | 100.0 | 16 | 10 | US-09-214-371-43 | Sequence 43, Appl |
| 25 | 92 | 100.0 | 16 | 10 | US-09-780-070-38 | Sequence 38, Appl |
| 26 | 92 | 100.0 | 16 | 10 | US-09-150-623-9 | Sequence 9, Appli |
| 27 | 92 | 100.0 | 16 | 10 | US-09-731-023A-10 | Sequence 10, Appl |
| 28 | 92 | 100.0 | 16 | 10 | US-09-854-204-1 | Sequence 1, Appli |
| 29 | 92 | 100.0 | 16 | 10 | US-09-900-147-8 | Sequence 8, Appli |
| 30 | 92 | 100.0 | 16 | 10 | US-09-792-480-29 | Sequence 29, Appl |
| 31 | 92 | 100.0 | 16 | 10 | US-09-785-802A-2 | Sequence 2, Appli |
| 32 | 92 | 100.0 | 16 | 10 | US-09-785-802A-5 | Sequence 5, Appli |
| 33 | 92 | 100.0 | 16 | 12 | US-10-024-935-12 | Sequence 12, Appl |
| 34 | 92 | 100.0 | 17 | 9 | US-10-209-421-30 | Sequence 30, Appl |
| 35 | 92 | 100.0 | 17 | 9 | US-10-229-915-1 | Sequence 1, Appli |
| 36 | 92 | 100.0 | 17 | 9 | US-10-210-660-17 | Sequence 17, Appl |
| 37 | 92 | 100.0 | 17 | 9 | US-10-210-660-20 | Sequence 20, Appl |
| 38 | 92 | 100.0 | 17 | 9 | US-10-210-660-22 | Sequence 22, Appl |
| 39 | 92 | 100.0 | 17 | 9 | US-10-210-660-27 | Sequence 27, Appl |
| 40 | 92 | 100.0 | 17 | 10 | US-09-854-204-19 | Sequence 19, Appl |
| 41 | 92 | 100.0 | 17 | 10 | US-09-785-802A-3 | Sequence 3, Appli |
| 42 | 92 | 100.0 | 17 | 12 | US-10-007-761-8 | Sequence 8, Appli |
| 43 | 92 | 100.0 | 18 | 10 | US-09-785-802A-14 | Sequence 14, Appl |
| 44 | 92 | 100.0 | 19 | 9 | US-09-949-474-7 | Sequence 7, Appli |
| 45 | 92 | 100.0 | 19 | 9 | US-10-118-079-45 | Sequence 45, Appl |

ALIGNMENTS

RESULT 1

US-08-610-220A-9

; Sequence 9, Application US/08610220A

; Publication No. US20030099638A1

; GENERAL INFORMATION:

; APPLICANT: Troy, Carol M.

; TITLE OF INVENTION: COMPOUNDS WHICH PREVENT NEURONAL CELL

; TITLE OF INVENTION: DEATH AND USES THEREOF

; NUMBER OF SEQUENCES: 11

; CORRESPONDENCE ADDRESS:

```

;   ADDRESSEE:  Cooper & Dunham LLP
;   STREET:    1185 Avenue of the Americas
;   CITY:     New York
;   STATE:    New York
;   COUNTRY:  U.S.A.
;   ZIP:      10036
;   COMPUTER READABLE FORM:
;   MEDIUM TYPE:  Floppy disk
;   COMPUTER:   IBM PC compatible
;   OPERATING SYSTEM:  PC-DOS/MS-DOS
;   SOFTWARE:   PatentIn Release #1.0, Version #1.30
;   CURRENT APPLICATION DATA:
;   APPLICATION NUMBER:  US/08/610,220A
;   FILING DATE:   MAR-04-1996
;   CLASSIFICATION:  424
;   ATTORNEY/AGENT INFORMATION:
;   NAME:        White, John P.
;   REGISTRATION NUMBER:  28,678
;   REFERENCE/DOCKET NUMBER:  48332/JPW/JML
;   TELECOMMUNICATION INFORMATION:
;   TELEPHONE:   212-278-0400
;   TELEFAX:    212-391-0525
;   INFORMATION FOR SEQ ID NO:  9:
;   SEQUENCE CHARACTERISTICS:
;   LENGTH:    16 amino acids
;   TYPE:      amino acid
;   STRANDEDNESS:  single
;   TOPOLOGY:  linear
;   MOLECULE TYPE:  peptide
US-08-610-220A-9

```

```

Query Match          100.0%;  Score 92;  DB 7;  Length 16;
Best Local Similarity 100.0%;  Pred. No. 2.9e-07;
Matches   16;  Conservative    0;  Mismatches    0;  Indels    0;  Gaps    0;

```

```

Qy      1 RQIKIWFQNRRMKWKK 16
        |||||
Db      1 RQIKIWFQNRRMKWKK 16

```

```

Search completed: July 15, 2003, 10:04:41
Job time : 52 secs

```

OM protein - protein search, using sw model

Run on: July 15, 2003, 09:50:26 ; Search time 15 Seconds
 (without alignments)
 102.543 Million cell updates/sec

Title: US-10-031-505-1
 Perfect score: 92
 Sequence: 1 RQIKIWFQNRRMKWKK 16

Scoring table: BLOSUM62
 Gapop 10.0 , Gapext 0.5

Searched: 283224 seqs, 96134422 residues

Total number of hits satisfying chosen parameters: 283224

Minimum DB seq length: 0
 Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%
 Maximum Match 100%
 Listing first 45 summaries

Database : PIR_73:*
 1: pir1:*
 2: pir2:*
 3: pir3:*
 4: pir4:*

Pred. No. is the number of results predicted by chance to have a score greater than or equal to the score of the result being printed, and is derived by analysis of the total score distribution.

SUMMARIES

| Result No. | Score | Query | | DB | ID | Description |
|------------|-------|-------|--------|----|--------|--------------------|
| | | Match | Length | | | |
| 1 | 92 | 100.0 | 33 | 2 | S57235 | antennapedia prote |
| 2 | 92 | 100.0 | 42 | 2 | I65241 | homeotic protein H |
| 3 | 92 | 100.0 | 45 | 2 | PC1216 | homeotic protein D |
| 4 | 92 | 100.0 | 48 | 2 | I51439 | homeobox protein - |
| 5 | 92 | 100.0 | 66 | 2 | S15536 | homeotic protein H |
| 6 | 92 | 100.0 | 66 | 2 | S15538 | homeotic protein H |
| 7 | 92 | 100.0 | 71 | 2 | JC1161 | homeotic protein 3 |
| 8 | 92 | 100.0 | 71 | 2 | A60084 | homeotic protein H |
| 9 | 92 | 100.0 | 74 | 2 | D34510 | homeotic protein H |
| 10 | 92 | 100.0 | 75 | 2 | I51341 | homeo box protein |
| 11 | 92 | 100.0 | 75 | 2 | S58852 | homeotic protein S |
| 12 | 92 | 100.0 | 76 | 2 | C43559 | homeotic protein R |
| 13 | 92 | 100.0 | 78 | 2 | I51342 | homeo box protein |

| | | | | | | |
|----|----|-------|-----|---|--------|--------------------|
| 14 | 92 | 100.0 | 81 | 2 | S47605 | homeotic protein H |
| 15 | 92 | 100.0 | 81 | 2 | B29585 | homeotic protein H |
| 16 | 92 | 100.0 | 82 | 2 | S08302 | homeotic protein H |
| 17 | 92 | 100.0 | 83 | 2 | S47603 | homeotic protein H |
| 18 | 92 | 100.0 | 83 | 2 | S50066 | homeotic protein H |
| 19 | 92 | 100.0 | 86 | 2 | A34510 | homeotic protein H |
| 20 | 92 | 100.0 | 86 | 2 | JT0489 | homeotic protein Z |
| 21 | 92 | 100.0 | 86 | 2 | S08303 | homeotic protein H |
| 22 | 92 | 100.0 | 87 | 2 | S00589 | homeotic protein H |
| 23 | 92 | 100.0 | 88 | 2 | A03317 | homeotic protein M |
| 24 | 92 | 100.0 | 96 | 2 | S08639 | homeotic protein z |
| 25 | 92 | 100.0 | 96 | 2 | A05266 | homeotic protein H |
| 26 | 92 | 100.0 | 97 | 2 | C27176 | homeotic protein H |
| 27 | 92 | 100.0 | 97 | 2 | A24779 | homeotic protein m |
| 28 | 92 | 100.0 | 103 | 2 | A32167 | homeotic protein H |
| 29 | 92 | 100.0 | 105 | 2 | S47602 | homeotic protein H |
| 30 | 92 | 100.0 | 105 | 2 | A27471 | homeotic protein R |
| 31 | 92 | 100.0 | 106 | 2 | S36448 | homeotic protein s |
| 32 | 92 | 100.0 | 107 | 2 | B61045 | homeotic protein T |
| 33 | 92 | 100.0 | 113 | 2 | T10775 | homeobox protein - |
| 34 | 92 | 100.0 | 118 | 2 | A24777 | homeotic protein H |
| 35 | 92 | 100.0 | 118 | 2 | JT0273 | homeotic protein H |
| 36 | 92 | 100.0 | 118 | 2 | B24777 | homeotic protein M |
| 37 | 92 | 100.0 | 119 | 2 | A03314 | homeotic protein m |
| 38 | 92 | 100.0 | 138 | 2 | S20087 | homeotic protein b |
| 39 | 92 | 100.0 | 148 | 2 | PC4071 | homeobox A5 protei |
| 40 | 92 | 100.0 | 153 | 1 | WJHU3C | homeotic protein H |
| 41 | 92 | 100.0 | 153 | 1 | WJMSX6 | homeotic protein H |
| 42 | 92 | 100.0 | 158 | 2 | A27348 | homeotic protein H |
| 43 | 92 | 100.0 | 209 | 2 | A43553 | homeotic protein H |
| 44 | 92 | 100.0 | 217 | 1 | WJHU2C | homeotic protein H |
| 45 | 92 | 100.0 | 217 | 1 | WJMSX2 | homeotic protein H |

ALIGNMENTS

RESULT 1

S57235

antennapedia protein (clone p1105) - fruit fly (*Drosophila pseudoobscura*)
(fragment)

C;Species: *Drosophila pseudoobscura*

C;Date: 10-Oct-1995 #sequence_revision 03-Nov-1995 #text_change 15-Oct-1999

C;Accession: S57235

R;Randazzo, F.M.; Seeger, M.A.; Huss, C.A.; Sweeney, M.A.; Cecil, J.K.; Kaufman, T.C.

Genetics 133, 319-330, 1993

A;Title: Structural changes in the antennapedia complex of *Drosophila pseudoobscura*.

A;Reference number: S57224

A;Accession: S57235

A;Molecule type: DNA

A;Residues: 1-33 <RAN>

A;Cross-references: EMBL:X77711

C;Genetics:

A;Gene: FlyBase:Antp

A;Cross-references: FlyBase:FBgn0012693

C;Superfamily: unassigned homeobox proteins; homeobox homology
C;Keywords: DNA binding; homeobox; nucleus; transcription regulation
F;1-22/Domain: homeobox homology (fragment) <HOX>

Query Match 100.0%; Score 92; DB 2; Length 33;
Best Local Similarity 100.0%; Pred. No. 1.4e-07;
Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
| | | | | | | | | | | | | | | |
Db 7 RQIKIWFQNRRMKWKK 22

Search completed: July 15, 2003, 09:55:56
Job time : 15 secs

GenCore version 5.1.6
Copyright (c) 1993 - 2003 Compugen Ltd.

OM protein - protein search, using sw model

Run on: July 15, 2003, 09:48:36 ; Search time 23 Seconds
(without alignments)
28.853 Million cell updates/sec

Title: US-10-031-505-1
Perfect score: 92
Sequence: 1 RQIKIWFQNRRMKWKK 16

Scoring table: BLOSUM62
Gapop 10.0 , Gapext 0.5

Searched: 112892 seqs, 41476328 residues

Total number of hits satisfying chosen parameters: 112892

Minimum DB seq length: 0
Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%
Maximum Match 100%
Listing first 45 summaries

Database : SwissProt_40:*

Pred. No. is the number of results predicted by chance to have a
score greater than or equal to the score of the result being printed,
and is derived by analysis of the total score distribution.

SUMMARIES

| Result | | % | | | | | |
|--------|-------|-------|-------|--------|------------|--------|-------------|
| No. | Score | Query | Match | Length | DB | ID | Description |
| 1 | 92 | 100.0 | 48 | 1 | HXB6_XENLA | P31256 | xenopus lae |
| 2 | 92 | 100.0 | 49 | 1 | HXA5_SHEEP | Q28599 | ovis aries |
| 3 | 92 | 100.0 | 71 | 1 | HXA7_SHEEP | Q28600 | ovis aries |
| 4 | 92 | 100.0 | 71 | 1 | HXC5_NOTVI | P31262 | notophthalm |
| 5 | 92 | 100.0 | 74 | 1 | HM90_APIME | P15860 | apis mellif |
| 6 | 92 | 100.0 | 75 | 1 | HMSA_SALSA | P09636 | salmo salar |
| 7 | 92 | 100.0 | 76 | 1 | HXC4_RAT | P18865 | rattus norv |
| 8 | 92 | 100.0 | 78 | 1 | HXA5_SALSA | P09637 | salmo salar |
| 9 | 92 | 100.0 | 80 | 1 | HXA4_LINSA | P81192 | lineus sang |
| 10 | 92 | 100.0 | 81 | 1 | HX5L_BRARE | P09013 | brachydanio |
| 11 | 92 | 100.0 | 82 | 1 | HXB5_CHICK | P14838 | gallus gall |
| 12 | 92 | 100.0 | 84 | 1 | HXB6_CHICK | P14839 | gallus gall |
| 13 | 92 | 100.0 | 86 | 1 | SCR_APIME | P15859 | apis mellif |
| 14 | 92 | 100.0 | 87 | 1 | HXC5_XENLA | P09020 | xenopus lae |
| 15 | 92 | 100.0 | 93 | 1 | HXB8_PIG | P09078 | sus scrofa |
| 16 | 92 | 100.0 | 96 | 1 | HXC6_BRARE | P15862 | brachydanio |
| 17 | 92 | 100.0 | 105 | 1 | HXA7_RAT | P09634 | rattus norv |

| | | | | | | | |
|----|----|-------|-----|---|------------|--------|-------------|
| 18 | 92 | 100.0 | 105 | 1 | HXB4_BRARE | P22574 | brachydanio |
| 19 | 92 | 100.0 | 112 | 1 | HXB7_RAT | P18864 | rattus norv |
| 20 | 92 | 100.0 | 148 | 1 | HXA5_AMBME | P50208 | ambystoma m |
| 21 | 92 | 100.0 | 153 | 1 | HXC6_SHEEP | P49925 | ovis aries |
| 22 | 92 | 100.0 | 208 | 1 | HXA7_HETFR | Q9ia25 | heterodontu |
| 23 | 92 | 100.0 | 209 | 1 | HXA7_XENLA | P09071 | xenopus lae |
| 24 | 92 | 100.0 | 217 | 1 | HXB7_BOVIN | Q9tt89 | bos taurus |
| 25 | 92 | 100.0 | 217 | 1 | HXB7_HUMAN | P09629 | homo sapien |
| 26 | 92 | 100.0 | 217 | 1 | HXB7_MOUSE | P09024 | mus musculu |
| 27 | 92 | 100.0 | 220 | 1 | HB7A_XENLA | Q91771 | xenopus lae |
| 28 | 92 | 100.0 | 220 | 1 | HB7B_XENLA | P04476 | xenopus lae |
| 29 | 92 | 100.0 | 222 | 1 | HXC5_HUMAN | Q00444 | homo sapien |
| 30 | 92 | 100.0 | 222 | 1 | HXC5_MOUSE | P32043 | mus musculu |
| 31 | 92 | 100.0 | 224 | 1 | HXB6_HUMAN | P17509 | homo sapien |
| 32 | 92 | 100.0 | 224 | 1 | HXB6_MOUSE | P09023 | mus musculu |
| 33 | 92 | 100.0 | 225 | 1 | HXA7_MORSA | Q9pwd4 | morone saxa |
| 34 | 92 | 100.0 | 228 | 1 | HXB6_BRARE | P15861 | brachydanio |
| 35 | 92 | 100.0 | 229 | 1 | HXA6_HETFR | Q9ia24 | heterodontu |
| 36 | 92 | 100.0 | 229 | 1 | HXA7_MOUSE | P02830 | mus musculu |
| 37 | 92 | 100.0 | 230 | 1 | HXA7_HUMAN | P31268 | homo sapien |
| 38 | 92 | 100.0 | 230 | 1 | HXB5_XENLA | P09019 | xenopus lae |
| 39 | 92 | 100.0 | 232 | 1 | HXA6_MOUSE | P09092 | mus musculu |
| 40 | 92 | 100.0 | 232 | 1 | HXB4_XENLA | P09070 | xenopus lae |
| 41 | 92 | 100.0 | 232 | 1 | HXC5_BRARE | P09074 | brachydanio |
| 42 | 92 | 100.0 | 233 | 1 | HXA5_RAT | P52949 | rattus norv |
| 43 | 92 | 100.0 | 233 | 1 | HXA6_HUMAN | P31267 | homo sapien |
| 44 | 92 | 100.0 | 234 | 1 | HXC6_NOTVI | P14858 | notophthalm |
| 45 | 92 | 100.0 | 234 | 1 | HXC6_XENLA | P02832 | xenopus lae |

ALIGNMENTS

RESULT 1

HXB6_XENLA

ID HXB6_XENLA STANDARD; PRT; 48 AA.

AC P31256;

DT 01-JUL-1993 (Rel. 26, Created)

DT 01-JUL-1993 (Rel. 26, Last sequence update)

DT 15-JUN-2002 (Rel. 41, Last annotation update)

DE Homeobox protein Hox-B6 (XlHox-2.2) (Fragment).

GN HOXB6 OR XLHOX-2.2.

OS Xenopus laevis (African clawed frog).

OC Eukaryota; Metazoa; Chordata; Craniata; Vertebrata; Euteleostomi;

OC Amphibia; Batrachia; Anura; Mesobatrachia; Pipoidae; Pipidae;

OC Xenopodinae; Xenopus.

OX NCBI_TaxID=8355;

RN [1]

RP SEQUENCE FROM N.A.

RX MEDLINE=93043517; PubMed=1384809;

RA Leroy P., de Robertis E.M.;

RT "Effects of lithium chloride and retinoic acid on the expression of

RT genes from the Xenopus laevis Hox 2 complex.";

RL Dev. Dyn. 194:21-32(1992).

CC -!- FUNCTION: SEQUENCE-SPECIFIC TRANSCRIPTION FACTOR WHICH IS PART OF

CC A DEVELOPMENTAL REGULATORY SYSTEM THAT PROVIDES CELLS WITH

CC SPECIFIC POSITIONAL IDENTITIES ON THE ANTERIOR-POSTERIOR AXIS.

```

CC  -!- SUBCELLULAR LOCATION: Nuclear.
CC  -!- SIMILARITY: BELONGS TO THE ANTP HOMEBOX FAMILY.
CC  -----
CC  This SWISS-PROT entry is copyright. It is produced through a collaboration
CC  between the Swiss Institute of Bioinformatics and the EMBL outstation -
CC  the European Bioinformatics Institute. There are no restrictions on its
CC  use by non-profit institutions as long as its content is in no way
CC  modified and this statement is not removed. Usage by and for commercial
CC  entities requires a license agreement (See http://www.isb-sib.ch/announce/
CC  or send an email to license@isb-sib.ch).
CC  -----
DR  EMBL; M91587; AAA49750.1; -.
DR  InterPro; IPR001827; Antennapedia.
DR  InterPro; IPR001356; Homeobox.
DR  Pfam; PF00046; homeobox; 1.
DR  ProDom; PD000010; Homeobox; 1.
DR  SMART; SM00389; HOX; 1.
DR  PROSITE; PS00027; HOMEBOX_1; 1.
DR  PROSITE; PS00032; ANTENNAPEDIA; PARTIAL.
DR  PROSITE; PS50071; HOMEBOX_2; 1.
KW  Homeobox; DNA-binding; Developmental protein; Nuclear protein;
KW  Transcription regulation.
FT  NON_TER      1      1
FT  DNA_BIND     <1     29      HOMEBOX.
SQ  SEQUENCE     48 AA;  5716 MW;  BC39E36822EDDD2A CRC64;

```

```

Query Match          100.0%;  Score 92;  DB 1;  Length 48;
Best Local Similarity 100.0%;  Pred. No. 1.6e-08;
Matches 16;  Conservative 0;  Mismatches 0;  Indels 0;  Gaps 0;

```

```

Qy      1 RQIKIWFQNRRMKWKK 16
        |||||
Db      12 RQIKIWFQNRRMKWKK 27

```

```

Search completed: July 15, 2003, 09:54:11
Job time : 24 secs

```

GenCore version 5.1.6
Copyright (c) 1993 - 2003 Compugen Ltd.

OM protein - protein search, using sw model

Run on: July 15, 2003, 09:49:26 ; Search time 76 Seconds
(without alignments)
43.378 Million cell updates/sec

Title: US-10-031-505-1
Perfect score: 92
Sequence: 1 RQIKIWFQNRRMKWKK 16

Scoring table: BLOSUM62
Gapop 10.0 , Gapext 0.5

Searched: 671580 seqs, 206047115 residues

Total number of hits satisfying chosen parameters: 671580

Minimum DB seq length: 0
Maximum DB seq length: 2000000000

Post-processing: Minimum Match 0%
Maximum Match 100%
Listing first 45 summaries

Database : SPTREMBL_21:*
1: sp_archaea:*
2: sp_bacteria:*
3: sp_fungi:*
4: sp_human:*
5: sp_invertebrate:*
6: sp_mammal:*
7: sp_mhc:*
8: sp_organelle:*
9: sp_phage:*
10: sp_plant:*
11: sp_rodent:*
12: sp_virus:*
13: sp_vertibrate:*
14: sp_unclassified:*
15: sp_rvirus:*
16: sp_bacteriap:*
17: sp_archeap:*

Pred. No. is the number of results predicted by chance to have a
score greater than or equal to the score of the result being printed,
and is derived by analysis of the total score distribution.

SUMMARIES

| Result | Query | % |
|--------|--------------------------|-------------|
| No. | Score Match Length DB ID | Description |
| ----- | | |

| | | | | | | |
|----|----|-------|----|----|--------|--------------------|
| 1 | 92 | 100.0 | 39 | 13 | O57368 | O57368 brachydanio |
| 2 | 92 | 100.0 | 43 | 13 | O57359 | O57359 brachydanio |
| 3 | 92 | 100.0 | 46 | 13 | Q9PVR9 | Q9pvr9 oryzias lat |
| 4 | 92 | 100.0 | 51 | 5 | Q26407 | Q26407 ctenodrilus |
| 5 | 92 | 100.0 | 51 | 5 | Q23743 | Q23743 ctenodrilus |
| 6 | 92 | 100.0 | 51 | 5 | Q27413 | Q27413 ctenodrilus |
| 7 | 92 | 100.0 | 57 | 13 | Q9PVR8 | Q9pvr8 oryzias lat |
| 8 | 92 | 100.0 | 58 | 5 | Q9Y188 | Q9y188 priapulus c |
| 9 | 92 | 100.0 | 58 | 5 | Q25208 | Q25208 junonia coe |
| 10 | 92 | 100.0 | 58 | 13 | O57362 | O57362 brachydanio |
| 11 | 92 | 100.0 | 59 | 5 | Q8WRM9 | Q8wrm9 lithobius a |
| 12 | 92 | 100.0 | 59 | 5 | Q9NB42 | Q9nb42 anopheles g |
| 13 | 92 | 100.0 | 59 | 13 | Q9PVR5 | Q9pvr5 oryzias lat |
| 14 | 92 | 100.0 | 60 | 5 | O77139 | O77139 archegozete |
| 15 | 92 | 100.0 | 60 | 5 | O77143 | O77143 archegozete |
| 16 | 92 | 100.0 | 60 | 13 | Q8QGL8 | Q8qgl8 petromyzon |
| 17 | 92 | 100.0 | 60 | 13 | Q8QGL7 | Q8qgl7 petromyzon |
| 18 | 92 | 100.0 | 60 | 13 | Q8QGL6 | Q8qgl6 petromyzon |
| 19 | 92 | 100.0 | 60 | 13 | Q8QGL5 | Q8qgl5 petromyzon |
| 20 | 92 | 100.0 | 60 | 13 | Q8QGL3 | Q8qgl3 petromyzon |
| 21 | 92 | 100.0 | 60 | 13 | Q8QGL2 | Q8qgl2 petromyzon |
| 22 | 92 | 100.0 | 61 | 5 | Q27910 | Q27910 polyandroca |
| 23 | 92 | 100.0 | 63 | 5 | O77138 | O77138 archegozete |
| 24 | 92 | 100.0 | 66 | 13 | O57356 | O57356 brachydanio |
| 25 | 92 | 100.0 | 69 | 5 | Q9U9T4 | Q9u9t4 nereis vire |
| 26 | 92 | 100.0 | 69 | 5 | Q9BMF7 | Q9bmf7 haliotis as |
| 27 | 92 | 100.0 | 70 | 5 | Q967W5 | Q967w5 folsomia ca |
| 28 | 92 | 100.0 | 71 | 13 | Q9PVS3 | Q9pvs3 oryzias lat |
| 29 | 92 | 100.0 | 71 | 13 | Q9PVS1 | Q9pvs1 oryzias lat |
| 30 | 92 | 100.0 | 73 | 5 | Q9Y186 | Q9y186 priapulus c |
| 31 | 92 | 100.0 | 74 | 13 | O57367 | O57367 brachydanio |
| 32 | 92 | 100.0 | 75 | 5 | Q25209 | Q25209 junonia coe |
| 33 | 92 | 100.0 | 75 | 13 | Q9PVR6 | Q9pvr6 oryzias lat |
| 34 | 92 | 100.0 | 76 | 5 | O44257 | O44257 ethmostigmu |
| 35 | 92 | 100.0 | 77 | 5 | O44260 | O44260 ethmostigmu |
| 36 | 92 | 100.0 | 77 | 5 | Q9U9Z4 | Q9u9z4 lingula ung |
| 37 | 92 | 100.0 | 77 | 5 | Q9Y187 | Q9y187 priapulus c |
| 38 | 92 | 100.0 | 79 | 5 | Q9U9T9 | Q9u9t9 nereis vire |
| 39 | 92 | 100.0 | 79 | 5 | Q967V2 | Q967v2 lithobius f |
| 40 | 92 | 100.0 | 80 | 5 | Q05008 | Q05008 artemia san |
| 41 | 92 | 100.0 | 81 | 5 | Q17142 | Q17142 branchiosto |
| 42 | 92 | 100.0 | 81 | 5 | Q9BN27 | Q9bn27 porcellio s |
| 43 | 92 | 100.0 | 81 | 5 | P91769 | P91769 manduca sex |
| 44 | 92 | 100.0 | 81 | 13 | Q9PVR7 | Q9pvr7 oryzias lat |
| 45 | 92 | 100.0 | 82 | 5 | Q24758 | Q24758 drosophila |

ALIGNMENTS

RESULT 1

O57368

ID O57368 PRELIMINARY; PRT; 39 AA.

AC O57368;

DT 01-JUN-1998 (TrEMBLrel. 06, Created)

DT 01-JUN-1998 (TrEMBLrel. 06, Last sequence update)

DT 01-MAR-2002 (TrEMBLrel. 20, Last annotation update)

DE Hoxc5 protein (Fragment).
 GN HOXC5A OR HOXC5.
 OS Brachydanio rerio (Zebrafish) (Zebra danio).
 OC Eukaryota; Metazoa; Chordata; Craniata; Vertebrata; Euteleostomi;
 OC Actinopterygii; Neopterygii; Teleostei; Ostariophysi; Cypriniformes;
 OC Cyprinidae; Danio.
 OX NCBI_TaxID=7955;
 RN [1]
 RP SEQUENCE FROM N.A.
 RA Prince V.E., Joly L., Ekker M., Ho R.K.;
 RT "Zebrafish hox genes: genomic organization and modified colinear
 RT expression patterns in the trunk."
 RL Submitted (AUG-1997) to the EMBL/GenBank/DDBJ databases.
 CC -!- SUBCELLULAR LOCATION: NUCLEAR (BY SIMILARITY).
 DR EMBL; Y14539; CAA74874.1; -.
 DR ZFIN; ZDB-GENE-980526-533; hoxc5a.
 DR InterPro; IPR001356; Homeobox.
 DR Pfam; PF00046; homeobox; 1.
 DR PRINTS; PR00024; HOMEBOX.
 DR ProDom; PD000010; Homeobox; 1.
 DR SMART; SM00389; HOX; 1.
 DR PROSITE; PS00027; HOMEBOX_1; 1.
 DR PROSITE; PS50071; HOMEBOX_2; 1.
 KW DNA-binding; Homeobox; Nuclear protein.
 FT NON_TER 1 1
 SQ SEQUENCE 39 AA; 4827 MW; 592A0FEC12E58860 CRC64;

Query Match 100.0%; Score 92; DB 13; Length 39;
 Best Local Similarity 100.0%; Pred. No. 8.5e-08;
 Matches 16; Conservative 0; Mismatches 0; Indels 0; Gaps 0;

Qy 1 RQIKIWFQNRRMKWKK 16
 |||||
 Db 14 RQIKIWFQNRRMKWKK 29

Search completed: July 15, 2003, 09:55:35
 Job time : 77 secs